A solution of Example 2B and (0.520 g, 1.54 mmol) in dichloromethane (50 mL) was cooled to -10 °C, treated dropwise with $BF_3 \cdot OEt_2$ (0.57 mL, 4.62 mmol), stirred for 30 minutes at -10 °C, treated dropwise with a 0.49 M solution of 3-bromo-5-methylphenylmagnesium bromide in diethyl ether (12.6 mL), stirred for 15 minutes, treated with saturated $NaHCO_3$, and extracted with ethyl acetate. The extract was washed with brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 5% ethyl acetate/hexanes to provide the desired compound.

^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.22 (s, 1H), 7.03 (br d,1H), 6.95 (t, 1H), 6.74 (s, 1H), 6.71 (d, 1H), 6.59 (d, 1H), 6.50 (d, 1H), 6.26 (d, 1H), 5.42 (s, 1H), 4.04 (s, 1H), 3.80 (s, 3H), 2.18 (s, 3H), 1.85 (s, 3H), 1.23 (s, 3H), 1.16 (s, 3H);
HRMS m/z calculated for $C_{27}H_{26}NO_2Br$: 475.1147 $(M+H)^+$. Found 475.1143.

Example 12

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenol,acetate (ester)

Example 12A

A solution of 3-methoxymethoxyphenyl bromide (10.85 g, 50.00 mmol) in THF (300 mL) at -78 °C was treated with n-butyllithium (2.5 M in hexane, 20 mL), warmed to -30 °C, recooled to -78 °C, treated with Example 1F, warmed to -50 °C, quenched with saturated NH_4Cl, warmed to ambient temperature, decanted, and concentrated. The residue was treated with water and extracted with ethyl acetate. The extract was washed with water and brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 20-25% ethyl acetate/hexanes to provide the desired compound.

MS (DCI/NH_3) m/z 460 $(M+H)^+$.

Example 12B

A solution of Example 12A (2.30 g, 5.00 mmol) in methanol (10 mL) was treated with HCl-saturated methanol (50 mL), stirred for 18 hours, poured into 1:1 ethyl acetate/saturated NH_4Cl, and extracted with ethyl acetate. The extract was washed with water and brine, dried (Na_2SO_4), filtered, and concentrated to provide the desired compound.

MS (DCI/NH_3) m/z 416 $(M+H)^+$.

Example 12C

A solution of Example 12B (2.45 g, 5.89 mmol) and pyridine (2.33 g, 29.4 mmol) in THF (100 mL) was treated with acetyl chloride (0.51 g, 6.48 mmol), stirred for 4 hours, allowed to settle, decanted, and concentrated. The residue was treated with saturated $NaHCO_3$ and extracted with ethyl acetate. The extract was washed with water and brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 25-33% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 458 $(M+H)^+$.

Example 12

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenol,acetate (ester)

Example 12C was processed as in Example 1 to provide the desired compound.
MS (DCI/NH_3) m/z 442 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.26 (t, 1H), 7.07 (d, 1H), 6.98-6.90 (m, 2H), 6.85 (s, 1H), 6.77 (s, 1H), 6.71 (d, 1H), 6.58 (d, 1H), 6.46 (dd, 1H), 6.23 (s, 1H), 5.40 (s, 1H), 3.79 (s, 3H), 2.19 (s, 3H), 1.85 (s, 3H), 1.23 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{28}H_{27}NO_4 \cdot 0.25H_2O$: C, 75.40; H, 6.21; N, 3.14. Found: C, 75.76; H, 6.21; N, 2.84.

Example 13

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenol

A solution of Example 12 (0.81 g, 1.84 mmol) in THF (20 mL) and methanol (20 mL) was treated with K_2CO_3 (2.00 g, 14.5 mmol) in water (6 mL), stirred for 12 hours, quenched with saturated NH_4Cl, decanted, concentrated, treated with saturated $NaHCO_3$, and extracted with ethyl acetate. The extract was washed with water and brine, dried (Na_2SO_4), filtered, and concentrated to provide the desired compound.
MS (DCI/NH_3) m/z 400 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.26 (s, 1H), 8.00 (d, 1H), 7.00 (t, 1H), 6.92 (t, 1H), 6.71-6.66 (m, 2H), 6.63 (d, 1H), 6.58-6.51 (m, 3H), 6.44 (dd, 1H), 6.15 (s, 1H), 5.38 (s, 1H), 3.80 (s, 3H), 1.88 (s, 3H), 1.24 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{26}H_{25}NO_3$: C, 78.17; H, 6.30; N, 3.50. Found: C, 77.82; H, 6.42; N, 3.26.

Example 14

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[[3-(methylthio)methoxy]phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 13 (420 mg, 1.05 mmol) in DMF (40 mL) at 0 °C was treated with NaH (50 mg, 2.10 mmol) portionwise over 5 minutes, stirred for 10 minutes, treated with chloromethyl methyl sulfide (152 mg, 1.58 mmol), warmed to room temperature, treated with saturated NH_4Cl, and extracted with ethyl acetate. The extract was washed sequentially with 1M NaOH and brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 5-17% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 460 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.14 (t, 1H), 6.92 (t, 1H), 6.83-6.68 (m, 5H), 6.56 (d, 1H), 6.47 (d, 1H), 6.21 (s, 1H), 5.40 (s, 1H), 5.13 (s, 2H), 3.80 (s, 3H), 2.09 (s, 3H), 1.97 (s 3H), 1.24 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{28}H_{29}NO_3S \cdot 0.5H_2O$: C, 71.76; H, 6.45; N, 2.98. Found: C, 71.93; H, 6.61; N, 2.68.

Example 15

[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] dimethylcarbamate

Example 13 and N,N-dimethylcarbamoyl chloride were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 471 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.22 (t, 1H), 7.05 (d, 1H), 6.93 (t, 2H), 6.83 (s, 1H), 6.77 (s, 1H), 6.71 (d, 1H), 6.57 (d, 1H), 6.48 (d, 1H), 6.23 (d, 1H), 5.40 (s, 1H), 3.80 (s, 3H), 2.97 (s, 3H), 2.85 (s, 3H), 1.86 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{29}H_{30}N_2O_4$: C, 74.02; H, 6.42; N, 5.95. Found: C, 74.05; H, 6.36; N, 5.86.

Example 16

5-[3-(2-furanyl)-5-methylphenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 11 (0.253 g, 0.531 mmol) in 1-methyl-2-pyrrolidinone (25 mL) was deoxygenated with nitrogen, treated with 2-(tributylstannyl)furan (0.33 mL, 1.06 mmol), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) dichloromethane complex (0.045 g, 0.005 mmol), heated to 85 °C for 13 hours, cooled to room temperature, diluted with ethyl acetate and saturated KF, stirred for 3 hours, and extracted with ethyl acetate. The extract was washed with brine, dried ($MgSO_4$), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 5-10% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 464 (M+H);

^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.67 (m, 1H), 7.31 (d, 2H), 6.92 (t, 1H), 6.92 (s, 1H), 6.75 (m, 2H), 6.72 (d, 1H), 6.57-6.50 (m, 3H), 6.23 (m, 1H), 5.41 (s, 1H), 3.78 (s, 3H), 2.20 (s, 3H), 1.89 (s, 3H), 1.24 (s, 3H), 1.17 (s, 3H);
Anal. calcd for $C_{31}H_{29}NO_3$: C, 80.32; H, 6.31; N, 3.02. Found: C, 80.08; H, 6.25; N, 2.83.

Example 17

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-methyl-5-(1-morpholinyl)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 11 (0.055 g, 0.115 mmol) in toluene (5 mL) was treated sequentially with bis(dibenzylideneacetone)palladium(0) (0.007 g, 0.012mmol), (S)-(-)-bis(diphenylphospino)-1,1'-binaphthyl (0.022 g, 0.035 mmol), morpholine (15□L, 0.173 mmol), and sodium tert-butoxide (0.028 g, 0.289 mmol), stirred at 85 °C for 4 hours, cooled to room temperature, diluted with ethyl acetate and water, and filtered through powdered sea shells (Celite®). The extract was washed with brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 10-33% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 483 (M+H);
^{1}H NMR (300 MHz, DMSO-d_6)□7.97 (d, 1H), 6.93 (t, 1H), 6.68 (m, 2H), 6.54-6.60 (m, 3H), 6.49 (d, 1H), 6.40 (s, 1H), 6.18 (br s, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 3.65 (m, 4H), 2.91 (m, 4H), 2.09 (s, 3H), 1.89 (s, 3H), 1.21 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{31}H_{34}N_2O_3\cdot 0.25H_2O$: C, 76.44; H, 7.14; N, 5.75. Found: C, 76.61; H, 7.35; N, 5.47.

Example 18

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(phenylmethylene)-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 1F (0.100 g, 0.31 mmol) in THF (5 mL) at -78 °C was treated with a solution of benzylmagnesium bromide (10 mL of 0.44 M solution in ether, 4.4 mmol) dropwise over 10 minutes, warmed to room temperature, stirred for 14 hours, treated with saturated NH_4Cl, and extracted with ethyl acetate. The extract was dried (Na_2SO_4) and concentrated. The residue was dissolved in dichloromethane (10 mL), treated with p-toluenesulfonic acid·H_2O (0.059 g, 0.31 mmol), stirred for 14 hours at room temperature, treated with 2% NaOH (10 mL), and extracted with ethyl acetate. The residue was purified by flash chromatography on silica gel with 10% ethyl acetate/hexanes to provide the desired compound as a mixture of regioisomers. The regioisomers were separated by HPLC (Microsorb, 5% acetone/hexanes) but rapidly interconverted at room temperature to a 1:1 regioisomeric mixture.

MS (DCI/NH$_3$) m/z 396 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$) isomer 1: □8.12 (d, 1H), 7.16-7.03 (m, 5H), 6.80-6.66 (m, 4H), 6.45 (s, 1H), 6.34 (s, 1H), 5.0 (s, 1H), 3.90 (s, 3H), 1.84 (s, 3H), 1.20 (s, 3H), 0.91 (s, 3H); isomer 2: □8.23 (d, 1H), 7.70 (d, 2H), 7.37 (t, 2H), 7.22 (m, 1H), 7.03-7.16 (m, 3H), 6.86 (d, 1H), 6.55 (s, 1H), 5.53 (s, 1H), 5.45 (s, 1H), 3.90 (s, 3H), 1.97 (s, 3H), 1.25 (s, 6H);
HRMS calcd m/z for $C_{27}H_{25}NO_2$: 395.1885 (M+H)$^+$. Found: 395.1884.

Example 19

5-(3,5-dichlorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3,5-dichlorophenyl magnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH$_3$) m/z 452 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO) □8.10 (d, 1H), 7.51 (t, Hz, 1H), 7.19 (d, 2H), 7.03 (dd, 1H), 6.87 (s, 1H), 6.80 (d, 1H), 6.67 (d, 1H), 6.59 (d, 1H), 6.36 (s, 1H), 5.50 (s, 1H), 3.87 (s, 3H), 1.93 (s, 3H), 1.29 (s, 3H), 1.22 (s, 3H);
^{13}C NMR (75 MHz, DMSO) 156.1, 151.1, 145.6, 143.8, 133.8, 133.8, 133.5, 128.1, 127.6, 127.3, 127.2, 127.1, 126.7, 126.7, 117.8, 116.9, 114.1. 113.4, 110.2, 105.9, 73.3, 55.6, 49.7, 29.2, 28.5, 23.2;
HRMS calcd for $C_{26}H_{23}NO_2Cl_2$: 451.1106 (M+H)$^+$. Found 451.1113.

Example 20

5-butyl-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and n-butyllithium were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH$_3$) m/z 364 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$) □7.94 (d, 1H), 7.06 (dd,1H), 6.68 (dd, 1H), 6.58 (d, 1H), 6.54 (dd, 1H), 6.08 (s, 1H), 5.67 (m, 1H), 5.44 (s, 1H), 3.85 (s, 3H), 2.15 (s, 3H), 1.68 (m, 1H), 1.41-1.22 (m, 5H), 1.17 (s, 3H), 1.14 (s, 3H), 0.78 (t, 3H);
Anal. calcd for $C_{24}H_{29}NO_2$: C, 79.30; H, 8.04; N, 3.85. Found C, 79.10; H, 8.14; N, 3.72.

Example 21

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(trifluoromethyl)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3-trifluoromethylphenyl-magnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 452 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.03 (d, 1H), 7.55 (m, 1H), 7.47 (m, 3H), 6.93 (dd, 1H), 6.88 (s, 1H), 6.73 (d, 1H), 6.58 (d, 1H), 6.48 (d, 1H), 6.29 (s, 1H), 5.43 (s, 1H), 3.79 (s, 3H), 1.85 (s, 3H), 1.23 (s, 3H), 1.17 (s, 3H);
Anal. calcd for $C_{27}H_{24}F_3NO_2$: C, 71.82; H, 5.35; N, 3.10. Found: C, 71.73; H, 5.44; N, 3.05.

Example 22

2,5-dihydro-10-methoxy-5-(4-methoxyphenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and anisole were processed as in Example 2C to provide the desired compound.
MS (DCI/NH_3) m/z 414 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.04 (d, 2H), 6.90 (dd, 1H), 6.78 (dd, 2H), 6.70 (dd, 2H), 6.60 (dd, 1H), 6.41 (dd, 1H), 6.18 (s, 1H), 5.37 (s, 1H), 3.79 (s, 3H), 3.65 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.13 (s, 3H);
HRMS calcd m/z for $C_{27}H_{27}NO_3$: 413.1991 $(M+H)^+$. Found: 413.1987.

Example 23

5-(3-chlorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3-chlorophenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 418 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.23-7.12 (m, 4H), 6.90 (dd, 1H), 6.77 (s, 1H), 6.70 (d, 1H), 6.55 (dd, 1H), 6.44 (dd, 1H), 6.18 (d, 1H), 5.38 (s, 1H), 3.79 (s, 3H), 1.84 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
HRMS calcd m/z for $C_{26}H_{24}NO_2Cl$: 417.1496 $(M+H)^+$. Found: 417.1490.

Example 24

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(3-methylphenyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3-methylphenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 398 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6) □7.94 (d, 1H), 7.01-6.91 (m, 4H), 6.84 (dd, 1H), 6.66 (s, 1H), 6.62 (d, 1H), 6.48 (dd, 1H), 6.38 (dd, 1H), 6.11 (d, 1H), 5.31 (d, 1H), 3.72 (s, 3H), 2.10 (s, 3H), 1.78 (d, 3H), 1.15 (s, 3H), 1.09 (s, 3H);
Anal. calcd for $C_{28}H_{27}NO_2$: C, 81.58; H, 6.85; N, 3.52. Found: C, 81.23; H, 7.18; N, 3.36.

Example 25

(±)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-phenyl-1H-[1]benzopyrano-[3,4-f]quinoline

Enantiomer of Example 1.
Spectral data are identical to Example 1.
[□]$_D$ = + 85.1;
Retention time = 11.68 minutes on a Chiralcel OJ 4.6 x 250 mm HPLC column;
Solvent: 95:5 hexane:ethanol;
Flow rate: 1 mL/minute.

Example 26

(±)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-phenyl-1H-[1]benzopyrano[3,4-f]quinoline

Enantiomer of Example 1. Spectral data are identical to Example 1.
[□]$_D$ = - 84.9;
Retention time = 15.27 minutes on a Chiralcel OJ 4.6 x 250 mm HPLC column;
Solvent: 95:5 hexane:ethanol;
Flow rate: 1 mL/minute.

Example 27

5-(3,5-dimethylphenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F (0.052 g, 0.162 mmol) in THF (5 mL) was cooled to 0 °C, treated dropwise with 0.38 M 3,5-dimethylphenyl magnesium bromide in dimethylether (4.4 mL, 1.68 mmol), warmed to room temperature, stirred for 14 hours, partitioned between saturated NH_4Cl and ethyl acetate, and extracted with ethyl acetate. The extract was washed with brine, dried ($MgSO_4$), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with a gradient from 10-25% ethyl acetate/hexanes to provide the desired lactol.

The lactol (0.043 g, 0.101 mmol) was dissolved in dichloromethane (7 mL), treated with triethylsilane (0.16 mL, 1.01 mmol), cooled to 0 °C, treated with $BF_3 \cdot OEt_2$ (0.12 mL, 1.01 mmol), warmed to room temperature, stirred for 19 hours, and treated

with $NaHCO_3$, and extracted with ethyl acetate. The extract was washed with brine, dried ($MgSO_4$), and concentrated. The residue was purified by flash chromatography on silica gel with 5-10% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 412 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 6.92 (t, 1H), 6.78 (m, 3H), 6.70 (d, 1H), 6.69 (s, 1H), 6.56 (dd, 1H), 6.47 (dd, 1H), 6.19 (d, 1H), 5.39 (s, 1H), 3.79 (s, 3H), 2.11 (s, 6H), 1.85 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{28}H_{29}NO_2$: C, 81.72; H, 7.10; N, 3.40. Found: C, 81.59; H, 7.54; N, 3.16.

Example 28

5-(4-chlorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 4-chlorophenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 418 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.24 (q, 4H), 6.92 (t, 1H), 6.76 (s, 1H), 6.70 (d, 1H), 6.57 (d, 1H), 6.43 (d, 1H), 6.24 (br s, 1H), 5.20 (br s, 1H), 3.79 (s, 3H), 1.83 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{26}H_{24}NO_2Cl$: C, 74.72; H, 5.79; N, 3.35. Found: C, 74.73; H, 5.68; N, 3.29.

Example 29

5-(3,4-dimethylphenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3,4-dimethylphenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 412 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.98 (d, 1H), 6.94 (s, 1H), 6.82 (q, 2H), 6.78 (d, 1H), 6.67 (d, 1H), 6.53 (d, 1H), 6.42 (d, 1H), 6.17 (s, 1H), 5.37 (s, 1H), 3.78 (s, 3H), 2.08 (s, 6H), 1.84 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{28}H_{29}O_2N \cdot 0.5H_2O$: C, 79.97; H, 7.19; N, 3.33. Found: C, 79.94; H, 7.25; N, 2.98.

Example 30

5-(4-fluorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 4-fluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.

MS (DCI/NH_3) m/z 402 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.03 (d, 1H), 7.16 (m, 2H), 7.03 (t, 2H), 6.88 (t, 1H), 6.71 (s, 1H), 6.68 (d, 1H), 6.55 (d, 1H), 6.41 (d, 1H), 6.22 (s, 1H), 5.38 (s, 1H), 3.79 (s, 3H), 1.82 (s, 3H), 1.23 (s, 3H), 1.14 (s, 3H).

Example 31

5-[3,5-bis(trifluoromethyl)phenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 4-fluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.

^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.98 (s, 1H), 7.00 (s, 1H), 6.93 (d, 1H), 6.75 (d, 1H), 6.59 (d, 1H), 6.49 (d, 1H), 6.38 (s, 1H), 5.46 (s, 1H), 3.79 (s, 3H), 1.87 (s, 3H), 1.21 (s, 3H), 1.19 (s, 3H);

HRMS calcd m/z for $C_{28}H_{23}O_2F_6N$: 519.1633 $(M+H)^+$. Found: 519.1646;

Anal. calcd for $C_{28}H_{23}NO_2F_6 \cdot 1.25H_2O$: C, 62.05; H, 4.74; N, 2.58. Found: C, 61.96; H, 4.70; N, 2.35.

Example 32

(-)-5-(3,5-dichlorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Enantiomer of Example 19.

Spectal data are identical to Example 19.

$[\square]_D = -208.0$;

Retention time = 6.89 minutes on a Regis (R,R)-WhelkO1 Kromasil 4.6x250mm HPLC column;

Solvent: 86:10:3 hexane:dichloromethane:ethanol;

Flow rate: 1 mL/minute.

Example 33

(+)-5-(3,5-dichlorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

-Enantiomer of Example 19. Spectal data are identical to Example 19.

$[\square]_D = +210.7$;

Retention time = 8.63 min on a Regis (R,R)-WhelkO1 Kromasil 4.6 x 250mm HPLC column;

Solvent: 86:10:3 hexane:dichloromethane:ethanol;

Flow rate: 1 mL/minute.

Example 34

5-(3,5-difluorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3,5-difluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.

^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.05 (m, 1H), 6.93 (t, 1H), 6.79 (s, 3H), 6.71 (d, 1H), 6.59 (9, 1H), 6.50 (d, 1H), 6.30 (s, 1H), 5.43 (s, 1H), 3.81 (s, 3H), 1.87 (s, 3H), 1.23 (s, 3H), 1.16 (s, 3H);

HRMS calcd m/z for $C_{26}H_{23}O_2F_2N$: 419.1697 $(M+H)^+$. Found: 419.1702;

Anal. calcd for $C_{26}H_{23}O_2F_2N \cdot 0.5H_2O$: C, 72.88; H, 5.65; N, 3.27. Found: C, 72.62; H, 5.58; N, 3.06.

Example 35

2,5-dihydro-10-methoxy-2,2,4,N-tetramethyl-N-phenyl-1H-[1]benzopyrano[3,4-f]quinolin-5-amine

Example 1F and N-methylaniline were processed as in Example 2 to provide the desired compound.

MS (DCI/NH_3) m/z 306 $(M\text{-}NMePh)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.03 (d, 1H), 7.25 (t, 2H), 7.08 (m, 2H), 6.99 (t, 1H), 6.86 (s, 1H), 6.80 (t, 1H), 6.70-6.65 (m, 2H), 6.41 (d, 1H), 6.26 (br s, 1H), 5.39 (br s, 1H), 3.87 (s, 3H), 2.47 (s, 3H), 1.74 (s, 3H), 1.24 (s, 3H), 1.11 (s, 3H).

Example 36

(-)2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2 was purified by flash chromatography on Chiralcel OJ with 10% ethanol/hexanes to provide the desired compound.

[□]$_D$ = -1.8 (c 1.2, $CHCl_3$);

MS (DCI/NH_3) m/z 348 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.96 (d, 1H), 7.07 (t, 1H), 6.71 (d, 1H), 6.60 (d, 1H), 6.52 (d, 1H), 6.12 (br s, 1H), 5.82 (m, 1H), 5.76 (dd, 1H), 5.44 (br s, 1H), 5.01 (m, 2H), 3.86 (s, 3H), 2.44 (m, 1H), 2.20 (m, 1H), 2.16 (s, 3H), 1.17 (s, 3H), 1.16 (s, 3H);

Anal. calcd for $C_{23}H_{25}NO_2$: C, 79.51; H, 7.25; N, 4.03. Found: C, 9.34; H, 7.00; N, 4.07.

Example 37

(+)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2 was purified by flash chromatography on Chiralcel OJ with 10% ethanol/hexanes to provide the desired compound.
[□]$_D$= +2.1(c 1.1, CHCl$_3$);
MS (DCI/NH$_3$) m/z 348 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.96 (d, 1H), 7.07 (t, 1H), 6.71 (d, 1H), 6.60 (d, 1H), 6.52 (d, 1H), 6.12 (br s, 1H), 5.82 (m, 1H), 5.76 (dd, 1H), 5.44 (br s, 1H), 5.01 (m, 2H), 3.86 (s, 3H), 2.44 (m, 1H), 2.20 (m, 1H), 2.16 (s, 3H), 1.17 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{23}H_{25}NO_2$: C, 79.51; H, 7.25; N, 4.03. Found: C, 79.29; H, 7.01; N, 3.92.

Example 38

2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and triethylsilane were processed as in Example 2 to provide the desired compound.
MS (DCI/NH$_3$) m/z 308 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.82 (d, 1H), 7.05 (t, 1H), 6.72 (dd, 1H), 6.58 (d, 1H), 6.57 (dd, 1H), 6.13 (d, 1H), 5.39 (t, 1H), 5.10 (s, 2H), 3.84 (s, 3H), 2.02 (d, 3H), 1.18 (s, 6H);
Anal. calcd for $C_{20}H_{21}NO_2 \cdot 0.1H_2O$: C, 77.69; H, 6.91; N, 4.53. Found: C, 77.60; H, 7.15; N, 4.33.

Example 39

4-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-N,N-dimethylbenzenamine

Example 2B and N,N-dimethylaniline were processed as in Example 2 to provide the desired compound.
MS (DCI/NH$_3$) m/z 427 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.98 (d, 1H), 6.95 (d, 2H), 6.88 (t, 1H), 6.57 (d, 1H), 6.64 (s, 1H), 6.53 (m, 3H), 6.39 (d, 1H), 6.14 (d, 1H), 5.35 (s, 1H), 3.79 (s, 3H), 2.80 (s, 6H), 1.84 (s, 3H), 1.21 (s, 3H), 1.13 (s, 3H);
Anal. calcd for $C_{28}H_{30}N_2O_2 \cdot 0.25H_2O$: C, 78.02; H, 7.13; N, 6.50. Found: C, 78.29; H, 7.38; N, 6.01.

Example 40

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(5-methoxy-2-thienyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-methoxythiophene were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/z 420 $(M+H)^+$;
^1H NMR (500 MHz, DMSO-d_6)□7.98 (d, 1H), 6.97 (d, 1H), 6.73 (s, 1H), 6.67 (d, 1H), 6.63 (d, 1H), 6.46 (d, 1H), 6.20 (d, 1H), 6.18 (s, 1H), 5.96 (d, 4H), 5.39 (s, 1H), 3.82 (s, 3H), 3.72 (s, 3H), 1.98 (s, 3H), 1.21 (s, 3H), 1.13 (s, 3H);
Anal. calcd for $C_{25}H_{25}NO_3S$: C, 71.57; H, 6.01; N, 3.34. Found: C, 71.54; H, 5.99; N, 3.17.

Example 41

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(5-propyl-2-thienyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-propylthiophene were processed as in Example 2 to provide the desired compound.
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 6.95 (t, 1H), 6.84 (s, 1H), 6.67 (d, 1H), 6.61 (d, 1H), 6.51 (d, 1H), 6.46 (d, 1H), 6.41 (d, 1H), 6.18 (m, 1H), 5.39 (s, 1H), 3.82 (s, 3H), 2.59 (t, 2H), 1.96 (s, 3H), 1.50 (h, 2H), 1.20 (s, 3H), 1.14 (s, 3H), 0.83 (t, 3H);
HRMS calcd m/z for $C_{27}H_{29}NO_2S$: 431.1919 $(M+H)^+$. Found: 431.1911.

Example 42

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[4-(1-morpholinyl)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 4-phenylmorpholine were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/z 469 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.00 (d, 2H), 6.92 (t, 1H), 6.76 (d, 2H), 6.68 (d, 2H), 6.55 (d, 1H), 6.40 (d, 1H), 6.16 (m, 1H), 5.36 (s, 1H), 3.79 (s, 3H), 3.62 (m, 4H), 3.05 (m, 4H), 1.81 (s, 3H), 1.22 (s, 3H), 1.13 (s, 3H);
Anal. calcd for $C_{30}H_{32}N_2O_2 \cdot 0.5H_2O$: C, 75.45; H, 6.96; N, 5.87. Found: C, 75.46; H, 6.69; N, 5.31.

Example 43

1-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-3,3-dimethyl-2-butanone

Example 2B and (2,2-dimethyl-1-methylenepropoxy)trimethylsilane were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/z 406 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, 1H), 7.04 (t, 1H), 6.71 (d, 1H), 6.60 (d, 1H), 6.41 (d, 1H), 6.33 (d, 1H), 6.15 (br s, 1H), 5.43 (s, 1H), 3.87 (s, 3H), 3.26 (m, 1H), 2.36 (m, 1H), 2.13 (s, 3H), 1.16 (s, 3H), 1.15 (s, 3H), 0.89 (s, 9H);
Anal. calcd for $C_{26}H_{31}NO_3 \cdot 0.33H_2O$: C, 75.90; H, 7.76; N, 3.40. Found: C, 75.91; H, 8.17; N, 3.62.

Example 44

2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-carbonitrile

Example 2B and cyanotrimethylsilane were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/z 333 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.96 (d, 1H), 7.20 (t, 1H), 6.89 (d, 1H), 6.84 (s, 1H), 6.74 (d, 8H), 6.73 (d, 1H), 6.46 (s, 1H), 5.51 (s, 1H), 3.90 (s, 3H), 2.22 (s, 3H), 1.29 (s, 3H), 1.09 (s, 3H);
Anal. calcd for $C_{21}H_{20}N_2O_2 \cdot 0.25H_2O$: C, 74.87; H, 6.13; N, 8.31. Found: C, 75.00; H, 6.23; N, 8.34.

Example 45

1-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-2-propanone

Example 2B and 2-(trimethylsiloxy)-propene were processed as in Example 2 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.96 (t, 1H), 7.04 (t, 1H,), 6.71 (d, 1H), 6.58 (d, 1H), 6.48 (d, 1H), 6.20 (dd, 1H), 6.16 (s, 1H), 5.4 (s, 1H), 3.87 (s, 3H), 2.91 (q, 1H), 2.16 (s, 3H), 2.04 (s, 3H), 1.15 (d, 6H);
HRMS calcd m/z for $C_{23}H_{25}O_3N$: 363.1834 $(M+H)^+$. Found: 363.1843;
Anal. calcd for $C_{23}H_{25}NO_3 \cdot 0.33H_2O$: C, 74.79; H, 7.00; N, 3.79. Found: C, 74.77; H, 7.14; N, 3.67.

Example 46

methyl 2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-acetate

Example 2B and 1-methoxy-1-(*tert*-butyldimethylsiloxy)ethylene were processed as in Example 2 to provide the desired compound.
MS (DCI /NH_3) m/z 380 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.11 (t, 1H), 6.78 (d, 1H), 6.66 (d, 1H), 6.53 (d, 1H), 6.27 (d, 1H), 6.22 (s, 2H), 5.52 (s, 1H), 3.93 (s, 3H), 3.67 (s, 3H), 2.70 (dd, 1H), 2.64 (d, 1H), 2.27 (s, 3H), 1.22 (d, 6H);
Anal. calcd for $C_{23}H_{25}O_4N\cdot0.5H_2O$: C, 71.12; H, 6.75; N, 3.61. Found: C, 71.46; H, 6.81; N, 3.45.

Example 47

2-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-1-phenylethanone

Example 2B and 1-phenyl-1-(trimethylsiloxy)ethylene were processed as in Example 2 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.72 (d, 2H), 7.59 (t, 1H), 7.40 (t, 2H), 6.93 (t, 1H), 6.70 (d, 1H), 6.61 (d, 1H), 6.43 (d, 1H), 6.25 (d, 1H), 6.18 (s, 1H), 5.44 (s, 1H), 3.90 (s, 3H), 3.66 (q, 1H), 2.95 (d, 1H), 2.16 (s, 3H), 1.16 (s, 6H);
HRMS calcd m/z for $C_{28}H_{27}O_3N$: 425.1991 (M+H)$^+$. Found: 425.2005.

Example 48

5-[2-(chloromethyl)-2-propenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-chloromethyl-3-trimethylsilyl-1-propene were processed as in Example 2 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.96 (d, 1H), 7.03 (t, 1H), 6.69 (d, 1H), 6.59 (d, 1H), 6.44 (d, 1H), 6.15 (s, 1H), 5.96 (dd, 1H), 5.45 (s, 1H), 5.27 (s, 1H), 4.95 (s, 1H), 4.17 (q, 2H), 3.87 (s, 3H), 2.55 (d, 1H), 2.26 (dd, 1H), 2.20 (s, 3H), 1.15 (d, 6H);
HRMS m/z calcd for $C_{24}H_{26}O_2ClN$: 395.1652 (M+H)$^+$. Found: 395.1645;
Anal. calcd for $C_{24}H_{26}O_2ClN\cdot0.333H_2O$: C, 71.73; H, 6.69; N, 3.49. Found: C, 71.71; H, 6.32; N, 3.35.

Example 49

2,5-dihydro-10-methoxy-2,2,4-trimethyl-(-methylene-1H-[1]benzopyrano[3,4-f]quinoline-5-propanol, acetate (ester)

Example 2B and 2-[(trimethylsilyl)methyl]-2-propen-1-yl acetate were processed as in Example 2 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.10 (t, 1H), 6.75 (dd, 1H), 6.65 (d, 1H), 6.50 (d, 1H), 6.18 (s, 1H), 5.98 (dd, 1H), 5.51 (s, 1H), 5.16 (s, 1H), 4.98 (s, 1H), 4.48 (q, 2H), 3.93 (s, 3H), 2.25 (s, 3H), 1.22 (s, 6H);
HRMS calcd m/z for $C_{26}H_{29}O_4N$: 419.2097 (M+H)$^+$. Found: 419.2095;

Anal. calcd for $C_{26}H_{29}O_4N{\cdot}0.25H_2O$: C, 73.65; H, 7.01; N, 3.30. Found: C, 73.83; H, 6.91; N, 3.20.

Example 50

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(4-methylphenyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 4-methylphenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 398 (M+H);
^{1}H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.02 (q, 4H), 6.89 (t, 1H), 6.72 (s, 1H), 6.69 (d, 1H), 6.55 (d, 1H), 6.41 (d, 1H), 6.18 (br s, 1H), 5.37 (br s, 1H), 3.79 (s, 3H), 2.18 (s, 3H), 1.83 (s, 3H), 1.23 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{27}H_{27}NO_2$: C, 81.58; H, 6.85; N, 3.52. Found: C, 81.56; H, 7.25; N, 3.29.

Example 51

5-(3-fluoro-4-methylphenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3-fluoro-4-methylphenylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH_3) m/z 416 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.11 (t, 1H), 6.95-6.84 (m, 3H), 6.74 (s, 1H), 6.71 (d, 1H), 6.57 (d, 1H), 6.46 (d, 1H), 6.23 (s, 1H), 5.39 (s, 1H), 3.79 (s, 3H), 2.11 (s, 3H), 1.85 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{27}H_{26}NO_2F$: C, 78.05; H, 6.31; N, 3.37. Found: C, 77.80; H, 6.51; N, 3.06.

Example 52

5-(3-bromophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3-bromophenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 462 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.36 (m, 1H), 7.30 (m, 1H), 7.17 (m, 2H), 6.93 (t, 1H), 6.79 (s, 1H), 6.72 (d, 1H), 6.58 (d, 1H), 6.48 (d, 1H), 6.24 (br s, 1H), 5.41 (br s, 1H), 3.80 (s, 1H), 1.85 (s, 3H), 1.23 (s, 1H), 1.16 (s, 1H).

Example 53

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(phenylmethyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and benzylmagnesium bromide were processed as in Example 11 to provide the desired compound.

MS (DCI/NH$_3$) m/z 398 (M+H);

^{1}H NMR (300 MHz, DMSO-d$_6$)□8.02 (d, 1H), 7.31 - 7.18 (m, 3H), 7.12 (m, 3H), 6.75 (d, 1H), 6.63 (d, 1H), 6.46 (d, 1H), 6.15 (d, 1H), 5.93 (dd, 1H), 5.43 (s, 1H), 3.89 (s, 3H), 2.98 (dd, 1H), 2.74 (dd, 1H), 2.23 (s, 3H), 1.16 (s, 3H), 1.15 (s, 3H);

Anal. calcd for $C_{27}H_{27}NO_2 \cdot 0.25H_2O$: C, 80.67; H, 6.89; N, 3.48. Found: C, 80.78; H, 7.08; N, 3.26.

Example 54

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-propyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and propylmagnesium bromide were processed as in Example 11 to provide the desired compound.

MS (DCI/NH$_3$) m/z 350 (M+H)$^+$;

^{1}H NMR (300 MHz, DMSO-d$_6$)□7.94 (d, 1H), 7.05 (t, 1H), 6.69 (d, 1H), 6.58 (d, 1H), 6.54 (d, 1H), 6.10 (d, 1H), 5.70 (m, 1H), 5.44 (s, 1H), 3.85 (s, 3H), 2.16 (s, 3H), 1.70 (m, 1H), 1.43 - 1.31 (m, 3H), 1.16 (s, 3H), 1.14 (s, 3H), 0.83 (t, 3H);

Anal. calcd for $C_{23}H_{27}NO_2$: C, 79.05; H, 7.79; N, 4.01. Found: C, 78.76; H, 7.86; N, 3.84.

Example 55

5-(4-fluorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 4-fluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.

^{1}H NMR (300 MHz, DMSO-d$_6$)□7.99 (d, 1H), 7.11 (d, 1H), 6.92 (m, 2H), 6.71 (s, 1H), 6.68 (s, 1H), 6.55 (d, 1H), 6.43 (d, 1H), 6.21 (s, 1H), 5.39 (s, 1H), 3.99 (s, 3H), 2.11 (s, 3H), 1.84 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H);

HRMS calcd m/z for $C_{27}H_{26}O_2NF$: 415.1948 (M+H)$^+$. Found: 415.1947.

Example 56

5-(3-fluorophenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3-fluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.

^{1}H NMR (300 MHz, DMSO-d_6)□8.03 (d, 1H), 7.22 (q, 1H), 6.90 (m, 4H), 6.78 (s, 1H), 6.73 (d, 1H), 6.56 (d, 1H), 6.46 (d, 1H), 6.24 (s, 1H), 5.40 (s, 1H), 3.79 (s, 3H), 1.85 (s, 3H), 1.20 (s, 3H), 1.15 (s, 3H);
HRMS calcd m/z for $C_{26}H_{24}O_2NF$: 402.1869 $(M+H)^+$. Found: 402.1865;
Anal. calcd for $C_{26}H_{24}O_2FN \cdot 2.25H_2O$: C, 70.65; H, 6.50; N, 3.17. Found: C, 70.56; H, 6.18; N, 2.83.

Example 57

2,5-dihydro-10-methoxy-2,2,4,5-tetramethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and methylmagnesium iodide were processed as in Example 11 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.91 (d, 1H), 7.02 (8, 1H), 6.67 (d, 1H), 6.54 (s, 1H), 6.52 (d, 1H), 6.08 (s, 1H), 5.87 (q, 1H), 5.43 (s, 1H), 3.85 (s, 3H), 2.16 (s, 3H), 1.25 (d, 3H), 1.18 (s, 3H), 1.13 (s, 3H);
HRMS calcd m/z for $C_{21}H_{23}O_2N$: 321.1729 $(M+H)^+$. Found: 321.1728.

Example 58

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(1-methylethyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-propylmagnesium chloride were processed as in Example 11 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.99 (d, 1H), 7.03 (t, 1H), 6.57 (d, 1H), 6.45 (d, 1H), 6.53 (d, 1H), 6.18 (s, 1H), 5.45 (s, 1H), 5.31 (d, 1H), 3.85 (s, 1H), 2.16 (s, 3H), 1.79 (m, 1H), 1.30 (s, 3H), 1.01 (s, 3H), 0.93 (d, 3H), 0.62 (d, 3H);
HRMS calcd m/z for $C_{23}H_{27}O_2N$: 349.2042 $(M+H)^+$. Found: 349.2041.

Example 59

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-methylpropyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and sec-butylmagnesium chloride were processed as in Example 11to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.93 (d, 1H), 7.03 (t, 1H), 6.67 (d, 1H), 6.51 (q, 2H), 6.08 (s, 1H), 5.77 (dd, 1H), 5.43 (s, 1H), 3.85 (s, 3H), 2.18 (s, 3H), 1.72 (m, 2H), 1.76 (d, 6H), 0.86 (d, 3H), 0.74 (d, 3H);
HRMS calcd m/z for $C_{24}H_{29}O_2N$: 363.2198 $(M+H)^+$. Found: 363.2208;
Anal. calcd for $C_{24}H_{29}NO_2$: C, 79.30; H, 8.04; N, 3.85. Found: C, 79.63; H, 7.83; N, 3.89.

Example 60

5-ethyl-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and ethylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH_3) m/z 336 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.92 (d, 1H), 7.03 (t, 1H), 6.67 (d, 1H), 6.54 (t, 2H), 6.10 (s, 1H), 5.55 (dd, 1H), 5.44 (s, 1H), 3.84 (s, 3H), 2.16 (s, 3H), 1.63 (m, 1H), 1.44 (m, 1H), 1.15 (s, 6H), 0.84 (t, 3H);
Anal. calcd for $C_{22}H_{25}O_2N \cdot 2.25\ H_2O$: C, 77.73; H, 7.56; N, 4.12. Found: C, 77.95; H, 7.60; N, 4.07.

Example 61

2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-carboximidic acid ethyl ester

A solution of Example 44 (0.040 g, 0.120 mmol) in ethanol (5 mL) was cooled to -5 °C, saturated with hydrogen chloride gas, stirred for 10 minutes at -5 °C, stirred 14 hours at room temperature, neutralized with $NaHCO_3$, and extracted with diethyl ether. The extract was dried (Na_2SO_4), filtered, and concentrated to provide the desired compound.
MS (DCI/NH_3) m/z 379 (M+H);
^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, 1H), 7.32 (s, 1H), 7.05 (t, 1H), 6.69 (t, 2H), 6.61 (d, 1H), 6.22 (s, 1H), 6.14 (s, 1H), 5.44 (s, 1H), 3.92 (m, 2H), 3.82 (s, 3H), 2.06 (s, 3H), 1.20 (s, 3H), 1.12 (s, 3H), 1.02 (t, 3H).

Example 62

2,5-dihydro-10-methoxy-2,2,4-trimethyl-(-methylene 1H-[1]benzopyrano[3,4-f]quinoline-5-propanol

A solution of Example 49 (0.060 g, 0.143 mmol) in 1:1 methanol/water (10 mL) was treated with K_2CO_3 (0.080 g, 1.0 mmol), stirred for 24 hours at room temperature, neutralized with 10% HCl, and extracted with ethyl acetate. The extract was washed with brine, dried ($MgSO_4$), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 25% dichloromethane/ethyl acetate to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.72 (d, 2H), 7.59 (t, 1H), 7.40 (t, 2H), 6.93 (t, 1H), 6.70 (d, 1H), 6.61 (d, 1H), 6.43 (d, 1H), 6.25 (d, 1H), 6.18 (s, 1H), 5.44 (s, 1H), 3.90 (s, 3H), 3.66 (q, 1H), 2.95 (d, 1H), 2.16 (s, 3H), 1.16 (s, 6H);
HRMS calcd m/z for $C_{28}H_{27}O_3N$: 425.1991 $(M+H)^+$. Found: 425.2005.

Example 63

2,5-dihydro-10-methoxy-2,2,4,N,N-pentamethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-acetamide

Example 46 was hydrolyzed with lithium hydroxide in THF to provide the corresponding acid which was then coupled to N,N-dimethylamine with 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.04 (t, 1H), 6.70 (d, 1H), 6.59 (d, 1H), 6.46 (d, 1H), 6.26 (d, 1H), 6.15 (s, 1H), 5.44 (s, 1H), 3.86 (s, 3H), 2.88 (q, 1H), 2.81 (s, 3H), 2.55 (s, 3H), 2.25 (s, 1H), 2.19 (s, 3H), 1.15 (s, 6H);
HRMS calcd m/z for $C_{24}H_{28}O_3N_2$: 392.2100 $(M+H)^+$. Found: 392.2104;
Anal. calcd for $C_{24}H_{28}N_2O_3$: C, 73.44; H 7.19, 7.35; N, 7.14. Found: C, 73.17; H, 7.19; N, 6.85.

Example 64

2,5-dihydro-10-methoxy-2,2,4,N,N-pentamethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-ethanamine

A solution of Example 63 in diethyl ether was reduced at room temperature with lithium aluminum hydride to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.93 (d, 1H), 7.03 (t, 1H), 6.68 (8, 1H), 6.54 (t, 1H), 6.12 (s, 1H), 5.76 (dd, 1H), 5.44 (s, 1H), 3.85 (s, 3H), 2.18 (s, 3H), 2.05 (s, 6H), 1.18 (s, 3H), 1.14 (s, 3H);
HRMS m/z calcd for $C_{24}H_{30}O_2N_2$: 378.2307 $(M+H)^+$. Found: 378.2307.

Example 65

N-cyclopropyl-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-acetamide

Example 46 and cyclopropylmethylamine were processed as in Example 63 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.70 (d, 1H), 7.03 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.43 (d,), 6.23 (dd, 1H), 6.13 (s, 1H), 5.43 (s, 1H), 3.85 (s, 3H), 2.51 (m, 2H), 2.07 (d, 1H), 2.03 (s, 3H), 1.17 (s, 3H), 1.13 (s, 3H), 0.60 (m, 2H), 0.31 (s, 2H);
HRMS m/z calcd for $C_{25}H_{28}O_3N_2$: 404.2100 $(M+H)^+$. Found: 404.2092.

Example 66

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-propynyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-propynylmagnesium bromide were processed as in Example 11 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.97 (d, 1H), 7.06 (t, 1H), 6.71 (d, 1H), 6.56 (q, 2H), 6.16 (s, 1H), 5.88 (q, 1H), 5.44 (s, 1H), 3.86 (s, 3H), 2.82 (q, 1H), 2.41 (q, 1H), 2.19 (s, 3H), 1.16 (s, 3H);
HRMS m/z calcd for $C_{23}H_{23}O_2N$: 345.1729 (M+H)$^+$. Found: 345.1738.

Example 67

5-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-2(5H)-furanone

Example 2B and 2-trimethylsiloxyfuran were processed as in Example 2C to provide the desired compound.
MS (DCI/NH$_3$) m/z 390 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.11 (d, 1H), 7.13 (dd, 1H), 6.75 (d, 1H), 6.72 (d, 1H), 6.64 (d, 1H), 6.37 (d, 1H), 6.25 (dd, 1H), 6.23 (d, 1H), 5.83 (d, 1H), 5.47 (s, 1H), 5.12 (dd, 1H), 3.87 (s, 3H), 2.03 (s, 3H), 1.30 (s, 3H), 1.09 (s, 3H);
Anal. calcd for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.60. Found: C, 73.89; H, 5.94; N, 3.51.

Example 68

5-(3-butenyl)-2,5-dihdyro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3-butenylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH$_3$) m/z 362 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.94 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.57 (d, 1H), 6.10 (s, 1H), 5.78 (dddd, 1H), 5.65 (dd, 1H), 5.44 (s, 1H), 5.00 (dd, 1H), 4.93 (dd, 1H), 3.85 (s, 3H), 2.16 (s, 3H), 2.10 (m, 2H), 1.78 (m, 1H), 1.45 (bm, 1H), 1.16 (s, 3H), 1.14 (s, 3H);
HRMS calcd m/z for $C_{24}H_{27}NO_2$: 361.2042 (M+H)$^+$. Found: 361.2039.

Example 69

2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline-5-propanol

Example 2 (52.0 mg, 0.15 mmol) in THF (4 mL) at 0 °C was treated dropwise with 0.5M 9-BBN (600 □L, 0.30 mmol), stirred overnight at room temperature, cooled to 0 °C, treated sequentially with 2.5M NaOH (400 □L, 1.0 mmol), and 30% H_2O_2 (250 □L), stirred for 2 hours at room temperature, partitioned between 1:1 ethyl acetate/water, and extracted with ethyl acetate. The extract was washed with brine, dried (Na_2SO_4),

filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 30% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 366 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.53 (d, 1H), 6.10 (s, 1H), 5.70 (dd, 1H), 5.44 (s, 1H), 4.36 (t, 1H), 3.85 (s, 3H), 3.33 (m, 2H), 2.16 (s, 3H), 1.40-1.75 (bm, 4H), 1.17 (s, 3H), 1.14 (s, 3H);
HRMS calcd m/z for $C_{23}H_{27}NO_3$: 365.1991 $(M+H)^+$. Found: 365.1991

Example 70

10-ethyl-2,5-dihydro-2,2,4-trimethyl-5-phenyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 70A

Example 3C (0.208 g, 0.493 mmol) and tetraethyltin (0,444 g, 1.89 mmol) were combined with (1,3-bis(diphenylphosphino)ferrocene)palladium(II)-chloride·dichloromethane (0.039 g, 0.047 mmol) in 1-methyl-2-pyrrolidinone (3 mL) at 80 °C for 16 hours and concentrated to provide the desired compound.
MS

Example 70

10-ethyl-2,5-dihydro-2,2,4-trimethyl-5-phenyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 70A was processed as in examples 1F, 1G, and 1 to provide the desired compound.
MS (DCI/NH_3) m/z 382 $(M+H)^+$;
^1H NMR (300 MHz, DMSO)□7.37 (d, 1H), 7.21-7.16 (m, 5H), 6.85, (dd, 1H), 6.75 (s, 1H), 6.73 (dd, 1H), 6.68 d, 1H), 6.58 (dd, 1H), 6.21 (s, 1H), 5.39 (s, 1H), 3.02-2.75 (m, 2H), 1.79 (s, 3H), 1.24 (s, 3H), 1.15 (s, 3H), 1.15 (m, 3H);
HRMS calcd for $C_{27}H_{27}NO$: 381.2093 $(M+H)^+$. Found 381.2096.

Example 71

2,5-dihydro-2,2,4,10-tetrametnyl-5-phenyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 3C and tetramethyltin were processed as in Example 70 to provide the desired compound.
MS (DCI/NH_3) m/z 368 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.44 (d, 1H), 7.21-7.12 (m, 5H), 6.82 (dd, 1H), 6.74 (d, 1H), 6.71 (s, 1H), 6.69 (dd, 1H), 6.59 (dd, 1H), 6.21 (s, 1H), 5.39 (s, 1H), 2.51 (s, 3H), 1.80 (s, 3H), 1.25 (s, 3H), 1.16 (s, 3H);
HRMS calcd m/z for $C_{26}H_{25}NO$: 367.1936 $(M+H)^+$. Found: 367.1931.

Example 72

5-(3,5-dichlorophenyl)-10-ethyl-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 70A and 3,5-dichlorophenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.

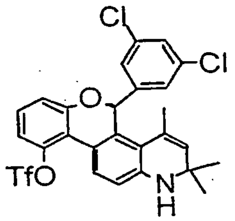
MS (DCI/NH$_3$) m/z 450 (M+H)$^+$;

^{1}H NMR (300 MHz, DMSO-d$_6$)□7.43 (d, 1H), 7.43 (t, 1H), 7.18 (d, 2H), 6.91 (dd, 1H), 6.80 (dd, 1H), 6.78 (d, 1H), 6.72 (s, 1H), 6.62 (dd, 1H), 6.35 (s, 1H), 5.42 (s, 1H), 3.15-2.75 (m, 2H), 1.79 (s, 3H), 1.27 (s, 3H), 1.14 (s, 3H), 1.13 (t, 3H);

HRMS calcd m/z for $C_{27}H_{25}NOCl_2$: 449.1313 (M+H)$^+$. Found: 449.1330.

Example 73

5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4,N-tetramethyl-1H-[1]benzopyrano[3,4-f]quinolin-10-amine



Example 73A

Example 3C and 3,5-dichlorophenylmagnesium bromide were processed as in Example 72 to provide the desired compound.

MS (DCI/NH$_3$) m/z 539 (M+H)$^+$.

Example 73

5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4,N-tetramethyl-1H-[1]benzopyrano[3,4-f]quinolin-10-amine

Example 73A was processed as in Example 3 to provide the desired compound.

MS (DCI/NH$_3$) m/z 451 (M+H)$^+$;

^{1}H NMR (300 MHz, DMSO-d$_6$)□7.91 (d, 1H), 7.45 (dd, 1H), 7.20 (m, 2H), 6.83 (dd, 1H), 6.75 (d, 1H), 6.71 (s, 1H), 6.22 (dd, 1H), 6.18 (s, 1H), 6.17 (dd, 1H), 5.57 (d, 1H), 5.44 (s, 1H), 2.65 (d, 3H), 1.85 (s, 3H), 1.24 (s, 3H), 1.15 (s, 3H);

HRMS calcd m/z for $C_{26}H_{24}N_2OCl_2$: 450.1266 (M+H)$^+$. Found: 450.1267.

Example 74

5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4-trimethyl-N-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinolin-10-amine

Example 73A and allylamine were processed as in Example 3 to provide the desired compound.

MS (DCI/NH_3) m/z 477 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.99 (d, 1H), 7.49 (dd, 1H), 7.27 (d, 2H), 6.82 (dd, 1H), 6.77 (d, 1H), 6.75 (s, 1H),), 6.25 (dd, 1H), 6.21 (s, 1H), 6.20 (dd, 1H), 5.95-5.86 (m, 1H), 5.69-5.65 (m, 1H), 5.48 (s, 1H), 5.18-5.12 (m, 1H), 5.11-5.06 (m, 1H), 3.78-3.70 (m, 2H), 1.88 (s, 3H), 1.30 (s, 3H), 1.20 (s, 3H);

HRMS calcd m/z for $C_{28}H_{26}N_2OCl_2$: 476.1422 $(M+H)^+$. Found: 476.1428.

Example 75

2,5-dihydro-2,2,4-trimethyl-5-phenyl-10-(2-propynyloxy)-1H-[1]benzopyrano[3,4-f]quinoline

Example 7 and propargyl bromide were processed as in Example 9A to provide the desired compound.

MS (DCI/NH_3) m/z 408 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□1.12 (s, 3H), 1.23 (s, 3H), 1.83 (s, 3H), 3.59 (t, 1H,), 4.81 (d, 2H), 5.39 (br s, 1H), 6.19 (br s, 1H), 6.47 (d, 1H), 6.61 (d, 1H), 6.71 (d, 1H), 6.78 (s, 1H), 6.90 (t, 1H), 7.14-7.22 (m, 5H), 8.02 (d, 1H);

Anal. calcd for $C_{28}H_{25}NO_2$: C, 82.53; H, 6.18; N, 3.44. Found: C, 82.64; H 6.31; N, 3.38.

Example 76

2,5-dihydro-2,2,4-trimethyl-5-phenyl-10-(2-propenyloxy)-1H-[1]benzopyrano[3,4-f]quinoline

Example 7 and allyl bromide were processed as in Example 9A to provide the desired compound.

MS (DCI) m/z 410 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□1.15 (s, 3H), 1.23 (s, 3H), 1.84 (s, 3H), 4.51-4.64 (m, 2H), 5.26 (dq, 1H), 5.39 (br s, 1H), 5.40 (dq, 1H), 6.12 (ddt, 1H), 6.21 (br s, 1H), 6.44 (dd, 1H), 6.55 (dd, 1H), 6.69 (d, 1H), 6.77 (s, 1H), 6.88 (t, 1H), 7.15-7.24 (m, 5H), 8.06 (d, 1H);

HRMS calcd m/z for $C_{28}H_{27}NO_2$: 409.2042 $(M+H)^+$. Found: 409.2039.

Example 77

2,5-dihydro-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinoline-10-methanol

A solution of Example 4 (32 mg, 0.085 mmol) in dichloromethane (3 mL) under argon, at -78° C, was treated dropwise with diisobutylaluminum hydride (1.0 M) in cyclohexanes (0.400 mL, 0.40 mmol), warmed to 0 °C for 3.5 hours, treated with Rochelle's salt, separated, and extracted with ethyl acetate. The extract was dried ($MgSO_4$), filtered, and concentrated. The residue was applied to two 10 x 20 cm, 0.25 mm thick silica gel plates which were eluted three times with hexane, then ethyl acetate/hexanes (10:90). The product band was scraped off and extracted with ethyl acetate to provide the desired compound.

MS (DCI/NH_3) m/z 348 $(M+H)^+$;

^1H NMR□7.47 (d, 1H), 7.14 (m, 2H), 6.80 (dd, 1H), 6.64 (d, 1H), 6.17 (m, 1H), 5.81 (ddm, 1H), 5.73 (dd, 1H), 5.46 (m, 1H), 5.32 (dd, 1H), 5.02 (dm, 1H), 4.94 (dm, 1H), 4.62 (m, 2H), 2.30 (m, 2H), 2.17 (s, 3H), 1.19 (s, 3H), 1.16 (s, 3H);

HRMS Calcd m/z for $C_{23}H_{25}NO_2$: 347.1885 $(M+H)^+$. Found: 347.1897.

Example 78

2,5-dihydro-2,2,4-trimethyl-5-(2propenyl)-1H-[1]benzopyrano[3,4-f]quinoline-10-carboxylic acid

Example 74 and chlorotris(triphenylphosphate)rhodium(I) chloride were processed as in Example 3 to provide the desired compound.

MS (DCI/NH_3) m/z 437 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.91 (d, 1H), 7.44 (dd, 1H), 7.19 (d, 2H), 6.74 (d, 1H), 6.70 (s, 1H), 6.69 (dd, 1H), 6.26 (dd, 1H), 6.22 (s, 1H), 6.11 (dd, 1.0 Hz, 1H), 5.43 (s, 1H), 5.15 (s, 2H), 1.84 (s, 3H), 1.23 (s, 3H), 1.15 (s, 3H).

Example 79

5-(3,5-dichlorophenyl)-10-ethoxy-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 9A and 3,5-dichlorophenylmagnesium bromide were processed as in examples 1G and 1 to provide the desired compound.

MS (DCI) m/z 466 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.10 (d, 1H), 7.46 (t, 1H), 7.13 (d, 2H), 6.95 (dd, 1H), 6.81 (s, 1H), 6.72 (d, 1H), 6.60 (d, 1H), 6.51 (d, 1H), 6.32 (d, 1H), 5.44 (s, 1H), 3.99-4.12 (m, 1H), 1.87 (s, 3H), 1.37 (t, 3H), 1.23 (s, 3H), 1.20 (s, 3H);

HRMS calcd m/z for $C_{27}H_{25}NO_2Cl_2$: 465.1262 $(M+H)^+$. Found 465.1277.

Example 80

5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-10-ol

Example 7A and 3,5-dichlorobenzylmagnesium bromide were processed as in examples 7B and 7 to provide the desired compound.

MS (DCI) m/z 438, 440 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.79 (s, 1H), 8.18 (d, 1H), 7.44 (t, 1H), 7.12 (dd, 2H), 6.79 (d, 1H), 6.77 (s, 1H), 6.73 (d, 1H), 6.45 (d, 1H), 6.28 (dd, 1H), 6.23 (d, 1H), 5.43 (s, 1H), 1.87 (d, 3H), 1.22 (s, 3H), 1.16 (s, 3H);
HRMS calcd m/z for $C_{25}H_{21}Cl_2NO_2$: 437.0949 $(M+H)^+$. Found: 437.0955.

Example 81

5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-10-yl]methylcarbonate

Example 80 and methylchloroformate were processed as in examples 7B and 7 to provide the desired compound.
MS (DCI/NH_3) m/z 496 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.58 (d, 1H), 7.45 (t, 1H), 7.24 (m, 2H), 7.02 (t, 1H), 6.82 (s, 1H), 6.80 (dd, 1H), 6.75 (dd, 1H), 6.74 (d, 1H), 6.48 (s, 1H), 5.43 (s, 1H), 3.79 (s, 3H), 1.79 (s, 3H), 1.25 (s, 3H), 1.13 (s, 3H);
Anal. calcd for $C_{27}H_{23}NO_4Cl_2$ C, 65.33; H, 4.67; N, 2.82. Found: C, 65.12; H, 4.55, N, 2.79.

Example 82

2,5-dihydro-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinolin-10-ol

Example 7A and allylmagnesium bromide were processed as in examples 7B and 7 to provide the desired compound.
MS (DCI/NH_3) m/z 334 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.77 (s, 1H), 8.10 (d, 1H), 6.88 (t, 1H), 6.58 (d, 1H), 6.53 (d, 1H), 6.35 (d, 1H), 6.05 (s, 1H), 5.89-5.72 (m, 2H), 5.44 (s, 1H), 5.03 (d, 1H), 4.99 (d, 1H), 2.50-2.40 (m, 1H), 2. 25-2.18 (m, 1H), 2.16 (s, 3H), 1.16, (s, 3H), 1.15 (s, 3H);
HRMS calcd m/z for $C_{22}H_{23}NO_2$: 333.1729 $(M+H)^+$. Found 333,1734.

Example 83

10-(bromodifluoromethoxy)-2,5-dihyro-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 82 and dibromodifluoromethane were processed as in examples 7B and 7 to provide the desired compound.
MS (DCI/NH_3) m/z 462 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.60 (d, 1H), 7.21 (t, 1H), 7.0 (m, 1H), 6.95 (dd, 1H), 6.64 (d, 1H), 6.35 (s, 1H), 5.89-5.76 (m, 2H), 5.46 (s, 1H), 5.04 (dd, 1H), 4.96 (dd, 1H), 2.55-2.44 (m, 1H), 2.33-2.25 (m, 1H), 2.18 (s, 3H), 1.19, (s, 3H), 1.17 (s, 3H);

HRMS calcd m/z for $C_{23}H_{22}F_2NO_2Br$: 461.0802 $(M+H)^+$. Found 461.0815.

Example 84

[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] methylcarbonate

Example 13 and methylchloroformate were processed as in Example 10 to provide the desired compound.
MS (DCI/NH_3) m/z 458 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.25 (t, 1H), 7.12 (d, 1H), 7.01 (q, 1H), 6.90 (q, 2H), 6.78 (s, 1H), 6.72 (d, 1H), 6.57 (q, 1H), 6.44 (q, 1H), 6.20 (d, 1H), 5.39 (s, 1H), 3.80 (s, 3H), 3.63 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{28}H_{27}NO_5$: C, 73.50; H, 5.94; N, 3.06. Found: C, 73.63; H, 6.20; N, 2.86.

Example 85

2,5-dihydro-10-methoxy-5-(3-methoxyphenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and methyl iodide were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 414 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.13 (t, 1H), 6.92 (t, 1H), 6.75-6.67 (m, 5H), 6.57 (dd, 1H), 6.46 (dd, 1H), 6.20 (d, 1H), 5.39 (s, 1H), 3.80 (s, 3H), 3.63 (s, 3H), 1.88 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{27}H_{27}NO_3$: C, 78.42; H, 6.58; N, 3.38. Found: C, 78.58; H, 6.55; N, 3.23.

Example 86

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(2-propenyloxy)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and allyl bromide were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 440 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.13 (t, 1H), 6.92 (t, 1H), 6.78-6.67 (m, 5H), 6.56 (d, 1H), 6.46 (d, 1H), 6.20 (d, 1H), 5.95 (m, 1H), 5.40 (s, 1H), 5.31 (dd, 1H), 5.21 (dd, 1H), 4.42 (d, 2H), 3.80 (s, 3H), 1.86 Cs, 3H), 1.23 (s, 3H), 1.16 Cs, 3H);
Anal. calcd for $C_{29}H_{29}NO_3$: C, 79.24; H, 6.64; N, 3.18. Found: C, 78.87; H, 6.46; N, 3.07.

Example 87

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(phenylmethoxy)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and benzyl bromide were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 490 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.06 (d, 1H), 7.40 (m, 5H), 7.18 (t, 1H), 6.97 (t, 1H), 6.90-6.85 (m, 2H), 6,80-6.74 (m, 3H), 6.62 (d, 1H), 6.48 (d, 1H), 6.24 (d, 1H), 5.45 (s, 1H), 5.03 (d, 2H), 3.85 (s, 3H), 1.92 (s, 3H), 1.29 (s, 3H), 1.21 (s, 3H);
Anal. calcd for $C_{33}H_{31}NO_3$: C, 80.95; H, 6.38; N, 2.86. Found: C, 80.81; H, 6.24; N, 2.96.

Example 88

5-[3-(cyclopropylmethoxy)phenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and cyclopropylmethyl bromiode were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 454 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.12 (t, 1H), 6.92 (t, 1H), 6.74-6.68 (m, 5H), 6.55 (d, 1H), 6.46 (d, 1H), 6.20 (s, 1H), 5.39 (s, 3H), 3.79 (s, 3H), 3.66 (d, 2H), 1.86 (s, 3H), 1.23 (s, 3H), 1.16 (s, 3H), 1.12 (m, 1H), 0.50 (q, 2H), 0.24 (q, 2H);
Anal. calcd for $C_{30}H_{31}NO_3$: C, 79.44; H, 6.88; N, 3.08. Found: C, 79.12; H, 6.72; N, 2.99.

Example 89

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-[2-(1-piperidinyl)ethoxy]pheny]-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and 1-(2-chloroethyl)piperidine were processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 511 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.12 (t, 1H), 6.92 (t, 1H), 6.77-6.68 (m, 5H), 6.57 (d, 1H), 6.46 (d, 1H), 6.20 (d, 1H), 5.39 (s, 1H), 3.91 (t, 2H), 3.80 (s, 3H), 2.55 (t, 2H), 2.35 (b, 4H), 1.92 (s, 3H), 1.46 (b, 4H), 1.36 (b, 2H), 1.22 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{33}H_{38}N_2O_3 \cdot 0.5H_2O$: C, 76.27; H, 7.56; N, 5.39. Found: C, 76.26; H, 7.38; N, 5.28.

Example 90

5-(3-hexyloxyphenyl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl-

1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and hexyl iodide were processed as in Example 14 to provide the desired compound.

MS (DCI/NH_3) m/z 484 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.09 (t, 1H), 6.92 (t, 1H), 6.75-6.67 (m, 5H), 6.56 (dd, 1H), 6.46 (dd, 1H), 6.18 (d, 1H), 5.40 (s, 1H), 3.81 (t, 2H), 3.79 (s, 3H), 1.87 (s, 3H), 1.60 (m, 2H), 1.36-1.23 (b, 6H), 1.22 (s, 3H), 1.16 (s, 3H), 0.86 (t, 3H);

HRMS calcd m/z for $C_{32}H_{37}NO_3$: 483.2773 $(M+H)^+$. Found: 483.2776.

Example 91

5-[3-(2,4-dinitrophenoxy)phenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and 1-fluoro-2,4-dinitrobenzene were processed as in Example 14 to provide the desired compound.

MS (DCI/NH_3) m/z 566 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.87 (d, 1H), 8.38 (dd, 1H), 7.88 (d, 1H), 7.40 (t, 1H), 7.20-7.08 (m, 2H), 7.20-7.08 (m, 2H), 6.81 (s, 1H), 6.72 (d, 1H), 6.68 (d, 1H), 6.62 (d, 1H), 6.46 (dd, 1H), 6.24 (d, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 1.90 (s, 3H), 1.19 (s, 3H), 1.13 (s, 3H);

Anal. calcd for $C_{32}H_{27}N_3O_7$: C, 67.95; H, 4.81; N, 7.42. Found: C, 68.20; H, 5.05; N, 7.20.

Example 92

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(2-propynyloxy)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and propargyl bromide were processed as in Example 14 to provide the desired compound.

MS (DCI/NH_3) m/z 566 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.13 (t, 1H), 6.92 (t, 1H), 6.80-6.68(m, 5H), 6.56 (d, 1H), 6.48 (d, 1H), 6.18 (d, 1H), 5.39 (s, 1H), 4.67 (d, 2H), 3.80 (s, 3H), 3.50 (t, 1H), 1.87 (s, 3H), 1.23 (s, 3H), 1.16 (s, 3H);

Example 93

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenol 4-methylbenzenesulfonate (ester)

Example 13 and p-toluenesulfonyl chloride were processed as in Example 15 to provide the desired compound.

MS (DCI/NH_3) m/z 554 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.99 (d, 1H), 7.47 (d, 2H), 7.36 (d, 4H), 7.22 (t, 1H), 7.13 (d, 1H), 6.97 (t, 1H), 6.85-6.78 (m, 2H), 6.70 (d, 1H), 6.68 (s, 1H), 6.59 (dd, 1H), 6.37 (dd, 1H), 6.24 (d, 1H), 5.39 (s, 1H), 3.80 (s, 3H), 2.43 (s, 3H), 1.74 (s, 3H), 1.24 (s, 3H), 1.18 (s, 3H);
Anal. calcd for $C_{33}H_{31}NO_5S$: C, 71.58; H, 5.64; N, 2.52. Found: C, 71.49; H, 5.75; N, 2.40.

Example 94

4-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenolacetate (ester)

Example 1F and 4-methoxymethoxyphenyl bromide were processed as in examples 12A-C to provide the desired compound.
MS (DCI/NH_3) m/z 442 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.19 (d, 2H), 6.99 (d, 2H), 6.91 (t, 1H), 6.79 (s, 1H), 6.71 (d, 1H), 6.58 (d, 1H), 6.46 (dd, 1H), 6.21 (d, 1H), 5.39 (s, 1H), 3.79 (s, 3H), 2.19 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{28}H_{27}NO_4$: C, 76.16; H, 6.16; N, 3.17. Found: C, 75.79; H, 6.24; N, 3.03.

Example 95

4-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenol

Example 94 was processed as in Example 13 to provide the desired compound.
MS (DCI/NH_3) m/z 400 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.29 (s, 1H), 8.05 (d, 1H), 7.00 (d, 2H), 6.95 (t, 1H), 6.74 (d, 2H), 6.72 (s, 1H), 6.63-6.58 (m, 3H), 6.44 (dd, 1H), 6.15 (s, 1H), 5.41 (s, 1H), 3.83 (s, 3H), 1.90 (s, 3H), 1.28 (s, 3H), 1.20 (s, 3H);
Anal. calcd for $C_{26}H_{25}NO_3$: C, 78.17; H, 6.30; N, 3.50. Found: C, 78.59; H, 6.20; N, 3.12.

Example 96

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[[4-(methylthio)methoxy]phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 95 was processed as in Example 14 to provide the desired compound.
MS (DCI/NH_3) m/z 460 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.17 (d, 2H), 6.90 (t, 1H), 6.82 (d, 2H), 6.72 (s, 1H), 6.69 (d, 1H), 6.56 (d, 1H), 6.42 (d, 1H), 6.17 (s, 1H), 5.38 (s, 1H), 5.16 (s, 2H), 3.80 (s, 3H), 2.11 (s, 3H), 1.85 (s 3H), 1.23 (s, 3H), 1.16 (s, 3H);

Anal. calcd for $C_{28}H_{29}NO_3S$: C, 73.17; H, 6.35; N, 3.04. Found: C, 72.86; H, 6.62; N, 2.69.

Example 97

[4-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] dimethylcarbamate

Example 95 and dimethylcarbanoylchloride were processed as in Example 15 to provide the desired compound.

MS (DCI/NH_3) m/z 471 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.15 (d, 2H), 6.98 (d, 2H), 6.90 (d, 1H), 6.76 (s, 1H), 6.70 (d, 1H), 6.57 (d, 1H), 6.44 (d, 1H), 6.22 (d, 1H), 5.40 (s, 1H), 3.80 (s, 3H), 2.98 (s, 3H), 2.85 (s, 3H), 1.86 (s, 3H), 1.23 (s, 3H), 1.15 (s, 3H).

Example 98

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[4-(phenylmethoxy)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 95 and benzyl bromide were processed as in Example 14 to provide the desired compound.

MS (DCI/NH_3) m/z 490 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.40-7.28 (m, 4H), 7.08 (d, 2H), 6.90 (t, 1H), 6.84 (d, 2H), 6.72 (s, 1H), 6.70 (d, 1H), 6.55 (d, 1H), 6.41 (d, 1H), 6.15 (s, 1H), 5.37 (s, 1H), 4.96 (s, 2H), 3.80 (s, 3H), 1.85 (s, 3H), 1.23 (s, 3H), 1.15 (s, 3H);

Anal. calcd for $C_{33}H_{31}NO_3$: C, 80.95; H, 6.38; N, 2.86. Found: C, 81.02; H, 6.25; N, 2.76.

Example 99

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(methoxymethoxy)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 13 and methoxymethyl chloride were processed as in Example 14 to provide the desired compound.

MS (DCI/NH_3) m/z 444 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.14 (t, 1H), 6.92 (t, 1H), 6.83-6.75 (m, 4H), 6.70 (d, 1H), 6.58 (d, 1H), 6.47 (q, 1H), 6.21 (s, 1H), 5.40 (s, 1H), 5.06 (s, 2H), 3.80 (s, 3H), 3.30 (s, 3H), 1.89 (s, 3H), 1.24 (s, 3H), 1.16 (s, 3H);

HRMS calcd m/z for $C_{28}H_{29}NO_4$: 443.2097 $(M+H)^+$. Found: 443.2098.

Example 100

[(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] 1-morpholinecarboxylate

Example 13 and morpholine were processed as in Example 15 to provide the desired compound.
MS (DCI/NH$_3$) m/z 513 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.01 (d, 1H), 7.22 (t, 1H), 7.05 (d, 1H), 6.93 (t, 2H), 6.83 (s, 1H), 6.77 (s, 1H), 6.71 (d, 1H), 6.57 (d, 1H), 6.48 (q, 1H), 6.23 (d, 1H), 5.40 (s, 1H), 3.80 (s, 3H), 3.60 (t, 4H), 3.50 (b, 4H), 1.86 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H);
HRMS calcd m/z for $C_{31}H_{32}N_2O_5$: 512.2311 (M+H)$^+$. Found: 512.2328.

Example 101

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-[(methylsulfinyl)methoxy]phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 14 (12 mg, 0.005 mmol) in methanol (1 mL) at 0 °C was treated sequentially with TeO$_2$ (1.6 mg, 0.01 mmol) and acetic acid (50 mg, 0.83 mmol), stirred at ambient temperature overnight, treated with saturated NaHCO$_3$ and extracted with dichloromethane. The extract was washed with water and brine, dried (MgSO$_4$), filtered, and concentrated to yield
MS (DCI/NH$_3$) m/z 476 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.01 (dd, 1H), 7.15 (dt, 1H), 6.92 (m, 3H), 6.78 (t, 1H), 6.74 (s, 1H), 6.70 (d, 1H), 6.58 (d, 1H), 6.47 (d, 1H), 6.19 (d, 1H), 5.40 (d, 1H), 5.12 (dd, 1H), 4.93 (q, 1H), 3.79 (d, 3H), 2.57 (d, 3H), 1.87 (d 3H), 1.24 (d, 3H), 1.16 (d, 3H);
HRMS calcd m/z for $C_{28}H_{29}NO_4S$: 475.1817 (M+H)$^+$. Found: 475.1819.

Example 102

O-[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] ester

Example 13 and thiocarbanoyl chloride were processed as in Example 16 to provide the desired compound.
MS (DCI/NH$_3$) m/z 487 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.01 (d, 1H), 7.22 (t, 1H), 7.13 (d, 1H), 6.92 (t, 1H), 6.85 (d, 1H), 6.78 (s, 1H), 6.72 (s, 1H), 6.59 (d, 1H), 6.57 (d, 1H), 6.45 (d, 1H), 6.21 (s, 1H), 5.39 (s, 1H), 3.80 (s, 3H), 3.29 (s, 3H), 3.22 (s, 3H), 1.86 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H).

Example 103

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(methylthio)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 103A

A solution of 3-bromophenylmethoxymethyl ether (3.50 g, 15.0 mmol) in THF (150 mL) at -78 °C was treated with n-butyllithium (2.5 M in hexanes, 6.00 mL) over 5 minutes, warmed to -30 °C, cooled to -78 °C, treated with Example 1F in one portion, warmed to -40 °C, quenched with saturated NH_4Cl, warmed to ambient temperature, and allowed to settle. The supernatant was decanted and concentrated, and the residue was partitioned between water and ethyl acetate. The organic layer was washed sequentially with water and brine, dried (Na_2SO_4) and concentrated. Flash chromatography of the residue on silica gel with 20-25% ethyl acetate/hexane provided the desired compound.
MS (DCI/NH_3) m/z 476 $(M+H)^+$

Example 103

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-(methylthio)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 103A (20 mg, 0.042 mmol) and triethylsilane (49 mg, 0.42 mmol) in dichloromethane (1 mL) at ambient temperature was treated with $BF_3 \cdot OEt_2$ (60 mg, 0.42 mmol), stirred for 24 hours, and treated with saturated $NaHCO_3$. The aqueous layer was extracted with dichloromethane, and the combined extracts were washed sequentially with 1M NaOH and brine, dried (Na_2SO_4), filtered, and concentrated. Flash chromatography of the residue on silica gel with 10-25% ethyl acetate/hexane provided the desired compound.
MS (DCI/NH_3) m/z 430 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.15 (t, 1H), 7.05 (s, 1H), 7.03 (d, 1H), 6.93 (t, 1H), 6.89 (s, 1H), 6.74 (s, 1H), 6.70 (d, 1H), 6.57 (d, 1H), 6.46 (d, 1H), 6.19 (d, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 3.33 (s, 3H), 1.88 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H);
HRMS calcd m/z for $C_{27}H_{27}NO_2S$: 429.1763 $(M+H)^+$. Found: 429.1764.

Example 104

O-[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] methylcarbonothioate

Example 95 and methyl thiochloroformate were processed as in Example 15 to provide the desired compound.
MS (DCI/NH_3) m/z 474 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.26 (t, 1H), 7.12 (d, 1H), 7.01 (q, 1H), 6.89 (t, 1H), 6.87 (s, 1H), 6.78 (s, 1H), 6.72 (d, 1H), 6.57 (q, 1H), 6.44 (q, 1H), 6.20 (d, 1H), 5.39 (s, 1H), 3.78 (s, 3H), 2.35 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{28}H_{27}NO_4S$: C, 71.01; H, 5.74; N, 2.95. Found: C, 70.77; H, 5.74; N, 2.79.

Example 105

[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl]-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl] trifluoromethanesulfonate

A solution of Example 13 (100 mg, 0.25 mmol), triethylamine (70 uL, 0.5 mmol), and 4-dimethylaminopyridine (catalytic) in dichloromethane (10 mL) at -78 °C was treated dropwise with trifluoromethanesulfonic anhydride (50 □L, 0.30 mmol), stirred for 30 minutes at -78 °C, poured into saturated $NaHCO_3$, and extracted with ethyl acetate. The extract was washed sequentially with water and brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 15-85% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 532 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.44 (t, 1H), 7.30 (m, 2H), 7.17 (s, 1H), 6.93 (t, 1H), 6.83 (s, 1H), 6.71 (d, 1H), 6.57 (d, 1H), 6.43 (d, 1H), 6.28 (d, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{27}H_{24}NO_5SF_3$: C, 61.01; H, 4.55; N, 2.64. Found: C, 61.17; H, 4.60; N, 2.51.

Example 106

5-[3-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 52 (92.9 mg, 0.20 mmol), 2-trimethylstannyl-4,4-dimethyloxazoline (210 mg, 0.80 mmol), and [1,1'-is(diphenylphosphino)-ferrocene]dichloropalladium(II) (16 mg, 0.02 mmol) in 1-methyl-2-pyrrolidinone (2 mL) were purged with N_2, heated at 85 °C for 3 hours, partitioned between ethyl acetate (50 mL) and saturated KF (30 mL), stirred for 1 hour, and filtered through a pad of powdered sea shells (Celite®). The filtrate was washed with water, brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 0-30% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 481 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.64 (d, 1H), 7.62 (s, 1H), 7.41 (d, 1H), 7.32 (t, 1H), 6.92 (t, 1H), 6.82 (s, 1H), 6.71 (d, 1H), 6.56 (dd, 1H), 6.47 (dd, 1H), 6.25

(d, 1H), 5.40 (s, 1H), 4.02 (s, 2H), 3.78 (s, 3H), 1.84 (s, 3H), 1.25 (s, 3H), 1.22 (s, 6H), 1.16 (s, 3H);
Anal. calcd for $C_{31}H_{32}N_2O_3 \cdot 0.7H_2O$: C, 75.49; H, 6.85; N, 5.68. Found: C, 75.83; H, 6.88; N, 5.29.

Example 107

ethyl 3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)benzoate

Example 106 (48 mg, 0.1 mmol) in 1.5 M sulfuric acid in ethanol (5 mL) was refluxed for 16 hours, cooled, poured into saturated $NaHCO_3$, and extracted with ethyl acetate. The extract was washed with brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 30% ethyl acetate/hexanes to provide the desired compound.
MS (DCI/NH_3) m/z 456 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.76 (m, 2H), 7.48 (d, 1H), 7.38 (t, 1H), 6.91 (t, 1H), 6.85 (s, 1H), 6.72 (d, 1H), 6.56 (dd, 1H), 6.46 (dd, 1H), 6.26 (d, 1H), 5.40 (s, 1H), 4.23 (q, 2H), 3.78 (s, 3H), 1.84 (s, 3H), 1.25 (t, 3H), 1.24 (s, 3H), 1.16 (s, 3H);
HRMS m/z calcd for $C_{29}H_{30}NO_4$: 456.2175 $(M+H)^+$. Found: 456.2175

Example 108

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)benzoic acid

Example 107 (20 mg, 0.04 mmol) and $LiOH \cdot H_2O$ (16.8 mg, 0.4 mmol) in 1:1:1 THF/methanol/water (3 mL) was stirred for 48 hours, and concentrated. The residue was dissolved in 1M NaOH (2 mL), washed with diethyl ether, treated with 1M HCl to pH 3, and extracted with ethyl acetate. The extract was dried (Na_2SO_4), filtered, and concentrated to provide the desired compound.
MS (DCI/NH_3) m/z 428 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, 1H), 7.73 (m, 2H), 7.46 (d, 1H), 7.35 (t, 1H), 6.91 (t, 1H), 6.83 (s, 1H), 6.71 (d, 1H), 6.55 (dd, 1H), 6.46 (dd, 1H), 6.22 (d, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 1.83 (s, 3H), 1.24 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{27}H_{25}NO_4$: C, 72.86; H, 5.89; N, 3.28. Found: C, 72.89; H, 6.00; N, 2.94.

Example 109

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-methyl-5-(2-propenyl)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 52 and allyltributyltin were processed as in Example 16 to provide the desired compound.

MS (DCI/NH$_3$) m/z 438 (M+H);

^{1}H NMR (300 MHz, DMSO-d_6)□7.99 (d, 1H), 6.91 (t, 1H), 6.80 (m, 3H), 6.70 (s, 1H), 6.68 (d, 1H), 6.56 (dd, 1H), 6.44 (dd, 1H), 6.16 (d, 1H), 5.78 (ddt, 1H), 5.39 (s, 1H), 4.94 (dq, 1H), 4.88 (dq, 1H), 3.78 (s, 3H), 3.17 (d, 2H), 2.13 (s, 3H), 1.86 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H);

Anal. calcd for $C_{30}H_{31}NO_2$: C, 82.35; H, 7.14; N, 3.20. Found: C, 81.99; H, 7.14; N, 2.98.

Example 110

1-[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-5-methylphenyl]ethanone

Example 52 and tributyl(1-ethoxyvinyl)tin in dichloroethane (20 mL) was treated with silica gel (1.0 g) and formic acid (10 drops), heated to 40 °C for 6 hours, treated with water, and extracted with ethyl acetate. The extract was washed with brine, dried (MgSO$_4$), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 5-10% ethyl acetate/hexanes to provide the desired compound.

MS (DCI/NH$_3$) m/z 440 (M+H);

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.61 (s, 1H), 7.51 (s, 1H), 7.28 (s, 1H), 6.92 (t, 1H), 6.80 (s, 1H), 6.72 (d, 1H), 6.56 (dd, 1H), 6.49 (dd, 1H), 6.24 (m, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 2.44 (s, 3H), 2.26 (s, 3H), 1.84 (s, 3H), 1.23 (s, 3H), 1.16 (s, 3H).

Example 111

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-5-trimethylbenzenemethanol

A solution of Example 110 (0.022 g, 0.050 mmol) in THF (5 mL) at 0 °C was treated with methylmagnesium chloride (3M in THF, 0.83 □L), warmed to room temperature, stirred for 1 hour, treated with saturated NH$_4$Cl, separated, and extracted with ethyl acetate. The extract was washed with brine and dried (MgSO$_4$), filtered, and concentrated to provide the desired compound.

MS (DCI/NH$_3$) m/z 456 (M+H);

^{1}H NMR (300 MHz, DMSO-d_6)□7.98 (d, 1H), 7.11 (s, 1H), 7.08 (s, 1H), 6.91 (t, 8H), 6.78-6.63 (m, 3H), 6.55 (d, 1H), 6.46 (d, 1H), 6.18 (m, 1H), 5.39 (s, 1H), 4.84 (s, 1H), 3.73 (s, 3H), 2.14 (s, 3H), 1.88 (s, 3H), 1.24 (s, 3H), 1.23 (s, 3H), 1.22 (s, 3H), 1.16 (s, 3H).

Example 112

5-[3-(2-furanyl)phenyl]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 52 and 2-(tributylstannyl)furan were processed as in Example 16 to provide the desired compound.
MS (DCI/NH$_3$) m/z 456, 450 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.0 (d, 1H), 7.69 (s, 1H), 7.48 (d, 1H), 7.23 (t, 1H), 7.05 (d, 1H), 6.88 (t, 1H), 6.81 (s, 2H), 6.70 (d, 1H), 6.54 (m, 2H), 6.47 (d, 1H), 6.23 (s, 1H), 5.41 (s, 1H), 3.78 (s, 3H), 1.88 (s, 3H), 1.24 (s, 3H), 1.16 (s, 3H);
Anal. calcd for $C_{30}H_{27}NO_3 \cdot H_2O$: C, 77.07; H, 6.25; N, 3.00. Found: C, 77.27; H, 5.97; N, 3.23.

Example 113

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-methyl-5-(1H-pyrrolidin-1-yl)phenyl]-1H-[1]benzopyrano[3,4-f]quinoline

Example 11 and pyrrolidine were processed as in Example 17 to provide the desired compound.
MS (DCI/NH$_3$) m/z 467 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.97 (d, 1H), 6.93 (t, 1H), 6.67 (s, 1H), 6.67 (d, 1H), 6.56 (d, 1H), 6.49 (d, 1H), 6.22 (s, 1H), 6.14 (m, 3H), 5.39 (s, 1H), 3.79 (s, 3H), 3.04 (m, 4H), 2.07 (s, 3H), 1.92 (s, 3H), 1.87 (m, 4H), 1.21 (s, 3H), 1.17 (s, 3H).

Example 114

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-methyl)-5,N-dimethylbenzenamine

Example 11 and methylamine were processed as in Example 17 to provide the desired compound.
MS (DCI/NH$_3$) m/z 427 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.98 (d, 1H), 6.92 (t, 1H), 6.67 (d, 1H), 6.61 (s, 1H), 6.56 (d, 1H), 6.46 (d, 1H), 6.18 (br s, 2H), 6.14 (br s, 1H), 6.10 (s, 1H), 5.58 (q, 1H), 5.38 (br s, 1H), 3.79 (s, 3H), 2.50 (d, 3H), 2.04 (s, 3H), 1.90 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{28}H_{30}N_2O_2 \cdot 0.5H_2O$: C, 77.21; H, 7.17; N, 6.43. Found: C, 77.65; H, 7.13; N, 5.97.

Example 115

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-5-methyl-N-(2-propenyl)benzamide

Example 11 and allylamine were processed as in Example 17 to provide the desired compound.
MS (DCI/NH_3) m/z 453 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.98 (d, 1H), 6.92 (t, 1H), 6.67 (d, 1H), 6.56 (d, H), 6.45 (d, 1H), 6.24 (br s, 1H), 6.14 (m, 3H), 5.76 (m, 1H), 5.63 (t, 1H), 5.37 (br s, 1H), 5.10 (qd, 1H), 5.02 (qd, 1H), 3.79 (s, 3H), 3.50 (m, 2H), 2.02 (s, 3H), 1.89 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{23}H_{25}NO_2$: C, 79.51; H, 7.25; N, 4.03. Found: C, 79.35; H, 7.30; N, 3.89.

Example 116

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-N-(2-methoxyethyl)-5-methylbenzenamine

Example 11 and 2-methoxyethylamine were processed as in Example 17 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.98 (d, 1H), 6.95 (t, 1H), 6.65 (d, 1H), 6.60 (s, 1H), 6.54 (d, 1H), 6.44 (d, 1H), 6.22 (s, 1H), 6.17 (s, 2H), 6.13 (s, 1H), 5.41 (t, 10H), 5.38 (s, 1H), 3.79 (s, 3H), 3.26 (q, 2H), 3.20 (s, 3H,), 2.98 (q, 2H), 2.03 (s, 3H), 1.90 (s, 3H), 1.22 (s, 3H), 1.15 (s, 3H).

Example 117

3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-N-(2-propenyl)benzenamine

Example 52 and allylamine were processed as in Example 17 to provide the desired compound.
MS (DCI/NH_3) m/z 439 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.99 (d, 1H), 6.91 (t, 1H), 6.86 (t, 1H), 6.67 (d, 1H), 6.63 (s, 1H), 6.55 (d, 1H), 6.44 (m, 2H), 6.33 (m, 2H), 6.14 (d, 1H), 5.78 (m, 2H), 5.37 (s, 1H), 5.12 (qd, 1H), 5.03 (qd, 1H), 3.79 (s, 3H), 3.51 (m, 2H), 1.88 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H);
Anal. calcd for $C_{29}H_{30}N_2O_2$: C, 79.42; H, 6.89; N, 6.39. Found: C, 79.03; H, 7.05; N, 6.17.

Example 118

N'-[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-5-methylphenyl]-N,N-dimethylurea

A solution of Example 115 (0.112 g, 0.247 mmol) in 10% ethanol/water (10 mL) was treated with 1,4-diazabicyclo[2.2.2]octane (0.056 g, 0.495 mmol) and chlorotris(triphenylphosphine)rhodium(I) (0.115 g, 0.124 mmol), refluxed for 15 hours, poured into 5% HCl, stirred 20 minutes, neutralized with $NaHCO_3$, and extracted with ethyl acetate. The extract was washed with brine, dried (Na_2SO_4), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 20-33% ethyl acetate/hexanes to provide the desired aniline.

The aniline (0.030 g, 0.073 mmol) was dissolved in 2:1/toluene:THF (7 mL), treated sequentially with diisopropylethylamine (38 □L, 0.218 mmol) and N,N-dimethylcarbamoyl chloride (20 □L, 0.218 mmol), refluxed for 18 hours, cooled, treated with water, and extracted with ethyl acetate. The extract was washed with brine, dried ($MgSO_4$), filtered, and concentrated. The residue was purified by flash chromatography on silica gel with 25-50% ethyl acetate/hexanes to provide the desired compound.

^1H NMR (300 MHz, DMSO-d_6)□8.15 (s, 1H), 7.98 (d, 1H), 7.10 (br s, 2H), 6.91 (t, 1H), 6.69 (d, 1H), 6.63 (s, 1H), 6.56 (d, 1H), 6.54 (s, 1H), 6.46 (d, 1H), 6.16 (br s, 1H), 5.38 (s, 1H), 2.85 (s, 6H), 2.09 (s, 3H), 1.86 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H);
HRMS m/z calcd for $C_{30}H_{39}N_3O_3$: 484.2600 $(M+H)^+$. Found: 484.2601.

Example 119

N-[3-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)phenyl]benzenemethanamine

Example 11 and benzylamine were processed as in Example 17 to provide the desired compound.

^1H NMR (300 MHz, DMSO-d_6)□7.97 (d, 1H), 7.23 (m, 5H), 6.80 (m, 2H), 6.65 (d, 1H), 6.59 (s, 1H), 6.53 (d, 2H), 6.49 (s, 1H), 6.20 (m, 3H), 6.16 (t, 1H), 6.12 (s, 1H), 5.35 (s, 1H), 4.10 (b, 2H), 3.78 (s, 3H), 1.83 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H);
HRMS m/z calcd for $C_{33}H_{32}O_2N_2$: 488.2464 $(M+H)^+$. Found: 488.2468.

Example 120

5-[(3,5-dichlorphenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 3,5-dichlorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.

MS (DCI/NH_3) m/z 464 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.17 (d, 1H), 7.32 (s, 1H), 6.96 (s, 2H), 6.81-6.74 (m, 4H), 6.45 (s, 2H), 5.11 (s, 1H), 3.93 (s, 3H), 1.88 (s, 3H), 1.22 (s, 3H), 0.89 (s, 3H); isomer 2:□8.29 (d, 1H), 7.78 (s, 2H), 7.45 (s, 1H), 7.23 (t, 1H), 7.18 (d, 1H), 7.16 (d, 1H), 6.84 (d, 1H), 6.66 (s, 1H), 5.59 (s, 1H), 5.47 (s, 1H), 3.93 (s, 3H), 1.96 (s, 3H), 1.27 (s, 6H);

HRMS calcd m/z for $C_{27}H_{23}Cl_2NO_2$: 463.1106 $(M+H)^+$. Found: 463.1112.

Example 121

5-[(4-chlorophenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 4-chlorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 430 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.26 (d, 1H), 7.75 (d, Hz, 2H), 7.42 (d, 2H), 7.18 (t, 2H), 6.89 (d, 1H), 6.74 (d, 1H), 6.61 (s, 1H), 5.54 (s, 1H), 5.46 (s, 1H), 3.91 (s, 3H), 1.97 (s, 3H), 1.26 (s, 6H); isomer 2:□8.13 (s, 1H), 7.18 (t, 1H), 7.04 (d, 2H), 6.82-6.71 (m, 5H), 6.46 (s, 1H), 6.41 (s, 1H), 5.04 (s, 1H), 3.91 (s, 3H), 1.84 (s, 3H), 1.22 (s, 3H), 0.90 (s, 3H);
HRMS calcd m/z for $C_{27}H_{24}ClNO_2$: 429.1496 $(M+H)^+$. Found: 429.1500.

Example 122

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[[3-(trifluoromethyl)phenyl]methylene]-1H-[1]-benzopyrano[3,4-f]quinoline

Example 1F and 3-trifluoromethylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 464 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.28 (d, 1H), 8.13 (s, 1H), 7.98 (d, 1H), 7.65-7.56 (m, 1H), 7.33-7.39 (m, 1H), 7.21 (t, 1H), 6.83-6.78 (m, 2H), 6.75 (t, 1H), 6.64 (s, 1H), 5.68 (s, 1H), 5.48 (s, 1H), 3.92 (s, 3H), 1.99 (s, 3H), 1.27 (s, 6H); isomer 2:□8.17 (d, 1H), 7.65-7.56 (m, 1H), 7.45 (d, 1H), 7.39-7.33 (m, 1H), 7.27 (d, 1H), 7.17 (t, 1H), 6.83-6.78 (m, 2H), 6.75 (t, 1H), 6.56 (s, 1H), 6.40 (s, 1H), 5.01 (s, 1H), 3.92 (s, 3H), 1.88 (s, 3H), 1.19 (s, 3H), 0.78 (s, 3H);
HRMS calcd m/z for $C_{28}H_{24}F_3NO_2$: 463.1759 $(M+H)^+$. Found: 463.1762.

Example 123

5-[(2,6-difluorophenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2,6-difluorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 432 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.32 (d, 1H), 7.19 -7.08 (m, 3H), 6.92 (t, 1H), 6.81 - 6.76 (m, 2H), 6.64 (s, 1H), 6.54 (d, 1H), 5.49 (s, 1H), 5.46 (s, 1H), 3.92 (s, 3H), 2.11 (s, 3H), 1.25 (s, 6H); isomer 2:□8.18 (d, 1H), 7.38 (t, 1H), 7.19-7.08 (m, 3H),

6.81-6.76 (m, 2H), 6.67 (d, 1H), 6.21 (s, 1H), 6.19 (s, 1H), 4.96 (s, 1H), 3.93 (s, 3H), 1.91 (s, 3H), 1.16 (s, 3H), 0.61 (s, 3H);
HRMS calcd m/z for $C_{27}H_{23}F_2NO_2$: 431.1697 (M+H)$^+$. Found: 431.1704.

Example 124

5-[(2-chlorophenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2-chlorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 430 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d_6) isomer 1: □8.11 (d, 1H), 7.47 (d, 1H), 7.40 (d, 1H), 7.23-7.10 (m, 3H), 6.84-6.74 (m, 2H), 6.71 (s, 1H), 6.66 (s, 1H), 6.01 (s, 1H), 5.47 (s, 1H), 3.93 (s, 3H), 2.02 (s, 3H), 1.25 (s, 6H); isomer 2: □8.27 (d, 1H), 8.18 (d, 1H), 7.41 (t, 1H), 7.26 (d, 1H), 7.01 (t, 1H), 6.84-6.74 (m, 4H), 6.47 (s, 1H), 6.37 (s, 1H), 5.00 (s, 1H), 3.93 (s, 3H), 1.88 (s, 3H), 1.18 (s, 3H), 0.73 (s, 3H);
HRMS calcd m/z for $C_{27}H_{24}ClNO_2$: 429.1496 (M+H)$^+$. Found: 429.1497.

Example 125

5-[(2,6-dichlorophenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2,6-dichlorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 464 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d_6) isomer 1: □8.27 (d, 1H), 7.16 (m, 2H), 7.07 (t, 1H), 6.81-6.76 (m, 3H), 6.68 (d, 1H), 6.30 (s, 1H), 5.47 (s, 1H), 4.90 (s, 1H), 3.93 (s, 3H), 1.96 (s, 3H), 1.15 (s, 3H), 0.59 (s, 3H); isomer 2: □8.37 (d, 1H), 7.45 (d, 2H), 7.31 (t, 1H), 7.16 (m, 2H), 6.77 (m, 1H), 6.65 (s, 1H), 6.44 (d, 1H), 6.34 (s, 1H), 5.60 (s, 1H), 3.91 (s, 3H), 2.20 (s, 3H), 1.25 (s, 6H);
HRMS calcd m/z for $C_{27}H_{23}Cl_2NO_2$: 463.1106 (M+H)$^+$. Found: 463.1114.

Example 126

5-[(2-fluorophenyl)methylene]-2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2-fluorobenzylmagnesium bromide were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 414 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d_6) isomer 1: □8.30 (d, 1H), 8.23 (m, 1H), 7.28 (m, 1H), 7.19 (t, 1H), 7.18 (d, 1H), 6.93 -6.75 (m, 3H), 6.76 (d, 1H), 6.65 (s, 1H), 5.77 (s, 1H),

5.49 (s, 1H), 3.93 (s, 3H), 2.01 (s, 3H), 1.25 (s, 6H); isomer 2:□8.17 (d, 1H), 7.28 (m, 2H), 7.18 (d, 1H), 7.14-7.06 (m, 2H), 6.79 (m, 2H), 6.72 (d, 1H), 6.41 (s, 1H), 6.38 (s, 1H), 5.00 (s, 1H), 3.93 (s, 3H), 1.87 (s, 3H), 1.18 (s, 3H), 0.76 (s, 3H);
HRMS calcd m/z for $C_{27}H_{24}FNO_2$: 413.1791 $(M+H)^+$.. Found: 413.1788.

Example 127

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[(4,5-dihydro-4,4-dimethyl-2-oxazolyl)methylene]-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 4,4-dimethyl-2-oxazoline-2-methyllithium were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 417 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.34 (d, 1H), 7.19 (t, 1H), 6.83-6.78 (m, 2H), 6.74-6.70 (m, 2H), 5.48 (s, 1H), 5.08 (s, 1H), 3.98 (s, 2H), 3.92 (s, 3H), 1.99 (s, 3H), 1.22 (s, 3H), 1.20 (s, 9H); isomer 2:□8.06 (d, 1H), 7.14 (m, 1H), 6.80 (m, 1H), 6.76 (m, 1H), 6.72 (m, 1H), 6.42 (s, 1H), 5.96 (s, 1H), 5.35 (s, 1H), 3.90 (s, 3H), 3.72 (m, 2H), 1.93 (s, 3H), 1.32 (s, 3H), 1.20 (s, 6H), 1.11 (s, 3H);
HRMS calcd m/z for $C_{26}H_{28}N_2O_3$: 417.2178 $(M+H)^+$. Found: 417.2176.

Example 128

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-pyridinylmethylene)-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2-methylpyridyllithium were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 397 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6) isomer 1:□8.50 (m, 1H), 8.31 (d, 1H), 8.25 (d, 1H), 7.83 (t, 1H), 7.20 (d, 1H), 7.19 (m, 1H), 6.95 (d, 1H), 6.83 (d, 1 H,), 6.78 (d, 1H), 6.64 (s, 1H), 5.77 (s, 1H), 5.49 (s, 1H), 3.92 (s, 3H), 2.00 (s, 3H), 1.27 (s, 6H). isomer 2:□8.43 (m, 1H), 8.15 (d, 1H), 7.48 (t, 1H), 7.22 (d, 1H), 7.15 (d, 1H), 7.08 (m, 1H), 6.88 (d, 1H), 6.78 (d, 1H), 6.77 (t, 1H), 6.46 (s, 1H), 6.38 (s, 1H), 4.99 (s, 1H), 3.92 (s, 3H), 1.87 (s, 3H), 1.21 (s, 3H), 0.89 (s, 3H);
HRMS calcd m/z for $C_{26}H_{24}N_2O_2$: 397.1916 $(M+H)^+$. Found: 397.1923.

Example 129

2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(2-thienyl)-1H-[1]benzopyrano[3,4-f]quinoline

Example 1F and 2-thienyllithium were processed as in Example 1B to provide the desired compound.
MS (DCI/NH_3) m/z 391 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.38 (d, 1H), 6.95 (dd, 1H), 6.93 (s, 1H), 6.81 (dd, 1H), 6.68 (d, 1H), 6.65 (d, 1H), 6.64 (d, 1H), 6.46 (d, 1H), 6.21 (d, 1H), 5.39 (s, 1H), 3.81 (s, 3H), 1.95 (d, 3H), 1.21 (s, 3H), 1.15 (s, 3H).

Example 130

2,5-dihydro-9,10-dimethoxy-2,2,4-trimethyl-5-(2-propenyl)-1H-[1]benzopyrano[3,4-f]quinoline

1,2,4-trimethoxybenzene was processed as described in Schemes 1 and 2 to provide the desired compound.

MS (DCI/NH_3) m/e 378 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.93 (d, 1H), 6.82 (d, 1H), 6.61 (dd, 2H), 6.22 (d, 1H), 5.81 (ddt, 1H), 5.70 (dd, 1H), 5.44 (s, 1H), 5.01 (m, 2H), 3.76 (s, 3H), 3.67 (s, 3H), 2.35 (m, 2H), 2.16 (s, 3H), 1.17 (s, 3H), 1.16 (s, 3H).

Example 131

5-(2-cyclohexen-1-yl)-2,5-dihydro-9,10-dimethoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

1,2,4-trimethoxybenzene was processed as described in Example 130 but substituting 3-trimethylsilylcyclohexene for allyltrimethylsilane to provide the desired compound.

MS (DCI/NH_3) m/e 418 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO d_6)□8.03 (d, 1H), 8.01 (d, 1H), 6.83 (d, 1H), 6.82 (d, 1H), 6.60 - 6.69 (m, 4H), 6.31 (d, 1H), 6.27 (d, 1H), 5.6-5.8 (m, 4H), 5.35-5.52 (m, 4H), 5.11 (m, 1H), 5.09 (m, 1H), 3.77 (s, 6H), 3.69 (s, 3H), 3.68 (s, 3H), 2.25 (m, 4H), 2.13 (s, 3H), 2.10 (s, 3H), 1.95 (m, 4H), 1.6 (m, 4H),1.31 (s, 3H), 1.29 (s, 3H), 1.07 (s, 3H), 1.04 (s, 3H).

Example 132

2,5-dihydro-10-methoxy-5-(3-methyl-3-butenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3-methyl-1-trimethylsilyl-2-butene (prepared according to Fleming, *et. al. Synthesis* **1979**, 446.) were processed as in example 2 to provide the desired compound.

MS (DCI/NH_3) *m/e* $(M+H)^+$ 376;

^{1}H NMR (300 MHz, DMSO-d_6)□8.10 (d, J=8 Hz, 1H), 7.01 (t, J=8 Hz, 1H), 6.65 (d, J=8 Hz, 1H), 6.62 (d, J=8 Hz, 1H), 6.49 (d, J=8 Hz, 1H), 6.25 (br s, 1H), 5.57 (s, 1H),

5.55 (dd, J=17, 11 Hz, 1H), 5.41 (s, 1H), 4.64-4.56 (m, 2H), 3.83 (s, 3H), 2.14 (s, 3H), 1.31 (s, 3H), 1.01 (s, 3H), 0.86 (s, 3H), 0.83 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□156.31, 153.48, 145.02, 143.74, 133.05, 128.73, 127.10, 126.82, 126.27, 119.20, 118.15, 114.05, 113.11, 110.85, 109.36, 105.24, 78.33, 55.69, 49.12, 44.84, 29.53, 26.14, 23.53, 23.43, 23.35;
Anal. calcd for $C_{25}H_{29}NO_2{\bullet}1/4H_2O$: C, 79.02; H, 7.82; N, 3.69. Found: C, 79.09; H, 7.94; N, 3.59.

Example 133

2,5-dihydro-10-methoxy-5-(5,5-dimethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 5,5-dimethyl-1-trimethylsilyl-2-cyclohexene (prepared from 5,5-dimethyl-2-cyclohexene-1-ol by the method of Tsuji, *et. al. J. Org. Chem.* **1996**, *61*, 5779) were processed as in example 2 to provide the desired compound as a 1.8:1 inseparable mixture of diastereomers.

MAJOR:
MS (DCI/NH$_3$) *m/e* (M+H)$^+$ 416;
^{1}H NMR (300 MHz, DMSO-d_6)□8.08 (d, J=8 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.25 (br s, 1H), 5.85 (m, 1H), 5.62-5.71 (m, 1H), 5.46 (s, 1H), 5.45 (d, J=10 Hz, 1H), 3.86 (s, 3H), 2.41-2.33 (m, 1H), 2.11 (s, 3H), 1.84-1.72 (m, 1H), 1.68-1.48 (m, 2H), 1.30 (s, 3H), 1.35-1.21 (m, 1H), 1.01 (s, 3H), 0.76 (s, 3H), 0.53 (s, 3H);
Anal. calcd for $C_{28}H_{33}NO_2{\bullet}1/2H_2O$: C, 79.21; H, 8.07; N, 3.30. Found: C, 79.31; H, 7.75; N, 3.11.

MINOR:
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, J=8 Hz, 1H), 7.09 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.20 (br s, 1H), 5.60-5.52 (m, 1H), 5.50 (d, J=10 Hz, 1H), 5.14 (m, 1H), 5.41 (m, 1H), 3.86 (s, 3H), 2.41-2.33 (m, 1H), 2.09 (s, 3H), 1.91-1.78 (m, 1H), 1.68-1.48 (m, 2H), 1.35-1.21 (m, 1H), 1.28 (s, 3H), 1.07 (s, 3H), 0.92 (s, 3H), 0.51 (s, 3H).

Example 134

rel (5R,2'R) 2,5-dihydro-10-methoxy-5-(2-oxo-3-tetrahydropyranyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3,4-dihydro-6-(trimethylsiloxy)-2H-pyran were processed as in Example 2 to give 41% Example 134 and 48% Example 135.
MS (DCI/NH$_3$) *m/e* 406 (M+H)$^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.12 (d, J=8 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.49 (d, J=8 Hz, 1H), 6.42 (d, J=5 Hz, 1H), 6.21 (d, J=2 Hz, 1H), 5.44 (br s, 1H), 4.35-4.00 (m, 2H), 3.86 (s, 3H), 2.77-2.67 (m, 1H), 2.17 (s, 3H), 2.01-1.50 (m, 4H), 1.27 (s, 3H), 1.01 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□169.93, 156.40, 152.16, 145.53, 133.80, 128.46, 127.75, 127.20, 126.66, 117.38, 116.74, 113.45, 112.07, 109.23, 109.19, 105.44, 98.19, 72.79, 69.09, 55.62, 49.44, 46.37, 29.45, 27.13, 23.20, 21.46, 20.22, 19.61; Anal. calcd for $C_{25}H_{27}NO_4$•1/2H_2O: C, 72.44; H, 6.81; N, 3.38. Found: C, 72.66; H, 6.92; N, 2.91.

Example 135

anti (5R, 2'S) 2,5-dihydro-10-methoxy-5-(2-oxo-3-tetrahydropyranyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3,4-dihydro-6-(trimethylsiloxy)-2H-pyran were processed as in Example 2 to give 41% Example 135 and 48% Example 135A.
MS (DCI/NH_3) *m/e* 406 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.12 (d, J=8 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.49 (d, J=8 Hz, 1H), 6.42 (d, J=5 Hz, 1H), 6.21 (d, J=2 Hz, 1H), 5.44 (br s, 1H), 4.35-4.00 (m, 2H), 3.86 (s, 3H), 2.77-2.67 (m, 1H), 2.17 (s, 3H), 2.01-1.50 (m, 4H), 1.27 (s, 3H), 1.01 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□169.93, 156.40, 152.16, 145.53, 133.80, 128.46, 127.75, 127.20, 126.66, 117.38, 116.74, 113.45, 112.07, 109.23, 109.19, 105.44, 98.19, 72.79, 69.09, 55.62, 49.44, 46.37, 29.45, 27.13, 23.20, 21.46, 20.22, 19.61; Anal. calcd for $C_{25}H_{27}NO_4$•1/2H_2O: C, 72.44; H, 6.81; N, 3.38. Found: C, 72.66; H, 6.92; N, 2.91.

Example 135A

anti (5R, 2'S) 2,5-dihydro-10-methoxy-5-(2-oxo-3-tetrahydropyranyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/e* 406 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.06 (d, J=9 Hz, 1H), 7.06 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.65 (d, J=9 Hz, 1H), 6.50 (d, J=8 Hz, 1H), 6.27 (d, J=8 Hz, 1H), 6.21 (d, J=2 Hz, 1H), 5.46 (s, 1H), 4.01-4.10 (m, 2H), 3.87 (s, 3H), 2.81 (m, 1H), 2.14 (m, 3H), 1.68 -1.61 (m, 2H), 1.27 (s, 3H), 1.16-1.36 (m, 2H), 1.03 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□172.14, 156.33, 150.95, 145.21, 134.18, 127.71, 127.38, 127.20, 126.73, 118.09, 116.66, 113.53, 112.78, 110.56, 105.45, 71.40, 66.76, 55.52, 49.49, 29.46, 27.38, 23.69, 21.05, 20.79;
Anal. calcd for $C_{25}H_{27}NO_4$•1/4H_2O: C, 73.24; H, 6.76; N, 3.42. Found: C, 72.89; H, 7.07; N, 3.05.

Example 136

2,5-dihydro-10-methoxy-5-(3-cyclopentenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cyclopenten-2-yltrimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of two diastereomers (1.5:1).
MS (DCI/NH_3) m/z 374 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)
Major diastereomer: □8.05 (d, 1H), 7.09 (t, 1H), 6.72 (d, 1H), 6.66 (d, 1H), 6.58 (d, 1H), 6.19 (s, 1H), 5.77 (ddd, 1H), 5.50 (d, 1H), 5.43 (s, 1H), 5.19 (ddd, 1H), 3.87 (s, 3H), 2.90 (m, 1H), 2.43-2.15 (m, 2H), 2.09 (s, 3H), 1.97-1.70 (m, 2H), 1.31 (s, 3H), 1.09 (s, 3H);
Minor diastereomer: □8.07 (d, 1H), 7.08 (t, 1H), 6.70 (d, 1H), 6.66 (d, 1H), 6.61 (d, 1H), 6.22 (s, 1H), 5.82-5.70 (m, 2H), 5.48 (d, 1H), 5.41 (d, 1H), 3.88 (s, 3H), 2.92 (m, 1H), 2.30 (m, 1H), 2.20 (m, 1H), 2.15 (s, 3H), 1.50 (m, 2H), 1.33 (s, 3H), 1.05 (s, 3H);
HRMS calcd m/z for $C_{25}H_{27}NO_2$: 373.2042. Found: 373.2049.

Example 137

2,5-dihydro-10-methoxy-5-(3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cyclohexen-2-yltrimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of two diastereomers (1.1:1).
MS (DCI/NH_3) m/z 388 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)
Major diastereomer: □8.05 (d, 1H), 7.06 (t, 1H), 6.67 (d, 1H), 6.64 (d, 1H), 6.59 (d, 1H), 6.19 (s, 1H), 5.82 (m, 1H), 5.72 (m, 1H), 5.41 (s, 1H), 5.40 (d, 1H), 3.87 (s, 3H), 2.29 (m, 1H), 2.13 (s, 3H), 1.95-1.80 (m, 2H), 1.72-1.50 (m, 2H), 1.38-1.10 (m, 2H), 1.30 (s, 3H), 1.02 (s, 3H);
Minor diastereomer: □8.03 (d, 1H), 7.07 (t, 1H), 6.68 (d, 1H), 6.63 (d, 1H), 6.57 (d, 1H), 6.15 (s, 1H), 5.62 (m, 1H), 5.54 (m, 1H), 5.46 (s, 1H), 5.09 (m, 1H), 3.85 (s, 3H), 2.29 (m, 1H), 2.10 (s, 3H), 1.95-1.80 (m, 2H), 1.72-1.50 (m, 2H), 1.38-1.10 (m, 2H), 1.28 (s, 3H), 1.05 (s, 3H);
HRMS calcd m/z for $C_{26}H_{29}NO_2$: 387.2198. Found: 387.2206.

Example 138

2,5-dihydro-10-methoxy-5-(3-butenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-butenyltrimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of two diastereomers (1.3:1).

MS (DCI/NH_3) m/z 362 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6) Major diastereomer:□8.04 (d, 1H), 7.05 (t, 1H), 6:69 (d, 1H), 6.64 (d, 1H), 6.47 (d, 1H), 6.16 (s, 1H), 5.88 (ddd, 1H), 5.54 (d, 1H), 5.46 (s, 1H), 4.93 (ddd, 1H), 4.74 (ddd, 1H), 3.86 (s, 3H), 2.37 (bm, 1H), 2.17 (s, 3H), 1.30 (s, 3H), 1.02 (s, 3H), 0.71(d, 3H); Minor diastereomer:□8.03 (d, 1H), 7.08 (t, 1H), 6:67 (d, 1H), 6.64 (d, 1H), 6.58 (d, 1H), 6.10 (s, 1H), 5.51 (ddd, 1H), 5.47 (d, 1H), 5.40 (s, 1H), 4.78 (ddd, 1H), 4.74 (ddd, 1H), 3.86 (s, 3H), 2.38 (bm, 1H), 2.11 (s, 3H), 1.28 (s, 3H), 1.05 (s, 3H), 1.01(d, 3H);
Anal. calcd for $C_{24}H_{27}N O_2$: C, 79.74; H, 7.53; N, 3.87. Found: C, 79.41; H, 7.63; N, 3.43.

Example 139

2,5-dihydro-10-methoxy-5-(1-ethenyl-1-cyclohexyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-cyclohexylideneethyl trimethylsilane were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/z 416 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.10 (d, 1H), 7.00 (t, 1H), 6.63 (d, 1H), 6.60 (dd, 1H), 6.47(dd, 1H), 6.20 (dd, 1H), 5.45 (s, 1H), 5.40 (s, 1H), 5.14 (dd, 1H), 4.81 (dd, 1H), 4.53 (dd, 1H), 3.85 (s, 3H), 2.15 (s, 3H), 1.78 (m, 1H), 1.45-0.80 (m, 9H), 1.32 (s, 3H), 1.03 (s, 3H);
Anal. calcd for $C_{28}H_{33}NO_2$: C, 80.93; H, 8.00; N, 3.37. Found: C, 80.57; H, 8.02; N, 3.22.

Example 140

2,5-dihydro-10-methoxy-5-(4,4-dimethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and (4,4-dimethylcyclohexen-2-yl)trimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of diastereomers (2:1).
MS (DCI/NH_3) m/z 416 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)
Major diastereomer□8.07 (d, 1H), 6.99 (t, 1H), 6.63 (d, 1H), 6.62 (d, 1H), 6.48 (d, 1H), 6.23 (s, 1H), 5.72 (d, 1H), 5.48 (m, 1H), 5.40 (m, 2H), 3.84 (s, 3H), 2.16 (s, 3H), 2.05 (m, 1H), 1.75 (bm, 2H), 1.30 (s, 3H), 1.12 (m, 2H), 1.02 (s, 6H), 0.51 (s, 3H);
Minor diastereomer□8.04(d, 1H), 7.06 (t, 1H), 6.68 (d, 1H), 6.62 (d, 1H), 6.57 (d, 1H), 6.19 (s, 1H), 5.68 (dd, 1H), 5.50-5.38 (m, 3H), 3.86 (s, 3H), 2.14 (s, 3H), 2.08 (m, 1H),

1.71 (m, 1H), 1.42 (m, 1H), 1.30 (s, 3H), 1.07 (m, 2H), 1.02 (s, 3H), 0.91 (s, 3H), 0.84 (s, 3H);

HRMS calcd m/z for $C_{28}H_{33}NO_2$: 415.2511. Found: 415.2527.

Example 141

2,5-dihydro-10-methoxy-5-(1-methylene-2-cyclohexyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 1-(trimethylsilylmethyl)cyclohexene were processed as in Example 2 to provide the desired compound as an inseparble mixture of diastereomers (4:1).

MS (DCI/NH_3) m/z 402 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)

Major diastereomer□8.07 (d, 1H), 7.03 (t, 1H), 6.65 (d, 1H), 6.63 (d, 1H), 6.40 (d, 1H), 6.22 (s, 1H), 5.89 (d, 1H), 4.75 (d, 1H), 4.56 (d, 1H), 3.87 (s, 3H), 2.38 (m, 1H), 2.23 (m, 1H), 2.21 (s, 3H), 1.97 (bm, 2H), 1.55-1.05 (m, 6H), 1.34 (s, 3H), 1.01 (s, 3H);

Minor diastereomer□8.09 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.57 (d, 1H), 6.56 (d, 1H), 6.11 (s, 1H), 5.86 (d, 1H), 5.40 (s, 1H), 4.33 (d, 1H), 3.91 (d, 1H), 3.87 (s, 3H), 2.48 (m, 1H), 2.22 (m, 1H), 2.20 (s, 3H), 1.94 (bm, 1H), 1.75-1.05 (m, 6H), 1.29 (s, 3H), 0.97 (s, 3H);

HRMS calcd m/z for $C_{27}H_{31}NO_2$: 401.2355. Found: 401.2351.

Example 142

2,5-dihydro-10-methoxy-5-(1-oxo-2-cyclohexyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 1-(trimethylsilyloxy)cyclohexene were processed as in Example 2 to provide the desired compound as single diastereomer.

MS (DCI/NH_3) m/z 404 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.04 (d, 1H), 7.02 (t, 1H), 6.67 (d, 1H), 6.63 (d, 1H), 6.39 (d, 1H), 6.37 (d, 1H), 6.17 (s, 1H), 5.44 (s, 1H), 3.80 (s, 3H), 2.70 (ddd, 1H), 2.25 (m, 2H), 2.15 (s, 3H), 1.84 (bm, 1H), 1.62-1.25 (m, 4H), 1.28 (s, 3H), 1.09 (m, 1H), 1.00 (s, 3H).

HRMS calcd m/z for $C_{26}H_{29}NO_3$: 403.2147. Found: 403.2142.

Example 143

2,5-dihydro-10-methoxy-5-(3-cyclooctenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cycloocten-2-yltrimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of two diastereomers (7:5).

MS (DCI/NH_3) m/z 416 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)

Major diastereomer: □8.03 (dd, 1H), 7.07 (t, 1H), 6.62 (d, 1H), 6.57 (d, 1H), 6.39 (d, 1H), 6.17 (s, 1H), 5.59 (m, 2H), 5.44 (s, 1H), 5.14 (dd, 1H), 3.88 (s, 3H), 2.18 (s, 3H), 2.04-0.84 (m, 17H);

Minor diastereomer: □8.00 (d, 1H), 7.00 (t, 1H), 6.70 (d, 1H), 6.66 (d, 1H), 6.58 (d, 1H), 6.12 (s, 1H), 5.59 (m, 2H), 5.48 (s, 1H), 5.38 (dd, 1H), 3.88 (s, 3H), 2.18 (s, 3H), 2.04-0.84 (m, 17H);

HRMS calcd m/z for $C_{28}H_{33}NO_2$: 415.2511. Found: 415.2498.

Example 144

2,5-dihydro-10-methoxy-5-(3-cycloheptenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cyclohepten-2-yltrimethylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of two diastereomers (1:1).

MS (DCI/NH_3) m/z 402 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)

diastereomer A: □8.04 (d, 1H0, 7.04 (t, 1H), 6.68 (d, 1H), 6.63 (d, 1H), 6.51 (d, 1H), 6.22 (s, 1H), 5.97 (m, 1H), 5.73 (m, 1H), 5.58 (m, 1H), 5.47 (s, 1H), 3.87 (s, 3H), 2.42-0.98 (m, 18H);

diastereomer B: □8.01 (d, 1H), 7.08 (t, 1H), 6.70 (d, 1H), 6.62 (d,1H), 6.56 (d, 1H), 6.21 (s, 1H), 5.58 (m, 2H), 5.49 (s, 1H), 5.32 (m, 1H), 3.87 (s, 3H), 2.42-0.98 (m, 18H);

HRMS calcd m/z for $C_{27}H_{31}NO_2$: 401.2355. Found: 401.2351.

Example 145

2,5-dihydro-10-methoxy-5-(1-cyclohexenylmethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 2-methylenecyclohexyldimethylphenylsilane were processed as in Example 2 to provide the desired compound.

MS (DCI/NH_3) m/z 402 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.96 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.45 (d, 1H), 6.10 (s, 1H), 5.85 (dd, 1H), 5.43 (s, 1H), 5.18 (bs, 1H), 3.85 (s, 3H), 2.45-1.12 (m, 19H);

HRMS calcd m/z for $C_{27}H_{31}NO_2$: 401.2355. Found: 401.2342;

Anal. calcd for $C_{27}H_{31}NO_2$: C, 80.76; H, 7.78; N, 3.49. Found: C, 80.76; H, 8.00; N, 3.25.

Example 146

2,5-dihydro-10-methoxy-5-(3,3-dimethyl-6-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and (6,6-dimethylcyclohexen-2-yl)dimethylphenylsilane were processed as in Example 2 to provide the desired compound as an inseparable mixture of diastereomers (5:1).

MS (DCI/NH_3) m/z 416 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6) major diastereomer:□8.04 (d, 1H), 7.06 (t, 1H), 6.68 (d, 1H), 6.63 (d, 1H), 6.58 (d, 1H), 6.21 (s, 1H), 5.67 (dd, 1H), 5.49-5.38 (m, 3H), 3.86 (s, 3H), 2.29-0.82 (m, 20H); minor diastereomer:□8.01 (d, 1H), 7.07 (t, 1H), 6.68 (d, 1H), 6.63 (d, 1H), 6.57 (d, 1H), 6.16 (s, 1H), 5.56-5.33 (m, 3H), 4.97 (dd, 1H), 3.86 (s, 3H), 2.29-0.82 (m, 20H);

HRMS calcd m/z for $C_{28}H_{33}NO_2$: 415.2511. Found: 415.2527;

Anal. calcd for $C_{28}H_{33}NO_2$: C, 80.93; H, 8.00; N, 3.37. Found: C, 80.92; H, 7.98; N, 3.25.

Example 147

2,5-dihydro-10-methoxy-5-(2-bromo-3-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and (2-bromoallyl)trimethylsilane were processed as in Example 2 to provide the desired compound.

MS (DCI/NH_3) m/z 426 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.08 (t, 1H), 6.72 (d, 1H), 6.62 (d, 1H), 6.47 (d, 1H), 6.17 (s, 1H), 6.02 (dd, 1H), 5.51 (d, 1H), 5.47 (s, 1H), 5.42 (s, 1H), 3.87 (s, 3H), 2.89 (dd, 1H), 2.44 (dd, 1H), 2.26 (s, 3H), 1.17 (s, 3H), 1.15 (s, 3H).

Anal. calcd for $C_{23}H_{24}NO_2Br$: C, 64.79; H, 5.67; N, 3.29. Found: C, 64.70; H, 5.65; N, 3.09.

Examples 148-150

Example 2B (1.25g, 3.70 mmol) and 1-[1'-t-butyldimethylsiloxy-1'-methoxyalkylidene]-2-cyclohexene were processed as in example 2 to provide a diastereomeric mixture of unsaturated ester adducts (1.21 g, 73%) that was carried on to the next step.

The mixture above (1.20 g, 2.69 mmol) was dissolved in THF (100 ml), cooled to 0 °C, treated slowly with Dibal-H (13.5 ml of 1M/hex solution, 13.5 mmol) by syringe, stirred 30 minutes, diluted with 250 ml saturated aqueous sodium pottasium tartrate and 300 ml ethyl acetate and stirred overnight. The layers were separated, aqueous phase extracted twice with ethyl acetate, combined organics washed with brine and dried

($MgSO_4$). The resulting residue was purified by silica gel chromatography eluting with from 20% to 30% methyl t-butylether in hexanes to give Examples 148-150.

Example 148

rel (5R,3'R) 2,5-dihydro-10-methoxy-5-(1-hydroxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/e* 418 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, J=8 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.17 (d, J=2 Hz, 1H), 5.50 (d, J=10 Hz, 1H), 5.39 (br s, 1H), 5.05 (br s, 1H), 4.42 (t, 1H), 3.85 (s, 3H), 3.64 (d, J=6 Hz, 2H), 2.27 (n, 1H), 2.05 (s, 3H), 1.95-1.86 (m, 2H), 1.78-1.21 (m, 4H), 1.28 (s, 3H), 1.09 (s, 3H);

Anal. calcd for $C_{27}H_{31}NO_3 \cdot 1/2H_2O$: C, 76.03; H, 7.56; N, 3.28. Found: C, 76.34; H, 7.71; N, 3.20.

Example 149

rel (5R,3'S) 2,5-dihydro-10-methoxy-5-(1-hydroxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH3) *m/e* 418 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.40 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.63 (d, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.20 (d, J=8 Hz, 1H), 6.20 (s, 1H), 5 (78, J=s Hz, 1H), 5.45 (s, 1H), 5.37 (d, J=10 Hz, 1H), 4.60 (dd, J=5 Hz, 1H), 3.85 (s, 3H), 3.75 (s, 2H), 2.37 (m, 1H), 2.12 (s, 3H), 1.70 (m, 2H), 1.60 (m, 1H), 1.30 (s, 3H), 1.15 (m, 2H), 1.02 (s, 3H);

^{13}C NMR (75 MHz, DMSO-d_6)□156.3, 151.5, 145.0, 139.6, 133.7, 130.2, 128.0, 127.1, 126.9, 120.8, 120.3, 118.5, 116.5, 113.0, 110.2, 105.2, 105.2, 76.2, 65.1, 55.6, 49.4, 36.9, 29.6, 26.8, 23.7, 21.3.

Example 150

2,5-dihydro-10-methoxy-5-(3-hydroxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/e* 418 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.06 (d, J=8 Hz, 1H), 7.01 (t, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.27 (s, 1H), 6.03 (s, 1H), 5.38 (s, 1H), 5.23 (m, 1H), 4.75 (m, 2H), 3.81 (s, 3H), 3.47 (m, 1H), 2.95 (m, 1H), 2.19 (s, 3H), 1.70-1.35 (m, 6H), 1.31 (s, 3H), 1.03 (s, 3H); ^{13}C NMR (75 MHz, DMSO-d_6)□156.4, 154.4 (145.1), 132.9,

129.2, 128.0, 127.6, 126.9, 126.1, 119.3, 118.6, 114.3, 113.1, 109.0, 105.5, 73.5, 64.4, 55.9, 49.2, 48.6, 29.7, 26.5, 25.6, 24.3, 23.5, 18.3;
Anal. calcd for $C_{27}H_{31}NO_3 \cdot 1/4H_2O$: C, 76.84; H, 7.52; N, 3.32. Found: C, 76.93; H, 7.73; N, 3.18.

Example 151

2,5-dihydro-10-methoxy-5-(3-indolyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and indole were processed as in Example 2 to provide the desired compound.
MS (DCI/NH_3) m/e 423 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□10.89 (d, 1H), 8.01 (d, 1H), 7.83 (dd, 1H), 7.27 (dd, 1H), 7.04 (m, 3H), 6.80 (t, 1H), 6.68 (d, 1H), 6.54 (s, 1H), 6.53 (d, 1H), 6.28 (d, 1H), 6.12 (s, 1H), 5.35 (s, 1H), 3.83 (s, 3H), 1.89 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H)
Anal. calcd for $C_{28}H_{26}N_2O_2$: C, 79.59; H, 6.20; N, 6.62. Found: C, 79.58; H, 6.28; N, 6.36.

Example 152

rel (5S,3'S) 2,5-dihydro-10-methoxy-5-(1-methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 (0.512 g, 1.23 mmol) was dissolved in CH_2Cl_2 (5 ml), cooled to 0 °C, treated with $(i\text{-}Pr)_2NEt$ (0.32 ml, 1.84 mmol), methanesulfonyl chloride (0.11 ml, 1.47 mmol) and stirred for 1 hour. The reaction mixture was treated dropwise with lithium triethylborohydride (4.70 ml of 1M/THF solution, 4.70 mmol), stirred 60 minutes, treated with 10 ml 1M NaOH, 0.6 ml 30 % H_2O_2, stirred 2 hours and extracted with ethyl acetate. The organic layer was washed with H_2O, saturated aqueous $NaHCO_3$, brine, dried ($MgSO_4$) and concentrated. The residue was purified by silica gel chromatography eluting with 5 % then 7 % ethyl acetate in hexanes to give 0.362 g (74%) of the desired product as a colorless foam.
MS (DCI/NH_3) *m/e* 402 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.02 (d, J=8 Hz, 1H), 7.06 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.56 (d, J=8 Hz, 1H), 6.16 (s, 1H), 5.49 (d, J=10 Hz, 1H), 5.41 (br s, 1H), 4.83 (br s, 1H), 3.85 (s, 3H), 2.31-2.17 (m, 1H), 2.06 (s, 3H), 1.99-1.21 (m, 6H), 1.49 (s, 3H), 1.29 (s, 3H), 1.08 (s, 3H).

Example 153

rel (5R,3'S) 2,5-dihydro-10-methoxy-5-(1-methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was processed as in Example 152 to provide the desired compound.
MS (DCI/NH_3) *m/e* 402 (M+H)+;
^1H NMR (300 MHz, DMSO-d_6)□8.04 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.22 (d, J=2 Hz, 1H), 5.55 (br s, 1H), 5.45 (br s, 1H), 5.35 (d, J=10 Hz, 1H), 3.86 (s, 3H), 2.34-2.18 (m, 1H), 2.12 (s, 3H), 1.97-0.88 (m, 6H), 1.61 (s, 3H), 1.30 (s, 3H), 1.02 (s, 3H);
Anal. calcd for $C_{27}H_{31}NO_2$•1/4H_2O: C, 79.87; H, 7.82; N, 3.45. Found: C, 79.81; H, 8.28; N, 3.39.

Example 154

(-) (5S,3'S) 2,5-dihydro-10-methoxy-5-(1-methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 152 was subjected to HPLC on an (R,R) WHELK-O 1 column eluting with 2% EtOH in hexanes to provide the desired compound.
$[□]_D^{20}$ -155.9° (c 0.85, $CHCl_3$);
MS (DCI/NH_3) *m/e* 402 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, J=9 Hz, 1H), 7.06 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.64 (d, J=9 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.20 (d, J=2 Hz, 1H), 5.49 (d, J=10 Hz, 1H), 5.42 (br s, 1H), 4.83 (br s, 1H), 3.85 (s, 3H), 2.30-2.18 (m, 1H), 2.06 (s, 3H), 1.97-1.20 (m, 6H), 1.49 (s, 3H), 1.29 (s, 3H), 1.08 (s, 3H);
Anal. calcd for $C_{27}H_{31}NO_2$•1/4H_2O: C, 79.87; H, 7.82; N, 3.45. Found: C, 79.80; H, 8.15; N, 3.41.

Example 155

(-) (5S, 3'R) 2,5-dihydro-10-methoxy-5-(1-hydroxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was subjected to HPLC on an (R,R) WHELK-O 1 column eluting with 6% EtOH in hexanes to provide the desired product.
$[□]_D^{20}$ -233.9° (c 1.27, $CHCl_3$);
MS (DCI/NH_3) *m/e* 418 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.05 (d, J=9 Hz, 1H), 7.08 (d, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.23 (br s, 1H), 5.78 (br s, 1H), 5.46 (br s, 1H), 5.37 (d, J=10 Hz, 1H), 4.65 (t, J=6 Hz, 1H), 3.86 (s, 3H), 3.76 (m, 2H),

2.36-2.22 (m, 2H), 2.12 (s, 3H), 1.87-1.77 (m, 2H), 1.65-1.53 (m, 1H), 1.30 (s, 3H), 1.27-0.92 (m, 2H), 1.02 (s, 3H).

Example 156

(+) (5R, 3'S) 2,5-dihydro-10-methoxy-5-(1-hydroxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was subjected to HPLC on an (R,R) WHELK-O 1 column eluting with 6% EtOH in hexanes to provide the desired product.
[□]$_D^{20}$ +234.6° (c 1.10, $CHCl_3$);
MS (DCI/NH_3) *m/e* 418 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.05 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.22 (br s, 1H), 5.78 (br s, 1H), 5.45 (br s, 1H), 5.37 (d, J=10 Hz, 1H), 4.63 (t, J=6 Hz, 1H), 3.86 (s, 3H), 3.78-3.73 (m, 2H), 2.36-2.22 (m, 2H), 2.12 (s, 3H), 1.87-1.77 (m, 2H), 1.65-1.52 (m, 1H), 1.34-0.93 (m, 2H), 1.30 (s, 3H), 1.02 (s, 3H).

Example 157

(-)-(5S, 3'R) 2,5-dihydro-10-methoxy-5-(1-methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 155 was processed as in Example 152 to provide the desired compound.
MS (DCI/NH_3) *m/e* $(M+H)^+$ 402;
^{1}H NMR (300 MHz, DMSO-d_6)□8.04 (d, J=8 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.63 (d, J=8 Hz, 1H), 6.62 (d, J=8 Hz, 1H), 6.23 (br s, 1H), 5.55 (br s, 1H), 5.45 (br s, 1H), 5.35 (d, J=10 Hz, 1H), 3.86 (s, 3H), 2.33-2.18 (m, 1H), 2.12 (s, 3H), 1.95-1.45 (m, 4H), 1.61 (s, 3H), 1.34-0.88 (m, 2H), 1.30 (s, 3H), 1.02 (s, 3H); [□]$_D^{20}$ -224.1° (c 0.73, $CHCl_3$);
Anal. calcd for $C_{27}H_{31}NO_2 \cdot 1/2H_2O$: C, 78.99; H, 7.86; N, 3.41. Found: C, 79.14; H, 8.07; N, 3.03.

Example 158

(+)-(5R, 3'S) 2,5-dihydro-10-methoxy-5-(1-methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 156 was processed as in Example 152 to provide the desired compound.
MS (DCI/NH_3) *m/e* 402 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.04 (d, J=9 Hz, 1H), 7.07 (d, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.22 (br s, 1H), 5.55 (m, 1H), 5.45 (br s, 1H), 5.35 (d, J=10 Hz, 1H), 3.86 (s, 3H), 2.27 (m, 1H), 2.12 (s, 3H), 1.94-1.05 (m, 6H), 1.61 (s, 3H), 1.30 (s, 3H), 1.02 (s, 3H).

Example 159

2,5-dihydro-10-methoxy-5-(1-chloromethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 (0.110 g, 0.264 mmol) was combined with methanesulfonyl chloride (49 µl, 0.632 mmol), (i-Pr)2NEt (53 µL, 0.695 mmol), Lithium chloride (11 mg, 0.264 mmol) in 2 mL of THF containing 2 drops of DMF and the reaction mixture was stirred at room temperature for several hours. The reaction mixture was diluted with ethyl acetate and washed with saturated aqueous bicarbonate, brine, dried over MgSO4 and purified by silica gel chromatography eluting with 20% ethyl acetate in hexane to give 106 mg (92%) of the desired compound as a foam.

MS (DCI/NH_3) *m/e* 436 (M+H)$^+$;

^{1}H NMR (300 MHz, DMSO-d$_6$)□8.03 (d, J=8 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.66 (dd, J=8 Hz, 2H), 6.55 (d, J=8 Hz, 1H), 6.25 (br s, 1H), 5.53 (d, J=10 Hz, 1H), 5.39 (s, 1H), 5.25 (s, 1H), 3.91 (s, 2H), 3.84 (s, 3H), 2.30 (m, 1H), 2.05 (s, 3H), 1.35-2.00 (m, 6H), 1.30 (s, 3H), 1.10 (s, 3H).

Example 160

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-methoxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed according to Example 152 using sodium methoxide instead of lithium triethylborohydride to give the desired compound.

MS (DCI/NH_3) *m/e* 432 (M+H)$^+$;

^{1}H NMR (400 MHz, DMSO-d$_6$)□8.02 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.69 (d, J=9 Hz, 1H), 6.64 (d, J=9 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 5.53 (d, J=10 Hz, 1H), 5.11 (s, 1H), 3.85 (s, 3H), 3.58 (dd, J=12+32 Hz, 1H), 3.06 (s, 3H), 2.30 (br m, 1H), 2.07 (s, 3H), 1.50-2.00 (br m, 4H), 1.35 (m, 1H), 1.30 (s, 3H), 1.10 (s, 3H);

^{13}C NMR (100 MHz, DMSO-d$_6$)□156.2, 150.9, 145.0, 137.0, 133.7, 133.6, 130.4, 128.1, 127.1, 127.1, 123.5, 117.9, 116.4, 113.5, 113.1, 110.1, 105.4, 105.3, 105.0, 76.2, 75.4, 56.4, 55.6, 49.5, 36.9, 29.7, 23.4, 25.5, 25.3, 25.2, 24.2, 20.2.

Example 161

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-methylthiomethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed according to Example 152 using sodium thiomethoxide instead of lithium triethylborohydride to give the desired compound as a white foam.

MS (DCI/NH$_3$) *m/e* 448 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.02 (d, J=8 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.65 (d, J=9 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 6.23 (s, 1H), 5.49 (d, J=10 Hz, 1H), 5.40 (s, 1H), 5.00 (s, 1H), 3.86 (s, 2H), 2.30 (br m, 2H), 2.07 (s, 3H), 1.81 (s, 3H), 1.40-1.78 (br m, 6H), 1.30 (s, 3H), 1.09 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d$_6$)□156.2, 151.0, 145.0, 135.7, 133.8, 130.3, 128.2, 127.1, 127.1, 123.5, 118.1, 116.5, 113.4, 113.1, 110.1, 105.3, 75.7, 55.5, 49.5, 40.8, 37.5, 29.7, 27.3, 26.2, 25.7 (24.2), 20.6, 13.7.

Example 162

rel (5R, 3'S) 2,5-dihydro-10-methoxy-5-(1-acetoxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 (0.100 g, 0.239 mmol) was combined with acetic anhydride (27 µL, 0.288 mmol), DMAP (2 mg, catalytic), (i-Pr)$_2$NEt (50 µL, 0.288 mmol) in dichloromethane (6 ml). The reaction mixture was stirred for 1 hour at room temperature, diluted with ethyl acetate and washed with saturated aqueous bicarbonate, brine, dried (MgSO$_4$)and purified by silica gel chromatography eluting with 20% ethyl acetate in hexane to give 89 mg (81%) of the desired compound as a white solid.
MS (DCI/NH$_3$) *m/e* 460 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.05 (d, J=8 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.62 (d, J=8 Hz, 1H), 6.23 (s, 1H), 5.82 (s, 1H), 5.46 (s, 1H), 5.40 (d, J=10 Hz, 1H), 4.38 (s, 2H), 3.86 (s, 3H), 2.33 (br m, 1H), 2.12 (s, 3H), 2.03 (s, 3H), 1.85 (br m, 2H), 1.60 (br m, 1H), 1.30 (s, 3H), 1.02-1.28 (br m, 3H), 1.02 (s, 3H);
Anal. calcd for C$_{29}$H$_{33}$NO$_4$: C, 75.79; H, 7.24; N, 3.05. Found: C, 76.14; H, 7.47; N, 3.02.

Example 163

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-acetoxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed as in Example 162 to provide the desired compound as a white solid.
MS (DCI/NH$_3$) *m/e* 460 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.02 (d, J=8 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.65 (d, J=8 Hz, 1H), 6.58 (d, J=8 Hz, 1H), 6.18 (s, 1H), 5.55 (d, J=10 Hz, 1H), 5.39 (s, 1H), 5.16 (s, 1H), 4.22 (s, 2H), 3.85 (s, 3H), 2.40 (br, J=8 Hz, 1H), 2.06 (s, 3H), 1.96 (s, 3H), 1.32-1.95 (br m, 3H), 1.28 (s, 3H), 1.06 (s, 3H);

Anal. calcd for $C_{29}H_{33}NO_4$: C, 75.79; H, 7.24; N, 3.05. Found: C, 75.53; H, 7.32; N, 2.84.

Example 164

rel-(5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-methoxymethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was processed according to Example 152 using sodium methoxide instead of lithium triethylborohydride to give the desired compound as a white foam.
MS (DCI/NH_3) *m/e* 432 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.05 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.20 (s, 1H), 5.78 (s, 1H), 5.45 (s, 1H), 5.39 (d, J=10 Hz, 1H), 3.70 (s, 2H), 3.14 (s, 3H), 2.30 (br m, 1H), 2.12 (s, 3H), 1.81 (br m, 2H), 1.60 (br m, 1H), 1.30 (s, 3H), 1.15 (br m, 2H), 1.02 (s, 3H);
Anal. calcd for $C_{28}H_{33}NO_3 \cdot 1/4H_2O$: C, 77.12; H, 7.74; N, 3.21. Found: C, 77.17; H, 7.55; N, 3.15.

Example 165

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-(N,N-dimethylamino)methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed according to Example 152 using dimethylamine instead of lithium triethylborohydride to give the desired compound as a white foam.
MS (DCI/NH_3) *m/e* 445 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.01 (d, J=8 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.22 (s, 1H), 5.50 (d, J=10 Hz, 1H), 5.39 (s, 1H), 5.03 (s, 1H), 3.85 (s, 3H), 2.62 (d, J=11 Hz, 1H), 2.50 (d, J=11 Hz, 1H), 2.25 (br s, 1H), 2.06 (s, 6H), 1.98 (s, 3H), 1.40-1.95 (br m, 6H), 1.30 (s, 3H), 1.25 (br m, 1H), 1.11 (s, 3H);
Anal. calcd for $C_{29}H_{36}N_2O_2 \cdot 3/4H_2O$: C, 76.03; H, 8.25; N, 6.11. Found: C, 75.90; H, 7.81; N, 5.90.

Example 166

rel (5R, 3'S) 2,5-dihydro-10-methoxy-5-(1-methylthiomethyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was processed according to Example 152 using sodium thiomethoxide instead of lithium triethylborohydride to give the desired compound as a white foam.

MS (DCI/NH_3) *m/e* 448 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.05 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.63 (d, J=8 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.24 (s, 1H), 5.71 (s, 1H), 5.46 (s, 1H), 5.39 (d, J=10 Hz, 1H), 3.86 (s, 3H), 3.02 (s, 2H), 2.17-2.41 (br m, 2H), 2.11 (s, 3H), 1.91-2.10 (br m, 2H), 1.88 (s, 3H), 1.30 (s, 3H), 1.25 (s, 3H), 1.05-1.25 (br m, 3H), 1.02 (s, 3H);
Anal. calcd for $C_{28}H_{33}NO_2S\cdot 1/2H_2O$: C, 73.65; H, 7.50; N, 3.07. Found: C, 73.37; H, 7.46; N, 2.97.

Example 167

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-(N-morpholino)methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed according to Example 152 using morpholine instead of lithium triethylborohydride to give the desired compound as a white foam.
MS (DCI/NH_3) *m/e* 487 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.65 (d, J=8 Hz, 1H), 6.56 (d, J=8 Hz, 1H), 6.22 (s, 1H), 5.49 (d, J=11 Hz, 1H), 5.41 (s, 1H), 5.04 (s, 1H), 3.85 (s, 3H), 3.52 (br s, 3H), 2.68 (d, J=12 Hz, 1H), 2.56 (d, J=12 Hz, 1H), 2.25 (br s, 1H), 2.15 (br s, 2H), 2.05 (s, 3H), 1.40-2.00 (br m, 6H), 1.32 (s, 3H), 1.20-1.28 (br m, 6H), 1.17 (s, 3H);
Anal. calcd for $C_{31}H_{38}N_2O_3$: C, 76.51; H, 7.87; N, 5.76. Found: C, 76.24; H, 8.05; N, 5.52.

Example 168

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-(N-methyl-N-methylsulfonylamino)methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 170 (0.80 g, 0.186 mmol) was combined with methanesulfonyl chloride (15 μL, 0.195 mmol), $(i\text{-Pr})_2NEt$ (48 μl, 0.279 mmol) and THF at 0 °C for 1.5 hours. The product was added directly to a silica gel plug and eluted with hexane then 40% ethyl acetate in hexane to give 88 mg (93%) of the desired compound as a white solid.
MS (DCI/NH_3) *m/e* 509 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, J=9 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.65 (d, J=9 Hz, 1H), 6.58 (d, J=9 Hz, 1H), 6.20 (s, 1H), 5.53 (d, J=5 Hz, 1H), 5.41 (s, 1H), 5.11 (s, 1H), 3.85 (s, 3H), 3.46 (d, J=13 Hz, 1H), 3.24 (d, J=13 Hz, 1H), 2.82 (s, 3H), 2.53 (s, 3H), 2.30 (br, 1H), 2.08 (s, 2H), 1.5-2.0 (br m, 6H), 1.35 (br m, 1H), 1.30 (s, 3H), 1.25 (m, 1H), 1.11 (s, 3H);

Anal. calcd for $C_{29}H_{36}N_2O_4S$: C, 68.47; H, 7.13; N, 5.51. Found: C, 68.20; H, 7.09; N, 5.36.

Example 169

rel (5R, 3'S) 2,5-dihydro-10-methoxy-5-(1-(N,N dimethylamino)methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 149 was processed according to Example 152 using dimethylamine instead of lithium triethylborohydride to give the desired compound as a white foam.
MS (DCI/NH_3) *m/e* 445 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.05 (d, J=9 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.63 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.213 (s, 1H), 5.69 (s, 1H), 5.46 (s, 1H), 5.42 (d, J=10 Hz, 1H), 3.86 (s, 3H), 2.70 (br, 1H), 2.30 (br m, 1H), 2.11 (s, 3H), 2.05 (br, 4H), 1.85 (br, 2H), 1.56 (m, 1H), 1.30 (s, 3H), 1.10-1.25 (m, 3H), 1.02 (s, 3H);
Anal. calcd for $C_{29}H_{36}N_2O_2 \cdot 1/2H_2O$: C, 76.79; H, 8.22; N, 6.18. Found: C, 76.49; H, 8.23; N, 5.95.

Example 170

rel (5R, 3'R) 2,5-dihydro-10-methoxy-5-(1-(N-methylamino)methyl-3-cyclohexenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 148 was processed according to Example 152 using methylamine instead of lithium triethylborohydride to give the desired compound as a white foam.
MS (DCI/NH_3) *m/e* 431 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□8.00 (d, J=8 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.68 (d, J=7 Hz, 1H), 6.63 (d, J=7 Hz, 1H), 6.57 (d, J=7 Hz, 1H), 6.22 (s, 1H), 5.76 (s, 1H), 5.53 (d, J=10 Hz, 1H), 5.41 (s, 1H), 5.14 (br s, 1H), 3.85 (s, 3H), 3.02 (s, 2H), 2.30 (br m, 1H), 2.22 (s, 3H), 2.07 (s, 3H), 1.74 (br m, 2H), 1.80-1.4 (br m, 4H), 1.30 (s, 3H), 1.25 (s, 1H), 1.10 (s, 3H);
Anal. calcd for $C_{28}H_{33}N_2O_2 \cdot 1.25H_2O$: C, 74.22; H, 8.12; N, 6.18. Found: C, 74.05; H, 7.81; N, 6.00.

Example 171

2,5-dihydro-10-methoxy-5-(2-methyl-3-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 147 (51 mg, 0.12 mmol) and tetramethyltin (66.5 µl, 0.048 mmol) in 1ml HMPA was degassed with N_2 for 20 minutes.
Dichlorobis(triphenylphosphine)palladium(II) (9.8 mg, 0.012 mmol) was added and the reaction mix was heated at 85°C for 60 hours, cooled to room temperature, and stirred

vigorously with 30 ml of ethyl acetate and 30 ml of saturated KF aqueous solution for 3 hours. The mixture was then filtered through a plug of celite and the layers were separated. The organic layer was washed with water, brine and dried (Na_2SO_4). Concentration followed by silica gel chromatography (15% ethyl acetate/hexanes) provided the desired compound.

MS (DCI/NH_3) m/z 362 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.42 (d, 1H), 6.12 (d, 1H), 5.91 (dd, 1H), 5.44 (s, 1H), 4.77 (s, 1H), 4.54 (s, 1H), 3.87 (s, 3H), 2.43 (m, 1H), 2.20 (s, 3H), 2.09 (m, 1H), 1.74 (s, 3H), 1.16 (s, 3H).

HRMS calcd m/z for $C_{24}H_{27}NO_2$: 361.2042. Found: 361.2047.

Example 172

2,5-dihydro-10-methoxy-5-(1,3-butadien-2-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 147 and tributyl(vinyl)tin were processed as in the previous example to give the desired compound.

MS (DCI/NH_3) m/z 374 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.00 (d, 1H), 7.05 (t, 1H), 6.70 (dd, 1H), 6.60 (d, 1H), 6.47 (dd, 1H), 6.36 (dd, 1H), 6.18 (d, 1H), 5.95 (dd, 1H), 5.43 (s, 1H), 5.16 (s, 1H), 5.12 (s, 1H), 5.05 (d, 1H), 5.00 (d, 1H), 3.87 (s, 3H), 2.55 (dd, 1H), 2.22 (dd, 1H), 2.10 (s, 3H), 1.20 (s, 3H), 1.12 (s, 3H).

Example 173

2,5-dihydro-10-methoxy-5-(2-carbomethoxy-3-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A mixture of Example 147 (64 mg, 0.15 mmol), bis(triphenylphosphine)dicarbonylnickel (144 mg, 0.225 mmol) and triethylamine (42uL, 0.30 mmol) in 5 mL of MeOH was refluxed for 16 hours, cooled, and partitioned between ethyl acetate and water. The organic layer was washed with brine, dried (Na_2SO_4) and concentrated. The residue was purified by flash silica gel chromatography (15% ethyl acetate/hexanes) to give the desired compound.

MS (DCI/NH_3) m/z 406 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.01 (d, 1H), 7.06 (t, 1H), 6.70 (dd, 1H), 6.60 (d, 1H), 6.41 (dd, 1H), 6.12 (dd, 1H), 6.01 (dd, 1H), 5.43 (s, 1H), 5.36 (s, 1H), 3.87 (s, 3H), 3.68 (s, 3H), 2.60 (dd, 1H), 2.43 (dd, 1H), 2.21 (s, 3H), 1.20 (s, 3H), 1.12 (s, 3H);

Anal. calcd for $C_{25}H_{27}NO_4$: C, 74.05; H, 6.71; N, 3.45. Found: C, 73.81; H, 6.61; N, 3.38.

Example 174

2,5-dihydro-10-methoxy-5-(1,2-dihydroxy-3-propyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A solution of Example 2 (50 mg, 0.144 mmol) in pyridine (3 mL) at 0 °C was treated with OsO_4 (370 uL, 0.144 mmol), stirred at ambient temperature for 48 hours, treated with saturated aqueous sodium bisulfite (3 mL), stirred for 4 hours and filtered through Celite. The Celite plug was washed repeatedly with EtOAc. The organic filtrate was washed with water, brine, dried (Na_2SO_4) and concentrated. The residue was purified by flash silica gel chromatography (95:5 methylene chloride/methanol) to give the desired compound as an inseparable mixture of two diastereomers (2:1).
MS (DCI/NH_3) m/z 382 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6);
Major diastereomer: □7.94 (d, 1H), 7.05 (t, 1H), 6.67 (d, 1H), 6.57 (d, 1H), 6.53 (d, 1H), 6.13-6.05 (m, 2H), 5.42 (s, 1H), 4.80 (d, 1H), 4.38 (t, 1H), 3.85 (s, 3H), 3.65 (bm, 1H), 3.19-3.00 (m, 2H), 2.21 (s, 3H), 1.83 (m, 2H), 1.19 (s, 3H), 1.11 (s, 3H);
Minor diastereomer: □7.96 (d, 1H), 7.07 (t, 1H), 6.68 (d, 1H), 6.58 (d, 1H), 6.55 (d, 1H), 6.13 (s, 1H), 5.97 (dd, 1H), 5.42 (s, 1H), 4.50 (t, 1H), 4.45 (d, 1H), 3.85 (s, 3H), 3.45-3.30 (m, 3H), 2.23 (s, 3H), 1.80-1.58 (m, 2H), 1.21 (s, 3H), 1.09 (s, 3H);
Anal. calcd for $C_{23}H_{27}NO_4 \cdot 0.35\ H_2O$: C,71.24; H, 7.20; N, 3.61. Found: C, 71.24; H, 7.28; N, 3.49.

Example 175

2,5-dihydro-10-methoxy-5-(1,2-epoxy-3-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A mixture of Example 174 (50 mg, 0.13 mmol), triphenylphosphine (38 mg, 0.14 mmol), diethyl azodicarboxylate (25 mg, 0.14 mmol) and 3 angstrom molecular sieves (50 mg) in benzene (5 mL) was refluxed for 48 hours, cooled and partitioned between EtOAc and water. The organic layer was washed with water, brine, dried (Na_2SO_4) and concentrated. The residue was purified by flash silica gel chromatography (8:2 hexane/EtOAc) to give the desired compound as an inseparable mixture of two diastereomers (1.3:1).
MS (DCI/NH_3) m/z 364 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6);
Major diastereomer: □7.93 (d, 1H), 7.09 (t, 1H), 6.72 (d, 1H), 6.60 (d, 1H), 6.58 (d, 1H), 6.14 (s 1H), 5.95 (m, 1H), 5.44 (s, 1H), 3.85 (s, 3H), 3.04 (m, 1H), 2.72 (dd, 1H), 2.35 (dd, 1H), 2.17 (s, 3H), 2.05-1.35 (m, 2H), 1.16 (s, 3H), 1.14 (s, 3H);

Minor diastereomer:□7.95 (d, 1H), 7.08 (t, 1H), 6.71 (d, 1H), 6.59 (d, 1H), 6.57 (d, 1H), 6.15 (s 1H), 5.93 (m, 1H), 5.44 (s, 1H), 3.85 (s, 3H), 2.90 (m, 1H), 2.65 (dd, 1H), 2.28 (m, 1H), 2.17 (s, 3H), 2.05-1.58 (m, 2H), 1.17 (s, 3H), 1.13 (s, 3H);
HRMS calcd m/z for $C_{23}H_{35}NO_3$: 363.1834. Found: 363.1846.

Example 176

2,5-dihydro-10-methoxy-5-(1-(N-phthalimido)-3-propyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 69 (250 mg, 0.68 mmol), phthalimide (103 mg, 0.7 mmol), triphenylphosphine (184 mg, 0.7 mmol) and diethyl azodicarboxylate (110 uL, 0.7 mmol) in THF (15 mL) was stirred for 24 hours and partitioned between EtOAc and water. The organic layer was washed with water, brine, dried (Na_2SO_4) and concentrated. The residue was purified by flash silica gel chromatography (3:1 hexane/EtOAc) to give the desired compound.
MS (DCI/NH_3) m/z 495 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.88 (d, 1H), 7.81 (s, 4H), 6.82 (t, 1H), 6.58 (d, 1H), 6.42 (d, 1H), 6.40 (d, 1H), 6.10 (s, 1H), 5.61 (dd, 1H), 5.40 (s, 1H), 3.78 (s, 3H), 3.48 (t, 2H), 2.16 (s, 3H), 1.75-1.40 (bm, 4H), 1.22 (s, 3H), 1.16 (s, 3H);
HRMS calcd m/z for $C_{31}H_{30}N_2O_4$: 494.2206. Found: 494.2198.

Example 177

2,5-dihydro-10-methoxy-5-(1-amino-3-propyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 176 (118 mg, 0.24 mmol) was treated with hydrazine (12.8 mg, 0.4 mmol) in refluxing ethanol (8 mL) for 16 hours, cooled and filtered to remove a solid. The filtrate was concentrated and purified by flash silica gel chromatography (9.5:0.5 methylene chloride/methanol) to give the desired compound.
MS (DCI/NH_3) m/z 365 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.05 (t, 1H), 6.68 (d, 1H), 6.57 (d, 1H), 6.54(d, 1H), 6.08 (s, 1H), 5.66 (dd, 1H), 5.43 (s, 1H), 3.85 (s, 3H), 2.43 (t, 2H), 2.17 (s, 3H), 1.80-1.22 (m, 4H), 1.16 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{23}H_{28}N_2O_2 \cdot 0.30\ H_2O$: C,74.69; H, 7.79; N, 7.57. Found: C, 74.50; H, 7.78; N, 7.31.

Example 178

2,5-dihydro-10-methoxy-5-(1-(hydrazinocarbonylamino)-3-propyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 177 (65 mg, 0.178 mmol) was treated with triphosgene (19 mg, 0.0646 mmol) and triethylamine (50 uL, 0.36 mmol) in refluxing THF (6 mL) for 3 hours, cooled and concentrated to give the crude isocyanate.

The crude isocyanate (0.089 mmol) in THF (10 mL) was treated with hydrazine (4.5 mmol), stirred for 2 hours under nitrogen, concentrated and the resulting residue was purified by flash silica gel chromatography(9:1 dichloromethane / methanol) to give the desired compound.

MS (DCI/NH_3) m/z 423 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.94 (d, 1H), 7.06 (t, 1H), 6.79 (bs, 1H), 6.68 (dd, 1H), 6.57 (d, 1H), 6.54 (dd, 1H), 6.22 (bt, 1H), 6.10 (d, 1H), 5.63 (dd, 1H), 5.44 (s, 1H), 3.96 (bs, 2H), 3.85 (s, 3H), 2.92 (m, 2H), 2.15 (s, 3H), 1.58-1.20 (m, 4H), 1.16 (s, 3H), 1.15 (s, 3H);

HRMS $(M+H)^+$ calcd m/z for $C_{24}H_{30}N_4O_3$: 423.2396 . Found: 423.2413.

Example 179

(*E*) 2,5-dihydro-10-methoxy-5-(2-carbomethoxy-1-ethenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 44 (0.087 g, 0.26 mmol) was dissolved in CH_2Cl_2 (10ml), cooled to-23 °C, treated dropwise with 0.52 ml 1M Dibal-H / heptane solution (0.52 mmol) and stirred for 1 h. The reaction mixture was poured into 30 ml 0.5 M HCl, stirred 30 min, extracted with ethyl acetate, the combined organics washed with brine and dried (Na_2SO_4) to give the intermediate aldehyde as a yellow foam.

The resulting yellow foam was dissolved in THF (8 ml), cooled to 0 °C, treated with methyl (triphenylphosphoranylidene)acetate (0.130 g, 0.39 mmol), stirred overnight at room temperature and then at 45 °C for 1 hour. The reaction mixture was allowed to cool, diluted with saturated aqueous NH_4Cl, extracted with ethyl acetate, and the combined organics washed with brine and dried ($MgSO_4$). The resulting residue was purified by column chromatography on silica gel eluting with 90:10-hexane:ethyl acetate to give 0.043 g (42%) the desired compound as a yellow foam.

MS (DCI/NH_3) *m/e* 392 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.99 (d, J=9 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.86 (dd, J=4, 16 Hz, 1H), 6.69 (d, J=7 Hz, 1H), 6.67 (d, J=9 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.41 (dd, J=2, 4 Hz, 1H), 6.26 (d, J=2 Hz, 1H), 5.63 (dd, J=2, 16 Hz, 1H), 5.45 (br s, 1H), 3.84 (s, 3H), 3.56 (s, 3H), 2.08 (s, 3H), 1.19 (s, 3H), 1.15 (s, 3H);

^{13}C NMR (100 MHz, DMSO-d_6)□165.19, 156.18, 151.64, 146.45, 145.59, 133.53, 128.39, 127.17, 123.57, 117.17, 116.54, 113.85, 109.82, 105.78, 71.93, 55.80, 55.59, 51.57, 49.75, 29.56, 29.15, 28.70, 23.45;
Anal. calcd for $C_{24}H_{25}NO_4$•1/4H_2O: C, 72.80; H, 6.49; N, 3.54. Found: C, 73.00; H, 6.56; N, 3.34.

Example 180

(*Z*)-2,5-dihydro-10-methoxy-5-(1-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate aldehyde from Example 179 and ethyltriphenylphosphonium iodide were processed according to Example 187 to provide the desired compound.
MS (DCI/NH_3) *m/e* 348 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.90 (d, J=8 Hz, 1H), 6.97 (t, J=6 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.48 (d, J=12 Hz, 1H), 6.26 (d, J=7 Hz, 1H), 6.10 (s, 1H), 5.59 (m, 1H), 5.41 (s, 2H), 3.83 (s, 3H), 2.08 (s, 3H), 1.79 (d, J=7 Hz, 3H), 1.23 (s, 3H), 1.11 (s, 3H);
^{13}C NMR (125 MHz, DMSO-d_6)□156.1, 152.4, 145.4, 132.4, 131.0, 130.2, 127.7, 127.2, 127.0, 126.7, 116.9, 116.4, 113.7, 113.0, 109.9, 105.4, 69.4, 55.6, 49.7, 29.6, 28.3, 23.0, 13.8;
Anal. calcd for $C_{23}H_{25}O_2N$•1.0H_2O: C, 75.59; H, 7.45; N, 3.83. Found: C, 75.53; H, 7.20; N, 3.62.

Example 181

(*E*) 2,5-dihydro-10-methoxy-5-(3-hydroxy-1-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A 20 ml ethereal suspension of $LiAlH_4$ (0.200 g, 5.17 mmol) was treated dropwise at room temperature with a 15 ml ethereal solution of $AlCl_3$ (0.230 g, 1.72 mmol), stirred for 15 minutes and treated dropwise with a 20 ml ethereal solution of Example 179. After stirring 1 hour at room temperature, 2 ml H_2O was carefully added followed by the dropwise addition of 15 % NaOH until a white paste deposited on the bottom of the vessel. The ether solution was decanted, the paste washed several times with ether and the combined organics washed with brine and dried ($MgSO_4$). The residue was purified by column chromatography on silica gel eluting with 25% then 33% ethyl acetate in hexanes to give 0.195 g (78%) of the desired compound as a colorless foam.
^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=8 Hz, 1H), 7.01 (t, J=8 Hz, 1H), 6.64 (d, J=9 Hz, 1H), 6.61 (d, J=9 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.18 (br d, J=4 Hz, 1H), 6.08 (s,

1H), 5.73-5.66 (m, 1H), 5.51 (5.43, J=m Hz, 1H), 5.41 (s, 1H), 4.65 (t, J=5 Hz, 1H), 3.83 (s, 3H), 3.77 (t, J=5 Hz, 2H), 2.12 (s, 3H), 1.19 (s, 3H), 1.13 (s, 3H);
MS (FAB) *m/e* calcd for $C_{23}H_{25}NO_3$: 363.183. Found 363.1839.

Example 182

(*E*) 2,5-dihydro-10-methoxy-5-(3-(N,N-dimethylaminocarbonyloxy)-1-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 181 (0.035 g, 0.096 mmol) was dissoved in DMF (5 ml), treated with NaH (0.012 g 60% dispersion in oil, 0.289 mmol) at room temperature, stirred for 10 minutes, treated dropwise with N,N-dimethylcarbamoyl chloride (44□l, 0.481 mmol) and stirred for 30 minutes. The reaction mixture was diluted with 10 ml saturated aqueous NH_4Cl, extracted with ethyl acetate, the organic layers washed with H_2O, brine, dried ($MgSO_4$), concentrated, and purified by silica gel chromatography eluting with 25% then 33% ethyl acetate in hexanes to give 0.033 g (79%) of the desired compound as a colorless foam. :
MS (DCI/NH_3) *m/e* 509 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, J=9 Hz, 1H), 7.02 (t, J=8 Hz, 1H), 6.66 (d, J=8 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.53 (d, J=8 Hz, 1H), 6.17 (m, 2H), 5.82 (dd, J=16, 4 Hz, 1H), 5.49-5.42 (m, 1H), 5.42 (s, 1H), 4.31 (d, J=6 Hz, 2H), 3.82 (s, 3H), 2.71 (m, 6H), 2.09 (s, 3H), 1.20 (s, 3H), 1.12 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□156.1, 155.1, 151.8, 145.4, 133.0, 131.5, 130.0, 129.8, 127.6, 127.0, 126.8, 117.3, 116.9, 113.7, 113.5, 110.0, 105.6, 72.9, 63.8, 55.7, 55.6, 49.7, 29.3, 28.5, 28.4, 23.3;
MS (FAB) *m/e* calcd for $C_{26}H_{30}N_2O_4$: 434.2206. Found 434.2209.

Example 183

(*E*) 2,5-dihydro-10-methoxy-5-(3-methoxymethoxy-1-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 181 (0.026 g, 0.072 mmol) was dissolved in dichloroethane (5 ml), cooled to 0 °C, treated with (i-Pr)$_2$NEt (62 □l, 0.358 mmol) followed by chloromethyl methyl ether (16 □l, 0.215 mmol) the bath removed and the mixture heated to 55 °C for 14 hours. The mixutre was partitioned between ethyl acetate and saturated aqueous NH_4Cl, the organic layer washed with brine, dried ($MgSO_4$) and purified by silica gel chromatography eluting with 10 % ethyl acetate in hexanes to give 0.012 g (41%) of the desired compound as an amber oil.
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, J=8 Hz, 1H), 7.02 (t, J=8 Hz, 1H), 6.66 (d, J=9 Hz, 1H), 6.63 (d, J=9 Hz, 1H), 6.53 (d, J=8 Hz, 1H), 6.19 (br d, J=3 Hz, 1H), 6.14

(d, J=2 Hz, 1H), 5.78 (dd, J=16, 4 Hz, 1H), 5.42 (s, 1H), 4.31 (ABq, J=8, 6 Hz, 2H), 3.84 (m, 2H), 3.82 (s, 3H), 3.09 (s, 3H), 2.11 (s, 3H), 1.20 (s, 3H), 1.13 (s, 3H); MS (FAB) *m/e* calcd for $C_{25}H_{29}NO_4$: 407.2097. Found 407.2090.

Example 184

2,5-dihydro-10-methoxy-5-(3-hydroxy-3-propenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 44 (0.58 g, 1.74 mmol) was dissolved in CH_2Cl_2 (40 ml), cooled to-45 °C, treated dropwise with 2.09 ml 1M Dibal-H / heptane solution (2.09 mmol) and stirred for 1 h. The reaction mixture was poured into 75 ml 0.5 M HCl, stirred 30 min, extracted with ethyl acetate, the combined organics washed with brine, dried (Na_2SO_4) and concentrated to give 0.55 g crude aldehyde as a yellow foam.

The resulting aldehyde (0.048 g, 0.143 mmol) was dissolved in THF (5 ml) cooled to 0 °C, and treated slowly with vinylmagnesium bromide (0.72 ml 1M/THF, 0.72 mmol). After stirring 15 minutes, the mixture was partitioned between ethyl acetate and brine, the aqueous layer extracted with ethyl acetate and combined organics washed with brine, dried (Na_2SO_4), concentrated and purified by silica gel chromatography eluting with 20 % ethyl acetate in hexanes to give the desired compound (0.027 g, 53%) as an inseparable 1 : 1 mixture of diastereomers.

MAJOR:

^1H NMR (300 MHz, DMSO-d_6)□7.97 (d, J=8 Hz, 1H), 7.04 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.48 (d, J=8 Hz, 1H), 6.03 (br s, 1H), 5.61 (s, 1H), 5.46 (m, 1H), 5.36 (m, 1H), 4.97-5.10 (m, 1H), 4.87 (m, 1H), 3.94 (m, 1H), 3.85 (s, 3H), 2.19 (s, 3H), 1.23 (s, 3H), 1.10 (s, 3H);

MINOR:

MS (DCI/NH_3) *m/e* 364 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□8.02 (d, J=8 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.62 (d, J=8 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.16 (br s, 1H), 5.95 (m, 1H), 5.58 (s, 1H), 5.41 (s, 1H), 4.97-5.10 (m, 2H), 3.94 (m, 1H), 3.85 (s, 3H), 2.11 (s, 3H), 1.27 (s, 3H), 1.01 (s, 3H); MS (DCI/NH_3) *m/e* $(M+H)^+$ 364; Anal. calcd for $C_{23}H_{25}NO_3 \cdot 3/4H_2O$: C, 73.29; H, 7.09; N, 3.72. Found: C, 73.67; H, 6.80; N, 3.81.

Example 185

methyl 2-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl) acetyl hydroxamate

Example 46 (0.150 g, 0.395 mmol) was added dropwise to a solution of N,O-dimethylhydroxylamine hydrochloride (0.192 g, 1.98 mmol) and trimethylaluminium (1.0 mL, 2.0 mmoland the resulting mixture heated at 40 °C for 2 hours, quenched with methanol and partitioned between methylene chloride and saturated aqueous Rochelle's salt. The organic layer was washed with saturated aqueous sodium bicarbonate, brine, and dried ($MgSO_4$). The crude product was purified by flash chromatography on silica gel eluting with 4% then 10% ethyl acetate in methylene chloride to give the desired compound (62 %) as a white foam.

MS (DCI/NH_3) *m/e* 409 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.79 (d, J=8 Hz, 1H), 7.05 (dd, J=8 Hz, 1H), 6.73 (d, J=8 Hz, 1H), 6.61 (d, J=8 Hz, 1H), 6.48 (d, J=8 Hz, 1H), 6.25 (dd, J=2+10 Hz, 1H), 6.16 (s, 1H), 5.43 (s, 1H), 3.87 (s, 3H), 3.25 (br s, 3H), 3.04 (br s, 3H), 2.34 (m, 1H), 2.18 (s, 3H), 1.17 (s, 6H);

Anal. calcd for $C_{24}H_{28}N_2O_4$: C, 70.57; H, 6.91; N, 6.86. Found: C, 70.74; H, 7.11; N, 6.59.

Example 186

2-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl) acetaldehyde

Example 185 (0.334 g, 0.817 mmol) was dissolved in THF (20 ml), cooled to -78 °C, and treated with 1M Dibal-H in toluene (1.71 mL, 1.71 mmol) over 5 minutes and stirred for 1 hour. The reaction mixture was poured into saturated potassium sodium tartrate, the layers separated, the aqueous phase extracted with CH_2Cl_2, the combined organics washed with saturated aqueous sodium bicarbonate, brine, dried ($MgSO_4$), and purified by silica gel chromatography eluting with 30% ethyl acetate in hexane to give 0.265 g (93%) of the desired product as a colorless foam.

MS (DCI/NH_3) *m/e* 350 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□9.65 (s, 1H), 7.95 (d, J=9 Hz, 1H), 7.05 (dd, J=8 Hz, 1H), 6.73 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.45 (d, J=8 Hz, 1H), 6.35 (dd, J=3+10 Hz, 1H), 6.20 (s, 1H), 5.45 (s, 1H), 3.85 (s, 3H), 2.85 (m, 1H), 2.60 (m, 1H), 2.15 (s, 3H), 1.17 (s, 3H), 1.15 (s, 3H);

Anal. calcd for $C_{22}H_{23}NO_3 \cdot 1/4H_2O$: C, 74.66; H, 6.69; N, 3.96. Found: C, 74.32; H, 6.30; N, 3.86.

Example 187

2,5-dihydro-10-methoxy-5-(2-cyclohexylidenylethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Cyclohexyltriphenylphosphonium bromide (Grim, S. O.; Ambrus, J. H.; *J.Org. Chem.* **1968**, 33, 2993-2994.) (0.234 g,0.55 mol) was suspended in (5:3) THF:Ether (8.0 ml), cooled to-10 °C, treated with 220□l of 2.5 M n-butyl lithium, stirred for 10 minutes. Example 186 was added as a solution in THF and the reaction was allowed to stir at

room temperature 12 hours, refluxed for 15 minutes and allowed to cool. Diethyl ether was added and the reaction was filtered and concentrated. The resulting residue was purified by silica gel chromatography eluting with 10:1 to 5:1 hexanes:ethyl acetate to afford 0.033 g (51%) desired compound. : m.p. 130-135 C°;
MS (DCI/NH_3) *m/e* 416 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.03 (t, J=9 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 6.50 (d, J=8 Hz, 1H), 6.11 (s, 1H), 5.64 (dd, J=10, 10 Hz, 1H), 5.43 (s, 1H), 5.04 (t, J=7 Hz, 1H), 3.85 (s, 3H), 2.10 (s, 3H), 2.0 (b, 2H), 1.81 (t, J=7 Hz, 2H), 1.45 (b, 3H), 1.3 (b, 3H), 1.17 (s, 3H), 1.15 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□165.0, 151.1, 145.4, 140.5, 133.41, 132.2, 127.5, 127.0, 126.8, 116.5, 116.3, 116.0, 113.0, 110.3, 105.3, 73.9, 55.5, 49.6, 36.6, 30.5, 28.9, 28.7, 28.1, 27.9, 27.0, 26.2, 23.8.

Example 188

2,5-dihydro-10-methoxy-5-(2-cyclopentylidenylethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 and cyclopentyltriphenylphosphonium bromide (Ramirez, F.; Levy, S. *JACS* **1957,** 79, 67-69.) were processed according to Example 187 to provide the desired compound.
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, J=9 Hz, 1H), 7.02 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 6.48 (d, J=7 Hz, 1H), 6.10 (s, 1H), 5.56 (dd, J=10, 8 Hz, 1H), 5.43 (s, 1H), 5.22 (b, 1H), 3.85 (s, 3H), 2.14 (s, 6H), 1.77 (b, 2H), 1.49 (b, 4H), 1.17 (s, 3H), 1.14 (s, 3H); ^{13}C NMR (75 MHz, DMSO-d_6)□156.1, 151.2, 145.4, 144.6, 133.4, 132.3, 127.6, 127.0, 126.8, 116.4, 116.1, 115.3, 113.3, 113.1, 110.3, 105.3, 73.6, 55.6, 49.6, 33.1, 29.0, 28.7, 28.0, 25.8, 25.7, 23.8;
HRMS (FAB)*m/e* calcd for $C_{27}H_{32}O_2N$: 401.2355. Found 401.2342.

Example 189

2,5-dihydro-10-methoxy-5-(2-cycloheptylidenylethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 and cycloheptyltriphenylphoshonium bromide (Albright, T. A.; Freeman, W. J.; Schweizer, E.E. *JACS* **1974,** 97, 2942-2943.) were processed according to example 186 to provide the desired compound.
MS (DCI/NH_3) *m/e* 430 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d_6)□7.94 (d, J=9 Hz, 1H), 7.02 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 6.49 (d, J=8 Hz, 1H), 6.12 (s, 1H), 5.69 (dd, J=10, 9

Hz, 1H), 5.43 (s, 1H), 5.12 (t, J=7 Hz, 1H), 3.85 (s, 3H), 2.13 (s, 6H), 1.90 (b, 2H), 1.38 (b, 3H), 1.27 (m, 4H), 1.17 (s, 3H), 1.14 (s, 3H), 0.82 (m, 3H);
^{13}C NMR (75 MHz, DMSO-d_6)□156.1, 151.1, 145.4, 142.2, 133.4, 132.2, 128.6, 127.6, 127.0, 126.8, 120.0, 116.3, 116.0, 113.0, 110.3, 105.3, 73.6, 65.7, 55.6, 49.6, 37.3, 33.2, 31.1, 29.8, 29.3, 29.2, 29.0, 28.6, 28.5, 26.2, 23.8, 23.2;
Anal. calcd for $C_{29}H_{35}O_2N_2 \cdot 3/4H_2O$: C, 72.70; H, 8.52; N, 2.92. Found: C, 72.50; H, 8.11; N, 2.47.

Example 190

2,5-dihydro-10-methoxy-5-(3-methyl-2-butenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 and isopropyltriphenylphosphonium iodide were processed according to Example 187 to provide the desired compound.
^{1}H NMR (300 MHz, DMSO-d_6)□7.94 (d, J=8 Hz, 1H), 7.37 (s, 1H), 7.03 (t, J=8 Hz, 1H), 6.67 (d, J=7 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.49 (d, J=8 Hz, 1H), 6.11 (s, 1H), 5.65 (dd, J=10, 9 Hz, 1H), 5.43 (s, 1H), 5.12 (t, J=7 Hz, 1H), 3.85 (s, 3H), 2.14 (s, 3H), 1.63 (s, 3H), 1.31 (s, 3H), 1.17 (s, 3H), 1.15 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d_6)□156.1, 151.1, 145.4, 133.4, 132.8, 132.2, 127.6, 127.0, 126.9, 119.8, 116.4, 116.1, 113.3, 113.1, 110.3, 105.3, 73.7, 55.6, 49.6, 31.5, 29.0, 28.7, 25.6, 23.8, 17.5;
HRMS (FAB)*m/e* calc'd for $C_{25}H_{29}O_2N$: 375.2198. Found 375.2189.

Example 191

trans 2,5-dihydro-10-methoxy-5-(2-butenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 and ethyltriphenylphosphonium bromide were processed according to example 186 to provide the desired compound.
MS (DCI/NH_3) *m/e* 362 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.96 (d, J=8 Hz, 1H), 7.05 (dd, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.51 (d, J=8 Hz, 1H), 6.10 (s, 1H), 5.72 (dd, J=4+10 Hz, 1H), 5.45 (m, 3H), 3.86 (s, 3H), 2.43 (m, 1H), 2.20 (m, 1H), 2.15 (s, 3H), 1.30 (d, J=5 Hz, 3H), 1.17 (s, 3H), 1.15 (s, 3H).

Example 192

trans 2,5-dihydro-10-methoxy-5-(2-penten-1-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 (0.050 g, 0.143 mmol) and propyltriphenylphosphonium bromide (165.6 mg, 0.429 mmol) were processed as in example 187 to give the desired compound.

MS (DCI/NH_3) *m/e* 376 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=9 Hz, 1H), 7.05 (dd, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.50 (d, J=8 Hz, 1H), 6.09 (s, 1H), 5.70 (dd, J=3, 10 Hz, 1H), 5.44 (s, 1H), 5.38 (ss, J=5 Hz, 2H), 3.86 (s, 3H), 2.41 (m, 1H), 2.19 (m, 1H), 2.15 (s, 3H), 1.70 (m, 2H), 1.15 (s, 6H), 0.75 (t, J=7 Hz, 3H).

Example 193

2,5-dihydro-10-methoxy-5-(1,1-difluoro-1-propen-3-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 186 (0.050 g, 0.143 mmol) and diphenylphosphoranyl difluromethane (Edwards, M.L., et. al. *Tet. Let.* **1990**,*31*, 5571-74) were processed as in example 187 to give the desired compound.

^{1}H NMR (300 MHz, DMSO-d_6)□7.98 (d, J=8 Hz, 1H), 7.08 (t, J=8 Hz, 1H), 6.71 (d, J=9 Hz, 1H), 6.62 (d, J=9 Hz, 1H), 6.57 (d, J=9 Hz, 1H), 6.17 (s, 1H), 5.73 (dd, J=4,10 Hz, 1H), 5.46 (s, 1H), 4.53 (m, 1H), 3.86 (s, 3H), 2.32 (m, 1H), 2.16 (s, 3H), 2.11 (m, 1H), 1.17 (s, 3H), 1.15 (s, 3H);

HRMS (FAB)*m/e* calc'd 383.1697. Found 383.1689.

Example 194

(*E*) methyl 2-(2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl) 2-butenoate

Example 186 (0.040 g, 0.115 mmol) and methyl (triphenylphosphoranylidene)acetate (115 mg, 0.344 mmol, Aldrich) were processed according to example 179 to give 0.037 g (80%) of the desired compound as a white foam.

MS (DCI/NH_3) *m/e* 406 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=9 Hz, 1H), 7.07 (dd, J=8 Hz, 1H), 6.85 (m, 1H), 6.72 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.50 (d, J=8 Hz, 1H), 6.15 (s, 1H), 5.87 (dd, J=3+10 Hz, 1H), 5.80 (d, J=14 Hz, 1H), 5.45 (s, 1H), 3.88 (s, 3H), 3.65 (s, 3H), 2.60 (m, 1H), 2.45 (m, 1H), 2.15 (s, 3H), 1.15 (br s, 6H); ^{13}C NMR (75 MHz, DMSO-

d6)□165.8, 156.2, 150.5, 145.6, 144.8, 133.6, 131.3, 127.4, 127.2, 122.7, 116.3, 115.9, 113.4, 113.1, 110.2, 105.7, 72.4, 55.6, 51.3, 49.7, 34.9, 29.0, 28.9, 28.9, 23.9;
Anal. calcd for $C_{25}H_{27}NO_4 \cdot 1/2H_2O$: C, 72.44; H, 6.81; N, 3.38. Found: C, 72.55; H, 6.71; N, 3.22.

Example 195

(*E*) 2,5-dihydro-10-methoxy-5-(4-hydroxy-2-buten-1-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 194 (0.063 g, 0.155 mmol) in Et_2O was treated dropwise with a slurry containing $LiAlH_4$ (0.044 g, 1.16 mmol) and $AlCl_3$ (0.041 g, 0.308 mmol) for 1 hour. The reaction mixture was diluted with Et_2O and treated with 2 drops of H_2O followed by15% NaOH until a white paste formed. The Et_2O was decanted and the paste washed 2 times with Et_2O. The combined organics were washed with saturated aqueous sodium bicarbonate, brine, dried ($MgSO_4$), and purified by silica gel chromatography eluting with 6% then 10% ethyl acetate in methylene chloride to give 0.031 g (53%) of the desired compound.

MS (DCI/NH_3) *m/e* 378 $(M+H)^+$;
^1H NMR (300 MHz, DMSO-d6)□7.94 (d, J=9 Hz, 1H), 7.07 (dd, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.54 (d, J=8 Hz, 1H), 6.12 (s, 1H), 5.70 (dd, J=3+10 Hz, 1H), 5.4-5.69 (m, 3H), 4.63 (dd, J=6 Hz, 1H), 3.87 (m, 5H), 3.31 (s, 3H), 2.40 (m, 1H), 2.15 (s, 3H), 1.15 (s, 6H);
Anal. calcd for $C_{24}H_{27}NO_3 \cdot 1/4H_2O$: C, 75.47; H, 7.26; N, 3.67. Found: C, 75.62; H, 7.40; N, 3.59.

Example 196

(*E*) 2,5-dihydro-10-methoxy-5-(4-(N,N-dimethylaminocarbonyloxy)-2-buten-1-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 195 and disuccinimidyl carbonate were processed as in Example 200 to give the an intermediate succinate ester.

The intermediate succinate ester and N,N-dimethylamine were processed as in Example 200 to give the desired compound.

MS (DCI/NH_3) *m/e* 449 $(M+H)^+$;
^1H NMR (400 MHz, DMSO-d6)□7.95 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.09 (s, 1H), 5.74 (dd, J=3,10 Hz, 1H), 5.65 (m, 1H), 5.48 (m, 1H), 5.43 (s, 1H), 3.85 (s, 3H), 3.79 (d, J=5 Hz, 2H), 2.45 (m, 1H), 2.20 (m, 1H), 2.15 (s, 3H), 1.17 (s, 3H), 1.16 (s, 3H); ^{13}C NMR (100

MHz, DMSO-d_6)□156.1, 150.9, 145.5, 133.6, 132.0, 129.0, 128.6, 127.4, 127.1, 127.0, 116.2, 115.9, 113 (3), 113.2, 110.3, 105.4, 73.5, 72.0, 56.9, 55.6, 49.7, 35.0, 28.9, 23.3; Anal. calcd for $C_{27}H_{32}N_2O_4$: C, 72.30; H, 7.19; N, 6.25. Found: C, 72.10; H, 7.11; N, 5.98.

Example 197

(*E*) 2,5-dihydro-10-methoxy-5-(4-(N-methylaminocarbonyloxy)-2-buten-1-yl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate succinate ester from Example 196 and methylamine were processed as in Example 200 to give the desired compound.

MS (DCI/NH_3) *m/e* 435 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=8 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.95 (m, 1H), 6.70 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.08 (s, 1H), 5.70 (m, 2H), 5.50 (m, 1H), 5.43 (s, 1H), 4.35 (d, J=5 Hz, 2H), 3.85 (s, 3H), 2.56 (d, J=5 Hz, 3H), 2.42 (m, 1H), 2.20 (m, 1H), 2.15 (s, 3H), 1.15 (s, 6H);

Anal. calcd for $C_{26}H_{30}N_2O_4$: C, 71.87; H, 6.96; N, 6.45. Found: C, 71.66; H, 7.25; N, 6.07.

Example 198

(*E*) 2,5-dihydro-10-methoxy-5-(2-butenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 195 (0.080 g , 0.212 mmol) was dissolved in CH_2Cl_2 (10 ml), cooled to -10 °C, treated with $(i\text{-}Pr)_2NEt$ (55 □L , 0.318 mmol) followed by methanesulfonyl chloride (20 □L, 0.255 mmol), stirred for 1hr and allowed to warm to room temperature. The mixture was recooled to -10 °C and treated dropwise with lithium triethylborohydride (635 □L, 0.635 mmol), stirred for 1 hr, allowed to warm to room temperature, treated with 5.0 ml of 1N NaOH followed by 0.11 ml of 30% H_2O_2 and stirred for 30 minutes. The mixture was partitioned between water and ethyl acetate, the aqueous extacted with ethyl acetate and the combined organics washed with water, brine, and dried (Na_2SO_4). Purification by silica gel chromatography eluting with 15:1 then 7:1 hexanes:ethyl acetate provided 0.029 g (38%) desired compound.

^{1}H NMR (360 MHz, DMSO-d_6)□7.93 (d, J=9 Hz, 1H), 7.04 (t, J=8 Hz, 1H), 6.68 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.51 (d, J=7 Hz, 1H), 6.11 (s, 1H), 5.67 (dd, J=10 Hz, 1H), 5.41 (t, J=9 Hz, 1H), 5.34 (t, J=11 Hz, 1H), 3.85 (s, 3H), 2.34 (m, 1H), 2.15 (s, 3H), 1.59 (dd, J=5 Hz, 3H), 1.17 (s, 3H), 1.15 (s, 3H);

^{13}C NMR (100 MHz, DMSO-d_6)□156.2, 151.0, 145.4, 133.4, 132.1, 127.1, 127.0, 126.9, 126.6, 125.5, 115.9, 113.2, 110.0, 105.3, 73.7, 55.5, 49.6, 35.4, 28.9, 28.8, 23.9, 17.8;

HRMS (FAB) calc'd for $C_{24}H_{28}O_2N$: m/e 362.2120. Found 362.2119.

Example 199

2,5-dihydro-10-methoxy-5-(2-hydroxyethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 46 (0.100 g, 0.264mmol) was treated with 1M Dibal-H in toluene (0.544 ml, 0.544mmol) at -78° C, warmed to room temperature, quenched with methanol and the partitioned between methylene chloride and saturated aqueous Rochelle's salt. The organic layer was washed with 1N HCl, saturated aqueous sodium bicarbonate, brine, and dried ($MgSO_4$). The resulting crude product was purified by flash chromatography on silica gel eluting with 10% ethyl acetate in methylene chloride to give (87%) of the desired compound as a white solid.

MS (DCI/NH_3) *m/e* 352 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=8 Hz, 1H), 7.05 (dd, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.59 (d, J=8 Hz, 1H), 6.55 (d, J=8 Hz, 1H), 610 (s, 1H), 5.95 (dd, J=2,10 Hz, 1H), 5.43 (s, 1H), 4.61 (t, J=6 Hz, 1H), 3.84 (s, 3H), 3.52 (m, 1H), 2.20 (s, 3H), 1.80 (m, 1H), 1.50 (m, 1H), 1.19 (s, 3H), 1.16 (s, 3H).

Example 200

2,5-dihydro-10-methoxy-5-(2-(N-benzylcarbonyloxy)ethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 199 (0.200 g, 0.57 mmol) was combined with N,N'-disuccinimidyl carbonate (0.217 g, 0.85 mmol), $(i\text{-}Pr)_2NEt$ (0.30 ml, 1.71mmol), and acetonitrile (2mL), stirred at room temperature 2 hours and partitioned between CH_2Cl_2 and saturated aqueous sodium bicarbonate. The organic layer was washed with brine, dried ($MgSO_4$), and purified by silica gel chromatography eluting with 6% ethyl acetate in dichloromethane to give 0.252 g (90%) of the succinate ester as a white foam.

The succinate ester (0.020 g, 0.041mmol), benzyl amine (6.6 µl, 0.061mmol), and CH_2Cl_2 (3 ml) were combined and stirred for 20 minutes at room temperature. The reaction mixture was diluted with CH_2Cl_2 and the organic layers washed with H_2O, saturated aqueous sodium bicarbonate, brine, dried ($MgSO_4$) and purified by silica gel chromatography eluting with 20% ethyl acetate in hexane to give 19 mg (97%) of the desired compound as a white solid.

MS (DCI/NH_3) *m/e* 485 $(M+H)^+$;

^1H NMR (400 MHz, DMSO-d_6)□7.95 (d, J=9 Hz, 1H), 7.68 (t, J=6 Hz, 1H), 7.25 (m, 3H), 7.07 (t, J=8 Hz, 1H), 6.71 (d, J=8 Hz, 1H), 6.59 (dd, J=8 Hz, 1H), 6.11 (s, 1H), 5.86

(d, J=8 Hz, 1H), 5.40 (s, 1H), 4.18 (m, 2H), 4.00 (m, 2H), 3.85 (s, 3H), 2.12 (s, 3H), 1.90 (m, 1H), 1.71 (m, 1H), 1.17 (s, 3H), 1.15 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d$_6$)□156.3, 156.1, 150.7, 145.6, 139.7, 133.5, 131.9, 128.2, 127.5, 127.2, 127.1, 127.0, 126.7, 116.3, 115.9, 113.2, 113.2, 110.2, 110.1, 105.6, 70.3, 60.2, 55.6, 49.6, 43.7, 31.5, 28.8, 28.7, 23.8;
Anal. calcd for $C_{30}H_{32}N_2O_5\cdot H_2O$: C, 71.69; H, 6.82; N, 5.57. Found: C, 71.45; H, 6.83; N, 5.56.

Example 201

2,5-dihydro-10-methoxy-5-(2-(N-morpholinocarbonyloxy)ethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate succinate ester from Example 200 and morpholine were processed as in Example 200 to give the desired compound.
MS (DCI/NH$_3$) *m/e* 465 (M+H)$^+$;
^{1}H NMR (400 MHz, DMSO-d$_6$)□7.95 (d, J=9 Hz, 1H), 7.07 (t, J=8 Hz, 1H), 6.71 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.10 (s, 1H), 5.88 (dd, J=3+10 Hz, 1H), 5.44 (s, 1H), 4.05 (m, 2H), 3.85 (s, 3H), 3.75 (m, 4H), 2.16 (s, 3H), 1.85 (m, 1H), 1.78 (m, 1H), 1.16 (s, 3H), 1.15 (s, 3H);
^{13}C NMR (100 MHz, DMSO-d$_6$)□156.2, 154.4, 150.6, 145.6, 133.5, 131.8, 127.3, 127.2, 127.1, 116 (1), 115.9, 113.2, 113.2, 110.1, 105.6, 70.3, 65.8, 61 (2), 55.6, 49.7, 43.7, 43.6, 31.3, 29.0, 28.9, 23..8;
Anal. calcd for $C_{27}H_{32}N_2O_5\cdot 1/4H_2O$: C, 69.14; H, 6.98; N, 5.97. Found: C, 68.96; H, 7.05; N, 5.94.

Example 202

2,5-dihydro-10-methoxy-5-(2-(N-(2-methoxyethyl)aminocarbonyloxy)ethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate succinate ester from Example 200 and 2-methoxyethyl aminewere processed as in Example 200 to give the desired compound.
MS (DCI/NH$_3$) *m/e* 453 (M+H)$^+$;
^{1}H NMR (500 MHz, DMSO-d$_6$)□7.95 (d, J=8 Hz, 1H), 7.13 (m, 1H), 7.04 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.56 (d, J=8 Hz, 1H), 6.08 (s, 1H), 5.85d (10, 1H), 5.45 (s, 1H), 3.95 (m, 2H), 3.85 (s, 3H), 3.25 (s, 3H), 3.12 (m, 2H), 2.15 (s, 3H), 1.92 (m, 1H), 1.72 (m, 1H), 1.15 (d, 6H);
^{13}C NMR (125 MHz, DMSO-d$_6$)□156.1, 156.1, 150.7, 145.6, 133.5, 131.9, 127.6, 127.1, 127.1, 116.3, 116.0, 113.2, 113.2, 110.2, 105.6, 70.7, 70.3, 60.0, 57.8, 55.6, 49.6, 31.5, 28.8, 28.8, 23.8.

Example 203

2,5-dihydro-10-methoxy-5-(2-(N-methyaminocarbonyloxyoxy)ethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate succinate ester from Example 200 and methylamine were processed as in Example 200to give the desired compound.

MS (DCI/NH_3) *m/e* 409 $(M+H)^+$;

^1H NMR (500 MHz, DMSO-d_6)□7.95 (d, J=8 Hz, 1H), 7.04 (t, J=8 Hz, 1H), 6.93 (m, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.56 (d, J=8 Hz, 1H), 6.08 (s, 1H), 5.85d (10, 1H), 5.45 (s, 1H), 3.95 (m, 2H), 3.85 (s, 3H), 2.59 (d, 3H), 2.15 (s, 3H), 1.92 (m, 1H), 1.72 (m, 1H), 1.15 (d, 6H);

^{13}C NMR (125 MHz, DMSO-d_6)□156.6, 156.1, 150.7, 145.6, 133.5, 131.9, 127.5, 127.2, 127.1, 116.3 (116.0), 113.2, 113.2, 113.2, 105.6, 70.3, 60.0, 55.6, 49.6, 31.5, 28.8, 28.8, 26.9, 23.7;

Anal. calcd for $C_{24}H_{28}N_2O_4$: C, 70.57; H, 6.91; N, 6.86. Found: C, 70.30; H, 6.91; N, 6.58.

Example 204

2,5-dihydro-10-methoxy-5-(2-(N,N-dimethylaminocarbonyloxy)ethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The intermediate succinate ester from Example 200 and N,N-dimethylamine were processed as in Example 200 to give the desired compound as a white solid.

MS (DCI/NH_3) *m/e* 423 $(M+H)^+$;

^1H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=8 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.69 (d, J=8 Hz, 1H), 6.56 (dd, J=8 Hz, 2H), 6.12 (s, 1H), 5.86 (dd, J=3+10 Hz, 1H), 5.44 (s, 1H), 3.96 (m, 2H), 3.85 (s, 3H), 2.86 (s, 3H), 2.83 (s, 3H), 2.18 (s, 3H), 1.95 (m, 1H), 1.76 (m, 1H), 1.15 (s, 6H).

Example 205

2,5-dihydro-10-methoxy-5-(2-methoxymethoxyethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 199 (0.040 g, 0.114 mmol) was combined with chloromethyl methyl ether (13 µL, 0.171 mmol), $(i\text{-}Pr)_2NEt$ (40 µL, 0.228 mmol), and methylene chloride (5ml) and heated to reflux for 3 hours. The reaction was partitioned between H_2O and ethyl acetate, the aqueous layer extracted with ethyl acetate and the combined organic layers washed with saturated aqueous sodium bicarbonate, brine, dried over $MgSO_4$, and purified by silica gel chromatography eluting with 2% then 5% ethyl acetate in methylene chloride to give 45 mg (40%) of the desired product.

MS (DCI/NH3) *m/e* 396 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□7.95 (d, J=9 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.70 (d, J=8 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.55 (d, J=8 Hz, 1H), 6.14 (s, 1H), 5.89 (dd, J=3+10 Hz, 1H), 5.45 (s, 1H), 4.55 (s, 2H), 3.85 (s, 3H), 3.58 (m, 1H), 3.25 (s, 3H), 2.18 (s, 3H), 1.85 (m, 1H), 1.65 (m, 1H), 1.19 (s, 3H), 1.13 (s, 3H);
Anal. calcd for $C_{24}H_{29}NO_4 \cdot 1/4H_2O$: C, 72.07; H, 7.43; N, 3.50. Found: C, 71.90; H, 7.33; N, 3.24.

Example 206

2,5-dihydro-10-methoxy-5-(2,2-dimethylethoxycarbonylamino)methyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 206A

2,5-dihydro-10-methoxy-5-(aminomethyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A 10 ml ethereal suspension of LiAlH□(0.050 g, 1.31 mmol) was treated dropwise at room temperature with a 5.0 ml ethereal solution of AlCl□ (0.59 g, 4.4 mmol), stirred for 30 minutes and treated dropwise with a 4.0 ml ethereal solution of Example 44. After stirring for 1 hour at room temperature , 2.0 ml of H□O carefully added followed by dropwise addition of 15 % NaOH until a white paste formed. The ether solution was decanted, the paste washed several times with ether and combined organics washed with brine and dried (Na□SO□). The residue was purified by silica gel column chromatography eluting with CH□Cl□:CH□OH (8:1) to give 0.031 g (69 %) aminomethyl analog that was carried directly to the next step.

Example 206

2,5-dihydro-10-methoxy-5-(2,2-dimethylethoxycarbonylamino)methyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The aminomethyl analog above (0.065 g, 0.19 mmol) was dissolved in dichloromethane (6.0 ml),cooled to 0 °C, treated with BoC□O (0.93 g, 0.42 mmol). Allowed to warm to room temperature overnight. 10 ml H□O was added and the phases separated. The organic layer was washed with brine and dried (Na□SO□). The residue was purified by silica gel column chromatography eluting with CH□Cl□:CH□OH (8:1) to give 0.080 g (95 %) desired compound :
m.p. 130-135 °C;

^{1}H NMR (400 MHz, DMSO-d_6)□7.98 (d, J=9 Hz, 1H), 7.70 (t, J=9 Hz, 1H), 6.79 (t, J=5 Hz, 1H), 6.67 (d, J=9 Hz, 1H), 6.60 (d, J=9 Hz, 1H), 6.53 (d, J=8 Hz, 1H), 6.12 (s, 1H), 5.80 (dd, J=10, 10 Hz, 1H), 5.42 (s, 1H), 3.85 (s, 3H), 3.14 (m, 1H), 2.86 (m, 1H), 2.19 (s, 3H), 1.47 (s, 3H), 1.21 (s, 3H), 1.12 (s, 3H), .84 (m, 1H);
^{13}C NMR (100 MHz, DMSO-d_6)□156.1, 155.5, 150.9, 145.4, 133.4, 131.5, 129.5, 128.6, 127.8, 126.9, 117.1, 116.4, 113.4, 112.7, 110.5, 105.3, 77.7, 72.3, 67.4, 55.6, 49.5, 41.5, 29.8, 29.2, 28.3, 28.2, 23.4, 23.2, 22.3;
HRMS (FAB) *m/e* calc'd for $C_{26}H_{32}N_2O_4$: 436.2362. Found 436.2360.

Example 207

2,5-dihydro-10-methoxy-5-(ethoxycarbonylamino)methyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 206A (0.047 g, 0.14mmole) in THF (10 ml) was treated with triethylamine (21.0 □L, 0.14 mmol). Followed by dropwise addition of ethyl chloroformate (14.1□L, 0.14 mmol.). After 30 minutes the reaction was poured into H□O, the aqueous layer extracted with ethyl acetate and the combined organic layers washed 1X with H_2O, 1X with brine, and dried (Na□SO□). The residue was purified by silica gel column chromatography eluting with 3:2 hexanes:ethyl acetate to give 0.047 g (80%) of the desired compound as a solid.
^{1}H NMR (300 MHz, DMSO-d_6)□7.98 (d, J=8 Hz, 1H), 7.13 (t, 1H), 7.03 (t, J=8 Hz, 1H), 6.67 (d, J=8 Hz, 1H), 6.57 (d, J=8 Hz, 1H), 6.54 (d, J=8 Hz, 1H), 6.13 (s, 3H), 5.83 (dd, 1H), 5.43 (s, 1H), 3.94 (m, 2H), 3.85 (s, 3H), 3.13 (m, 1H), 2.94 (m, 1H), 2.21 (s, 3H), 1.2o (s, 3H), 1.17 (s, 3H), 1.11 (s, 3H);
^{13}C NMR (75 MHz, DMSO-d_6)□156.1, 150.8, 145.5, 133.4, 129.4, 127.7, 127.0, 117.0, 116.4, 113.5, 112.7, 110.6, 105.4, 72.2, 59.7, 55.6, 49.6, 41.8, 29.2, 28.3, 23.5, 14.6;
HRMS *m/e* calc'd for $C_{24}H_{28}N_2O_4$: 408.2049. Found 408.2044.

Example 208

2,5-dihydro-10-methoxy-5-(carboethoxy)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

To Example 61 was added 2.0 ml of 5 % aqueous HCl, 5.0 ml H□O, and enough ethanol to make the solution homogenous.This was warmed at 35 °C for 1 hour, quenched with saturated aqueous sodium bicarbonate to a pH of 7.0. The reaction was extracted with ethyl acetate. The organics were washed with H□O, brine, and dried (Na□SO□). The residue was purified by silca gel column chromatography eluting with 7:1-5:1-3:2 hexanes:ethyl acetate to give 0.041 g (48 %) of the desired compound as a solid.

MS (DCI/NH$_3$) *m/e* 380 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.90 (d, J=9 Hz, 1H), 7.04 (t, J=8 Hz, 1H), 6.64 (d, J=8 Hz, 1H), 6.61 (m, 2H), 6.32 (s, 1H), 6.21 (s, 1H), 5.45 (s, 1H), 3.90 (m, 2H), 3.84 (s, 3H), 1.17 (s, 3H), 1.15 (s, 3H), .93 (t, J=7 Hz, 3H);
^{13}C NMR (100 MHz, DMSO-d$_6$)□169.4, 156.2, 152.5, 145.4, 133.1, 127.6, 126.9, 126.0, 118.2, 117.7, 114.7, 109.8, 105.7, 73.0, 60.7, 55.6, 49.9, 28.9, 28.7, 22.8, 13.7;
Anal. calcd for $C_{23}H_{25}NO_4 \cdot 1/4H_2O$: C, 71.95; H, 6.68; N, 3.65. Found: C, 72.21; H, 6.41; N, 3.85.

Example 209

2,5-dihydro-10-methoxy-5-(cyclopentyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cyclopentylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH$_3$) *m/e* 376 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.01 (d, J=8 Hz, 1H), 7.03 (t, J=8 Hz, 1H), 6.65 (d, J=8 Hz, 1H), 6.59 (d, J=9 Hz, 1H), 6.52 (d, J=8 Hz, 1H), 6.20 (s, 1H), 5.46 (s, 3H), 3.85 (s, 3H), 2.16 (s, 3H), 1.50 (m, 5H), 1.30 (s, 3H), 1.16 (m, 3H), 1.01 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d$_6$)□156.2, 151.7, 145.0, 133.7, 131.6, 128.1, 126.7, 117.7, 116.4, 113.3, 112.6, 109.9, 105.0, 76.5, 49.2, 42.5, 29.8, 29.5, 27.5, 26.6, 24.8, 24.6, 23.6;
Anal. calcd for $C_{25}H_{29}O_2N \cdot 1/2H_2O$: C, 78.09; H, 7.86; N, 3.64. Found: C, 78.09; H, 7.52; N, 3.42.

Example 210

2,5-dihydro-10-methoxy-5-(1-methylpropa-1,2-dienyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and propargylmagnesium bromide (Gaoni,Y.; Leznoff, C. C.; Sondheimer, F. *J. Am. Chem. Soc.* **1968**, 90, 4940-4945.) were processed as in example 11 to provide the desired compound.
m.p. 59-63°;
^{1}H NMR (300 MHz, DMSO-d$_6$)□7.84 (d, J=8 Hz, 1H), 7.03 (t, J=6 Hz, 1H), 6.68 (d, J=6 Hz, 1H), 6.55 (d, J=8 Hz, 2H), 6.04 (s, 1H), 5.97 (s, 1H), 5.40 (s, 1H), 4.94 (m, 1H), 4.23 (m, 1H), 3.82 (s, 3H), 2.11 (s, 3H), 1.70 (s, 3H), 1.21 (s, 3H), 1.10 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d$_6$)□156.1, 151.2, 150.5, 145.1, 132.6, 130.5, 127.9, 127.1, 127.0, 126.7, 126.5, 117 (5), 117.1, 114.7, 113.3, 112.9, 110.1, 106.3, 98.6, 76.2, 75.6, 55.9, 49.6, 29.4, 28.4, 22.5, 16.0;
MS *m/e* calc'd for $C_{24}H_{25}O_2N$: 359.1885. Found 359.1893.

Example 211

2,5-dihydro-10-methoxy-5-(3,4,5-trifluorophenyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and 3,4,5-trifluorophenylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH_3) *m/e* 438 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□8.04 (d, J=8 Hz, 1H), 6.94 -7.02 (m, 3H), 6.77 (s, 1H), 6.74 (d, J=9 Hz, 1H), 6.62 (d, J=8 Hz, 1H), 6.51 (d, J=8 Hz, 1H), 6.31 (br s, 1H), 5.43 (s, 1H), 3.81 (s, 3H), 1.85 (s, 3H), 1.23 (s, 3H), 1.15 (s, 3H);
Anal. calcd for $C_{26}H_{22}NO_2F_3 \cdot 1/4H_2O$: C, 70.66; H, 5.13; N, 3.17. Found: C, 70.89; H, 5.19; N, 2.93.

Example 212

2,5-dihydro-10-methoxy-5-(cyclohexyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 2B and cyclohexylmagnesium bromide were processed as in Example 11 to provide the desired compound.
MS (DCI/NH_3) *m/e* 308 $(M+H)^+$;
MAJOR: ^{1}H NMR (300 MHz, DMSO-d_6)□8.03 (d, J=9 Hz, 1H), 7.05 (t, J=8 Hz, 1H), 6.72 (d, J=8 Hz, 1H), 6.61 (d, J=9 Hz, 1H), 6.59 (s, 1H), 6.15 (d, J=8 Hz, 1H), 5.40 (m, 2H), 3.86 (s, 3H), 2.01 (s, 3H), 1.61 (m, 1H), 1.56-1.41 (m, 2H), 1.35-0.96 (m, 6H), 1.29 (s, 3H), 1.18 (s, 3H), 0.95-0.77 (m, 2H);
Anal. calcd for $C_{26}H_{31}NO_2 \cdot 1/2H_2O$: C, 78.36; H, 8.09; N, 3.51. Found: C, 78.24; H, 7.72; N, 3.70.

Example 213 2,5-dihydro-10-methoxy-5-(2-pyridyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

Example 213A

2,5-dihydro-10-methoxy-5-(2-pyridyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

To a solution of Example 2A (1.42 g, 4.39 mmol) in THF (40 mL) at 0 °C was added a solution of potassium *tert*-butoxide (1.48 g, 13.2 mmol) in THF (13 mL). The mixture was stirred 45 min at 0 °C then a solution of TBSCl (1.46 g, 9.66 mmol) in THF (9.5 mL) was introduced in dropwise fashion. The solution was stirred at 0 °C for 30 min then was quenched by addition of saturated aqueous NH_4Cl (10 mL) and was extracted with EtOAc (2 x 30 mL). The combined organic portions were washed with brine (8 mL) and were dried (Na_2SO_4). Filtration and concentration gave a brown

residue which was purified via flash chromatography (elution with 2% EtOAc/CH_2Cl_2) to give the desired product as a yellow solid (994 mg, 2.28 mmol, 52%).
MS (DCI/NH_3) m/z 438 $(M+H)^+$.

Example 213

2,5-dihydro-10-methoxy-5-(2-pyridyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

A solution of the 2-lithiopyridine (nominally 1 M in THF) was formed by addition of *n*-BuLi (680 □L of a 2.5 M solution in hexane, 1.70 mmol) to a solution of 2-bromopyridine (285 mg, 1.80 mmol) in THF (17 mL) at –78 °C. This solution was stirred for 20 min then a solution of the aldehyde prepared above (211 mg, 0.480 mmol) in THF (2.0mL)was added in drop wise fashion at -78 °C. The solution was stirred at –78 °C for 30 min then was quenched by addition of saturated aqueous NH_4Cl (7 mL) and was extracted with EtOAc (2 x 30 mL). The combined organic portions were washed with brine (10 mL) and were dried (Na_2SO_4). Filtration and concentration gave a brown residue which was used without further purification.

The crude material prepared above was dissolved in THF (10 mL) at 23 °C and was treated with tetrabutylammonium fluoride (500 □L of a 1 M solution in THF, 0.500 mmol). After 1 h, the reaction mixture was concentrated in vacuo, was resuspended in EtOAc (20 mL) and then was washed with water (5 mL) and brine (5 mL), and was dried (Na_2SO_4). Filtration and concentration gave a brown residue which was used without further purification.

This crude residue was dissolved in THF (10 mL), and the solution was cooled to 0 °C. To this solution was added triethylphosphine (48 mg, 0.410 mmol) followed by a solution of 1,1'-(azodicarbonyl)dipiperidine (103 mg, 0.410 mmol) in THF (1.5 mL). The solution was stirred for 30 min at 0 °C then at 23 °C for 7 h. The reaction mixture was concentrated and was purified by flash chromoatography (elution with 25% EtOAc/hexane) to give the desired product (13 mg, 0.034 mmol, 8%) as a colorless solid.
MS (DCI/NH_3) m/z 385 $(M+H)^+$;
^1H NMR (300 MHz, DMSO)□8.45 (br d, *J*=6.6 Hz, 1 H), 7.98 (d, *J*=8.0 Hz, 1 H), 7.61 (td, *J*=6.5, 1.8 Hz, 1 H), 7.19-7.13 (m, 2 H), 6.91 (t, *J*=6.6 Hz, 1 H), 6.72 (s, 1 H), 6.68 (d, *J*=7.9 Hz, 1 H), 6.57 (br d, *J*=6.7 Hz, 1 H), 6.44 (dd, *J*=6.5, 1.0 Hz, 1 H), 6.17 (br s, 1 H), 5.37 (br s, 1 H), 3.80 (s, 3 H), 1.80 (s, 3 H), 1.23 (s, 3 H), 1.13 (s, 3 H);
HRMS (FAB) calcd $(M+H)^+$ for $C_{25}H_{25}N_2O_2$: 385.1916 . Found: 385.1910.

Example 214

2,5-dihydro-10-methoxy-5-(3-pyridyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The desired compound was prepared as described in Example 213 in 49% yield.
MS (DCI/NH_3) m/z 385 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO)□8.38 (d, *J*=2.4 Hz, 1 H), 8.35 (dd, *J*=5.6, 2.0 Hz, 1 H), 8.02 (d, *J*=8.0 Hz, 1 H), 7.49 (br d, *J*=6.9 Hz, 1 H), 7.25 (dd, *J*=6.9, 5.5 Hz, 1 H), 6.92 (t, *J*=6.9 Hz, 1 H), 6.86 (s, 1 H), 6.72 (d, *J*=8.1 Hz, 1 H), 6.58 (d, *J*=6.7 Hz, 1 H), 6.45 (d, *J*=6.4 Hz, 1 H), 6.38 (br s, 1 H), 5.41 (br s, 1 H), 3.80 (s, 3 H), 1.83 (s, 3 H), 1.23 (s, 3 H), 1.15 (s, 3 H);
^{13}C NMR (125 MHz, DMSO)□156.0, 151.2, 149.4, 148.8, 145.6, 135.7, 134.7, 133.2, 128.5, 127.3, 127.2, 127.0, 123.2, 117.7, 117.2, 113.9, 113.7, 110.2, 105.7, 73.0, 55.5, 49.8, 29.5, 28.5, 23.4;
HRMS (FAB) calcd m/z for $C_{25}H_{25}N_2O_2$: 385.1916 $(M+H)^+$. Found: 385.1915.
Anal. calcd for $C_{25}H_{24}N_2O_2$: C, 78.09; H, 6. 29; N, 7.28. Found: C, 76.98; H, 6.60; N, 6.93.

Example 215

2,5-dihydro-10-methoxy-5-(4-pyridyl)-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The desired compound was prepared as described in Example 213 in 20% yield.
MS (DCI/NH_3) m/z 385 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO)□8.43 (br d, *J*=4.3 Hz, 2 H), 8.04 (d, *J*=8.0 Hz, 1 H), 7.15 (d, *J*=4.2 Hz, 2 H), 6.96 (t, *J*=6.7 Hz, 1 H), 6.81 (s, 1 H), 6.75 (d, *J*=7.9 Hz, 1 H), 6.59 (d, *J*=6.8 Hz, 1 H), 6.53 (d, *J*=6.8 Hz, 1 H), 6.37 (br s, 1 H), 5.43 (br s, 1 H), 3.79 (s, 3 H), 1.88 (s, 3 H), 1.26 (s, 3 H), 1.18 (s, 3 H);
^{13}C NMR (125 MHz, DMSO)□156.1, 151.4, 149.4 (2), 148.2, 145.6, 133.4, 133.3, 128.3, 127.3 (2), 127.0, 122.9, 117.9, 117.0, 113.9, 110.2, 105.6, 105.0, 103.0, 73.4, 49.8, 29.4, 28.6, 23.2;
HRMS (FAB) calcd m/z for $C_{25}H_{25}N_2O_2$: 385.1916 $(M+H)^+$. Found: 385.1906.

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 2 to prepare Examples 216-226.

Example 216
10-chloro-9-hydroxy-5-(3-propenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 368 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO)□9.34 (s, 1 H), 7.87 (d, *J*=8 Hz, 1 H), 6.72 (d, *J*=8 Hz, 1 H), 6.66 (d, *J*=8 Hz, 1 H), 6.58 (d, *J*=8 Hz, 1 H), 6.21 (br s, 1 H), 5.81-5.71 (m, 1 H), 5.62 (dd, *J*=10, 3 Hz, 1 H), 5.41 (br s, 1 H), 4.98 (dd, *J*=10, 2 Hz, 1 H), 4.93 (dd, *J*=17, 2 Hz, 1 H), 2.42-2.34 (m, 1 H), 2.26-2.20 (m, 1 H), 2.11 (s, 3 H), 1.16 (s, 3 H), 1.11 (s, 3 H);

HRMS (FAB) calcd m/z for $C_{22}H_{22}ClNO_2$: 367.1339. Found: 367.1336.

Example 217 10-chloro-9-hydroxy-5-phenyl-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 404 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO)□9.46 (s, 1 H), 7.96 (d, *J*=8 Hz, 1 H), 7.26-7.12 (m, 3 H), 7.14-7.07 (m, 1 H), 6.87 (dd, *J*=8, 2 Hz, 1 H), 6.72 (d, *J*=8 Hz, 1 H), 6.68 (s, 1 H), 6.58 (app s, 2 H), 6.37 (br s, 1 H), 5.40 (br s, 1 H), 1.80 (s, 3 H), 1.26 (s, 3 H), 1.17 (s, 3 H);

HRMS (FAB) calcd m/z for $C_{25}H_{22}ClNO_2$: 403.1339. Found: 403.1344.

Example 218 10-chloro-9-hydroxy-5-(3-trifluoromethylphenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 472 $(M+H)^+$;

1H NMR□9.45 (s, 1H), 7.98 (d, 1H, J=8.5Hz), 7.54 (m, 4H), 6.85 (d, 1H, J=8.5Hz), 6.75 (m, 2H), 6.57 (d, 1H, J=8.5Hz), 6.42 (m, 1H), 5.39 (m, 1H), 1.91 (s, 3H), 1.24 (s, 3H), 1.11 (s, 3H);

Anal. calcd for $C_{26}H_{21}ClF_3NO_2$: 471.1213. Found: 471.1216.

Example 219
10-chloro-9-hydroxy-5-(3,5-dimethylphenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 432 $(M+H)^+$;

1H NMR□9.52 (s, 1H), 7.95 (d, 1H, J=8.5Hz), 6.82 (m, 2H), 6.71 (m, 2H), 6.61 (s, 2H), 6.36 (m, 1H), 6.42 (m, 1H), 5.40 (m, 1H), 2.31 (s, 6H), 1.92 (d, 3H, J=1.4Hz), 1.24 (s, 2H), 1.14 (s, 2H);

HRMS (FAB) calcd m/z for $C_{27}H_{26}ClNO_2$: 421.1652. Found: 431.1650.

Example 220

rel-(5*S*, 3'*R*)-9-hydroxy-10-methoxy-5-[1-hydroxymethyl-3-cyclohexenyl]-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 438 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO)□9.56 (s, 1 H), 8.01 (d, *J*=8 Hz, 1 H), 6.77 (app s, 2 H), 6.67 (d, *J*=8 Hz, 1 H), 6.39 (br s, 1 H), 5.48 (d, *J*=10 Hz, 1 H), 5.42 (br s, 1 H), 5.10 (br s, 1 H), 4.42 (t, *J*=6 Hz, 1 H), 3.65 (br d, *J*=6 Hz, 2 H), 2.28-2.18 (m, 2 H), 2.05 (br s, 3 H), 1.94-1.87 (m, 2 H), 1.75-1.64 (m, 1 H), 1.52-1.42 (m, 1 H), 1.36-1.27 (m, 1 H), 1.29 (s, 3 H), 1.10 (s, 3 H);
HRMS (FAB) calcd m/z for $C_{26}H_{28}ClNO_3$: 437.1758. Found: 437.1756.

The C-5 lactol-9-*tert*-butyldimethylsilyl ether of Core 2 and 3-cyclopentenyl trimethylsilane were processed as above to give a 2:1 diastereomeric product mixture which was subjected to HPLC on an (R,R) WHELK-O 1 column eluting with 2% ETOH in hexanes to provide the individual enantiomers.

Example 221

(-) 2,5(S)-dihydro-9-hydroxy-10-chloro-2,2,4-trimethyl-5-(3S-cyclopentenyl)-1H-[1]benzopyrano[3,4-f]quinoline

$[□]^{23}_{D}$=-220° (c 0.012, $CHCl_3$);
MS (DCI/NH_3) m/z 394 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.55 (s, 1H), 8.00 (d, 1H), 6.75 (d, 1H), 6.72 (d, 1H), 6.63 (d, 1H), 6.36 (s, 1H), 5.73 (ddd, 1H), 5.44 (d, 1H), 5.40 (s, 1H), 5.17 (ddd, 1H), 2.78 (m, 1H), 2.35 (m, 1H), 2.15 (m, 1H), 2.05 (s, 3H), 1.80 (m, 1H), 1.72 (m, 1H), 1.27 (s, 3H), 1.05 (s, 3H);
^{13}C NMR (400 MHz, DMSO-d_6)□148.7, 146.0, 144.0, 134.0, 133.6, 132.7, 129.9, 127.9, 127.0, 123.7, 116.6, 115.8, 115.4, 114.2, 112.4, 76.1, 49.6, 48.2, 31.7, 29.8, 27.8, 27.3, 24.4.

Example 222 (-) 2,5(S)-dihydro-9-hydroxy-10-chloro-2,2,4-trimethyl-5-(3R-cyclopentenyl)-1H-[1]benzopyrano[3,4-f]quinoline

$[□]^{23}_{D}$=-232° (c 0.010, $CHCl_3$);
MS (DCI/NH_3) m/z 394 $(M+H)^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.50 (bs, 1H), 8.02 (d, 1H), 6.75 (d, 1H), 6.72 (d,

1H), 6.63 (d, 1H), 6.39 (s, 1H), 5.74 (ddd, 1H), 5.60 (ddd, 1H), 5.46 (s, 1H), 5.39 (d, 1H), 2.83 (m, 1H), 2.26 (m, 1H), 2.14 (m, 1H), 2.09 (s, 3H), 1.55-1.40 (m, 2H), 1.27 (s, 3H), 1.01 (s, 3H);
^{13}C NMR (400 MHz, DMSO-d_6)□148.7, 146.0, 144.6, 134.1, 132.8, 132.0, 131.7, 127.8, 126.8, 123.6, 117.4, 115.9, 115.8, 115.5, 114.2, 112.3, 76.4, 49.4, 48.0, 31.7, 29.5, 27.2, 24.5, 23.8.

Example 223 10-chloro-9-hydroxy-5-(3,5-dichlorophenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH$_3$) m/z 472 (M+H)+;
1H NMR□9.40 (s, 1H), 8.01 (d, 1H, J=8.5Hz), 7.43 (m, 4H), 6.85 (d, 1H, J=8.5Hz), 6.71 (m, 1H), 6.57 (d, 1H, J=8.5Hz), 6.42 (m, 1H), 5.47 (m, 1H), 1.81 (s, 3H), 1.29 (s, 3H), 1.09 (s, 3H);
HRMS (FAB) calcd m/z for $C_{25}H_{20}Cl_3NO_2$: 471.0559. Found: 471.0556.

Example 224

(+)-(5R, 3'S) 2,5-dihydro-9-hydroxy-10-chloro-2,2,4-trimethyl-5-(3-cyclopentenyl)-1H-[1]benzopyrano[3,4-f]quinoline

$[□]^{23}_{D}$=+ 256° (c 0.046, CHCl$_3$).
MS (DCI/NH$_3$) m/z 394 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.50 (bs, 1H), 8.02 (d, 1H), 6.75 (d, 1H), 6.72 (d, 1H), 6.63 (d, 1H), 6.39 (s, 1H), 5.74 (ddd, 1H), 5.60 (ddd, 1H), 5.46 (s, 1H), 5.39 (d, 1H), 2.83 (m, 1H), 2.26 (m, 1H), 2.14 (m, 1H), 2.09 (s, 3H), 1.55-1.40 (m, 2H), 1.27 (s, 3H), 1.01 (s, 3H);
^{13}C NMR (400 MHz, DMSO-d_6)□148.7, 146.0, 144.6, 134.1, 132.8, 132.0, 131.7, 127.8, 126.8, 123.6, 117.4, 115.9, 115.8, 115.5, 114.2, 112.3, 76.4, 49.4, 48.0, 31.7, 29.5, 27.2, 24.5, 23.8.

Example 225

(+)-(5R, 3'R) 2,5-dihydro-9-hydroxy-10-chloro-2,2,4-trimethyl-5-(3-cyclopentenyl)-1H-[1]benzopyrano[3,4-f]quinoline

$[□]^{23}_{D}$=+244° (c 0.165, CHCl$_3$);
MS (DCI/NH$_3$) m/z 394 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d_6)□9.55 (s, 1H), 8.00 (d, 1H), 6.75 (d, 1H), 6.72 (d,

1H), 6.63 (d, 1H), 6.36 (s, 1H), 5.73 (ddd, 1H), 5.44 (d, 1H), 5.40 (s, 1H), 5.17 (ddd, 1H), 2.78 (m, 1H), 2.35 (m, 1H), 2.15 (m, 1H), 2.05 (s, 3H), 1.80 (m, 1H), 1.72 (m, 1H), 1.27 (s, 3H), 1.05 (s, 3H);
^{13}C NMR (400 MHz, DMSO-d_6)□148.7, 146.0, 144.0, 134.0, 133.6, 132.7, 129.9, 127.9, 127.0, 123.7, 116.6, 115.8, 115.4, 114.2, 112.4, 76.1, 49.6, 48.2, 31.7, 29.8, 27.8, 27.3, 24.4.

Example 226 10-chloro-9-hydroxy-5-(3,4-difluorophenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH$_3$) m/z 440 (M+H)$^+$;
1H NMR□9.41 (s, 1H), 7.94 (d, 1H, J=8.5Hz), 6.96 (m, 3H), 6.75 (m, 3H), 6.57 (d, 1H, J=8.5Hz), 6.45 (m, 1H), 5.47 (m, 1H), 1.81 (s, 3H), 1.29 (s, 3H), 1.09 (s, 3H); HRMS (FAB) calcd m/z for $C_{25}H_{20}ClF_2NO_2$: 429.1150. Found: 429.1152.

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 3 to prepare Example 227.

Example 227

9-10-methylenedioxy-5-phenyl-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH3) m/z 298 (M+H)+;
1H NMR (200 MHz, DMSO-d_6) 7.72 (d, J=8.1 Hz, 1H), 7.20 (m, 5H), 6.82 (s, 1H), 6.75 (d, J=8.8 Hz, 1H), 6.50 (d, J=8.1 Hz, 1H), 6.26 (s, 1H). 6.27 (d, J=8.8 Hz, 1H), 6.05 (s, 1H), 5.98 (s, 1H), 5.4 (s, 1H), 1.87 (s, 2H), 1.20 (s, 2H), 1.17 (s, 2H).

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 4 to prepare Examples 228-231.

Example 228 5-(3-propenyl)-9-chloro-10-ethenyl-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR□7.93 (d, 1H, J=8.5Hz), 7.20 (d, 1H, J=8.5Hz), 6.70 (d, 1H, J=8.5Hz), 6.64 (d, 1H, J=8.5Hz), 6.34 (m, 1H), 5.81 (m, 2H), 5.46 (m, 1H), 5.03 (dm, 1H, J=10.5Hz), 4.98 (dm, 1H, J=17.1Hz), 3.65 (s, 3H), 2.44 (m, 1H), 2.28 (m, 1H), 2.18 (s, 3H), 1.19 (s, 3H), 1.17 (s, 3H);
HRMS (ESI) m/z calc'd for $C_{23}H_{25}ClNO_2$: 381.1495. Found: 381.1490.

Example 229

9-chloro-10-methoxy-5-phenyl-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR□7.98 (d, 1H, J=8.5Hz), 7.42 (m, 1H), 7.21 (m, 5H), 7.00 (d, 1H, J=8.5Hz), 6.75 (m, 1H), 6.57 (d, 1H, J=8.5Hz), 6.42 (m, 1H), 5.47 (m, 1H), 3.65 (s, 3H), 1.81 (s, 3H), 1.29 (s, 3H), 1.09 (s, 3H);
HRMS (ESI) m/z calc'd for for $C_{26}H_{24}ClNO_2$: 417.1495. Found: 417.1497.

Example 230

5-(3-propenyl)-9-chloro-10-difluoromethoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR□7.58 (d, 1H, J=8.5Hz), 7.14 (m, 2H), 6.80 (dd, 1H, J=7.3Hz), 6.64 (d, 1H, J=8.5Hz), 6.24 (m, 1H), 5.81 (m, 2H), 5.46 (m, 1H), 5.02 (dm, 1H, J=10.5Hz), 4.94 (dm, 1H, J=17.1Hz), 2.30 (m, 2H), 2.17 (s, 3H), 1.19 (s, 3H), 1.16 (s, 3H); mass spectrum (ESI) m/z: 418 (M+H); Calcd for $C_{23}H_{22}ClF_2NO_2$: 417.1307. Found: 417.1304.

Example 231

9-chloro-10-difluoromethoxy-5-phenyl-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR□7.77 (d, 1H, J=8.5Hz), 7.44 (m, 1H), 7.22 (m, 5H), 7.12 (d, 1H, J=8.5Hz), 6.84 (s, 1H), 6.76 (t, 1H, J=75Hz), 6.74 (d, 1H, J=8.5Hz), 6.51 (m, 1H), 5.39 (m, 1H), 1.78 (s, 3H), 1.26 (s, 3H), 1.14 (s, 3H); mass spectrum (ESI) m/z: 454 (M + 1); Calcd for $C_{26}H_{22}ClF_2NO_2$: 453.1307. Found: 453.1304.

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 5 to prepare Examples 232-233.

Example 232

8-fluoro-10-methoxy-5-phenyl-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

1H NMR□7.95 (d, 1H, J=8.5Hz), 7.30 (m, 2H), 7.20 (m, 5H), 7.00 (d, 1H, J=8.5Hz), 6.82 (s, 1H), 6.43 (m, 1H), 5.38 (m, 1H), 3.56 (s, 3H), 2.17 (s, 3H), 1.25 (s, 3H), 1.13 (s, 3H); mass spectrum (ESI) m/z: 402 (M+H); Calcd for $C_{26}H_{24}FNO_2$: 401.1791. Found: 401.1795.
Anal. Calcd for $C_{26}H_{24}FNO_2$: C, 77.78; H, 6.02; N, 2.49. Found: C, 77.66; H, 5.90; N, 2.28.

Example 233

5-(3-propenyl)-8-fluoro-10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR□7.95 (d, 1H, J=8.5Hz), 7.30 (m, 2H), 7.20 (m, 5H), 7.00 (d, 1H, J=8.5Hz), 6.82 (s, 1H), 6.43 (m, 1H), 5.38 (m, 1H), 3.56 (s, 3H), 2.17 (s, 3H), 1.25 (s, 3H), 1.13 (s, 3H); mass spectrum (ESI) m/z: 402 (M+1); Calcd for $C_{26}H_{24}FNO_2$: 401.1791. Found: 401.1795.

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 6 to prepare Example 234.

Example 234

10-methoxy-9-fluoro-5-(3-propenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/z* 366 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO)□7.87 (d, *J*=8.5 Hz, 1 H), 7.00 (dd, *J*=8.8, 2.2 Hz, 1 H), 6.64 (d, *J*=8.1 Hz, 1 H), 6.63 (d, *J*=8.8 Hz, 1 H), 6.31 (d, *J*=1.1 Hz, 1 H), 5.90-5.80 (m, 1 H), 5.79-5.75 (m, 1 H), 5.46 (s, 1 H), 5.05-4.95 (m, 2 H), 3.79 (s, 3 H), 2.17 (d, *J*=1.1 Hz, 1 H), 1.17 (s, 6 H);

HRMS calcd for $C_{23}H_{24}FNO_2$ is 366.1869. Found 366.1869.

The chemistry described in Schemes 1-21 and Examples 1-215 was used with Core 7 to prepare Examples 235-296.

Example 235

10-methoxy-9-hydroxy-5-(3-propenyl)-2,2,4-trimethyl-1H-2,5-dihydro-[1]benzopyrano[3,4-f]quinoline

^{1}H NMR (300 MHz, DMSO)□8.69 (s, 1 H), 7.92 (d, *J*=8.5, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.48 (d, *J*=8.5, 1 H), 6.16 (d, *J*=1.7 Hz, 1 H), 5.81 (ddt, *J*=17.3, 10.3, 6.6 Hz, 1 H), 5.67 (dd, *J*=9.8, 3.3 Hz), 5.44 (s, 1 H), 5.02 (dd, *J*=10.3, 1.8 Hz, 1 H), 4.98 (dd, *J*=17.3, 1.8 Hz, 1 H), 2.47-2.41 (m, 1 H), 2.34-2.27 (m, 1 H), 2.16 (s, 3 H), 1.18 (s, 3 H), 1.16 (s, 3 H);

^{13}C NMR (75 MHz, DMSO)□145.8, 145.1, 143.9, 142.9, 134.4, 133.4, 132.7, 127.5, 126.5, 117.8, 117.0, 116.3, 116.1, 114.3, 113.6, 112.4, 73.3, 59.3, 49.7, 36.4, 29.2, 28.9, 23.9.

MS (DCI/NH_3) *m/z* 364 $(M+H)^+$;

Anal. calcd for $C_{23}H_{24}N_2O_2$: C, 76.01; H, 6.93; N, 3.85. Found C, 75.85; H, 7.18; N, 3.66.

Example 236 (+/-) 2,5-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5-(3-cyclohexenyl)-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) m/z 404 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.70 (s, 1H), 8.01 (d, 1H), 6.65 (d, 1H), 6.62 (d, 1H), 6.53 (d, 1H), 6.27 (d, 1H), 5.82-5.65 (m, 2H), 5.45 (s, 1H), 5.33 (d, 1H), 3.65 (s, 3H), 2.28 (m, 1H), 2.12 (s, 3H), 1.86 (m, 2H), 1.55 (m, 1H), 1.31 (s, 3H), 1.26-1.14 (m, 3H), 1.03 (s, 3H);

^{13}C NMR (400 MHz, DMSO-d_6)□145.4, 145.0, 144.1, 143.5, 133.6, 130.7, 128.1, 127.9, 127.7, 126.1, 118.4, 117.8, 116.5, 114.4, 113.4, 112.1, 75.9, 59.3, 49.4, 37.2, 29.6, 27.1, 24.7, 24.6, 23.7, 21.2.

Example 237 (+/-) 2,5-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5-(1-methylcyclohexen-3-yl)-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.66 (s, 1 H), 8.00 (d, *J* = 8.5 Hz, 1 H), 6.65 (d, *J* = 8.5 Hz, 1 H), 6.62 (d, *J* = 8.5 Hz, 1 H), 6.55 (d, *J* = 8.5 Hz, 1 H), 6.24 (d, *J* = 1.5 Hz, 1 H), 5.51 (br s, 1 H), 5.44 (br s, 1 H), 5.30 (d, *J* = 9.5 Hz, 1 H), 3.65 (s, 3 H), 2.30 - 2.20 (m, 1 H), 2.11 (s, 3 H), 1.80 -1.54 (m, 3 H), 1.60, (s, 3 H), 1.30 (s, 3 H), 1.28 - 1.08 (m, 3 H), 1.03 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.3, 144.9, 144.0, 143.6, 134.7, 133.5, 130.9, 128.0, 126.1, 121.8, 118.3, 117.9, 116.5, 114.3, 113.3, 112.1, 76.2, 59.3, 49.4, 37.5, 29.6, 29.5, 27.1, 24.5, 23.8, 23.7, 21.6.

Example 238

(-) (5S, 3'S)-9-hydroxy-5-[1-methyl-3-cyclohexenyl]- 10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

[□]$_D$=-158.8°;

MS (DCI/NH_3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.66 (s, 1 H), 8.00 (d, *J*=8.5 Hz, 1 H), 6.65 (d, *J*=8.5 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.55 (d, *J*=8.5 Hz, 1 H), 6.24 (d, *J*=1.5 Hz, 1 H), 5.51 (br s, 1 H), 5.44 (br s, 1 H), 5.30 (d, *J*=9.5 Hz, 1 H), 3.65 (s, 3 H), 2.30-2.20 (m, 1 H), 2.11 (s, 3 H), 1.80 -1.54 (m, 3 H), 1.60, (s, 3 H), 1.30 (s, 3 H), 1.28-1.08 (m, 3 H), 1.03 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.3, 144.9, 144.0, 143.6, 134.7, 133.5, 130.9, 128.0, 126.1, 121.8, 118.3, 117.9, 116.5, 114.3, 113.3, 112.1, 76.2, 59.3, 49.4, 37.5, 29.6, 29.5, 27.1, 24.5, 23.8, 23.7, 21.6.

Anal. calcd for $C_{27}H_{31}NO_3$: C, 77.67; H, 7.48; N, 3.35. Found C, 77.65; H, 7.67; N, 3.36.

Example 239

(+) (5R,3'R)-9-hydroxy-5-[1-methyl-3-cyclohexenyl]- 10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

[□]D=+157.9°

MS (DCI/NH3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.66 (s, 1 H), 8.00 (d, *J*=8.5 Hz, 1 H), 6.65 (d, *J*=8.5 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.55 (d, *J*=8.5 Hz, 1 H), 6.24 (d, *J*=1.5 Hz, 1 H), 5.51 (br s, 1 H), 5.44 (br s, 1 H), 5.30 (d, *J*=9.5 Hz, 1 H), 3.65 (s, 3 H), 2.30-2.20 (m, 1 H), 2.11 (s, 3 H), 1.80 -1.54 (m, 3 H), 1.60, (s, 3 H), 1.30 (s, 3 H), 1.28-1.08 (m, 3 H), 1.03 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.3, 144.9, 144.0, 143.6, 134.7, 133.5, 130.9, 128.0, 126.1, 121.8, 118.3, 117.9, 116.5, 114.3, 113.3, 112.1, 76.2, 59.3, 49.4, 37.5, 29.6, 29.5, 27.1, 24.5, 23.8, 23.7, 21.6.

Anal. calcd for $C_{27}H_{31}NO_3$: C, 77.67; H, 7.48; N, 3.35. Found C, 77.65; H, 7.67; N, 3.36.

Example 240

(+) (5R,3'S)-9-hydroxy-5-[1-methyl-3-cyclohexenyl]- 10-methoxy-2,2,4-trimethyl-2,5-

[□]D=+78.0°

MS (DCI/NH3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.74 (s, 1 H), 7.99 (d, *J*=8.8 Hz, 1 H), 6.66 (d, *J*=8.8 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.52 (d, *J*=8.5 Hz, 1 H), 6.24 (d, *J*=1.5 Hz, 1 H), 5.41 (br s, 1 H), 5.41 (d, *J*=10.3 Hz, 1 H), 4.84 (br s, 1 H), 3.63 (s, 3 H), 2.34-1.35 (m, 7 H), 2.06 (s, 3 H), 1.49, (s, 3 H), 1.30 (s, 3 H), 1.09 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.3, 145.0, 144.0, 143.2, 135.5, 133.3, 131.3, 128.4, 126.2, 120.5, 118.1, 117.9, 116.5, 114.4, 113.5, 112.0, 75.3, 59.3, 49.5, 36.8, 29.4, 27.5, 25.0, 24.1, 23.7, 20.2.

HRMS calcd for $C_{27}H_{31}NO_3$ 417.2304. Found: 417.2305.

Example 241

(-) (5S,3'R)-9-hydroxy-5-[1-methyl-3-cyclohexenyl]- 10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

[□]D=-79.4°

MS (DCI/NH_3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.74 (s, 1 H), 7.99 (d, *J*=8.8 Hz, 1 H), 6.66 (d, *J*=8.8 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.52 (d, *J*=8.5 Hz, 1 H), 6.24 (d, *J*=1.5 Hz, 1 H), 5.41 (br s, 1 H), 5.41 (d, *J*=10.3 Hz, 1 H), 4.84 (br s, 1 H), 3.63 (s, 3 H), 2.34-1.35 (m, 7 H), 2.06 (s, 3 H), 1.49, (s, 3 H), 1.30 (s, 3 H), 1.09 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.3, 145.0, 144.0, 143.2, 135.5, 133.3, 131.3, 128.4, 126.2, 120.5, 118.1, 117.9, 116.5, 114.4, 113.5, 112.0, 75.3, 59.3, 49.5, 36.8, 29.4, 27.5, 25.0, 24.1, 23.7, 20.2.

Anal. calcd for $C_{27}H_{31}NO_3$: C, 77.67; H, 7.48; N, 3.35. Found C, 77.55; H, 7.56; N, 3.34.

Example 242

rel-(5S,3'R)-9-hydroxy-5-[1-hydroxymethyl-3-cyclohexenyl]-10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/z* 434 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.72 (s, 1 H), 7.98 (d, *J*=8.8 Hz, 1 H), 6.65 (d, *J*=8.8 Hz, 1 H), 6.62 (d, *J*=8.8 Hz, 1 H), 6.52 (d, *J*=8.8 Hz, 1 H), 6.23 (br s, 1 H), 5.43-5.39 (m, 2 H), 5.06 (br s, 1 H),), 4.44 (t, *J*=5.1 Hz, 1 H), 3.69-3.67 (m, 1 H), 3.67 (s, 3 H), 2.32-2.22 (m, 1 H), 2.05 (s, 3 H), 1.94 -1.88 (m, 2 H), 1.74-1.61 (m, 2 H), 1.55-1.45 (m, 2 H), 1.29 (s, 3 H), 1.10 (s, 3 H);

^{13}C NMR (75 MHz, DMSO-d_6)□145.4, 145.0, 144.0, 143.1, 140.4, 133.5, 131.2, 128.2, 126.2, 120.5, 118.0, 118.0, 116.5, 114.4, 113.5, 112.1, 75.4, 65.6, 59.4, 49.5, 37.0, 29.8, 27.8, 25.8, 25.1, 24.3, 20.3.

Anal. calcd for $C_{27}H_{31}NO_4$: C, 74.80; H, 7.21; N, 3.23. Found: C, 74.59; H, 7.21; N, 3.22.

Example 243

(+/-) (5S,3'R) 2,5-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5-(1-methylcyclohexen-3-yl)-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH_3) *m/z* 718 $(M+H)^+$;

^{1}H NMR (300 MHz, DMSO-d_6)□8.66 (s, 1 H), 8.00 (d, *J* = 8.5 Hz, 1 H), 6.65 (d, *J* = 8.5 Hz, 1 H), 6.62 (d, *J* = 8.5 Hz, 1 H), 6.55 (d, *J* = 8.5 Hz, 1 H), 6.24 (d, *J* = 1.5 Hz, 1

H), 5.51 (br s, 1 H), 5.44 (br s, 1 H), 5.30 (d, *J* = 9.5 Hz, 1 H), 3.65 (s, 3 H), 2.30 - 2.20 (m, 1 H), 2.11 (s, 3 H), 1.80 -1.54 (m, 3 H), 1.60, (s, 3 H), 1.30 (s, 3 H), 1.28 - 1.08 (m, 3 H), 1.03 (s, 3 H);
^{13}C NMR (75 MHz, DMSO-d$_6$)□145.3, 144.9, 144.0, 143.6, 134.7, 133.5, 130.9, 128.0, 126.1, 121.8, 118.3, 117.9, 116.5, 114.3, 113.3, 112.1, 76.2, 59.3, 49.4, 37.5, 29.6, 29.5, 27.1, 24.5, 23.8, 23.7, 21.6.

Example 244

rel-(5S,3'R)-9-hydroxy-5-[1-methoxymethyl-3-cyclohexenyl]- 10-methoxy-2,2,4-trimethyl-2,5-dihydro-1H-[1]benzopyrano[3,4-f]quinoline

MS (DCI/NH$_3$) *m/z* 448 (M+H)$^+$;
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.75 (s, 1 H), 8.00 (d, *J*=8.5 Hz, 1 H), 6.67 (d, *J*=8.5 Hz, 1 H), 6.62 (d, *J*=8.5 Hz, 1 H), 6.54 (d, *J*=8.5 Hz, 1 H), 6.27 (d, *J*=1.5 Hz, 1 H), 5.46 (d, *J*=9.9 Hz, 1 H), 5.38 (br s, 1 H), 5.21 (br s, 1 H), 4.33-4.29 (m, 1 H), 3.66-3.63 (m, 1 H), 3.65 (s, 3 H), 3.64 (s, 3 H), 2.32-1.45 (m, 7 H), 2.04 (s, 3 H), 1.29 (s, 3 H), 1.07 (s, 3 H);
Anal. calcd for C$_{28}$H$_{33}$NO$_4$: C, 75.14; H, 7.43; N, 3.13. Found C, 74.81; H, 7.35; N, 3.05.

Example 245

2,5-dihydro-9-hydroxy-10-methoxy-5-propyl-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinoline

The C-5 lactol-9-TBS ether of core 7 and *n*-propylmagnesium chloride were processed as in example 251 to provide the desired compound:
^{1}H NMR (300 MHz, DMSO-d$_6$)□8.66 (s, 1H), 7.90 (d, J=9 Hz, 1H), 6.60 (d, J=8 Hz, 1H), 6.59 (s, 1H), 6.49 (d, J=9 Hz, 1H), 6.14 (br s, 1H), 5.57 (m, 1H), 5.44 (br s, 1H), 3.63 (s, 3H), 2.15 (s, 3H), 1.79-1.61 (m, 1H), 1.48-1.08 (m, 5H), 1.16 (s, 6H), 0.78 (t, J=7 Hz, 3H); ^{13}C NMR (75 MHz, DMSO-d$_6$)□145.7, 144.9, 143.9, 143.1, 133.5, 127.5, 126.4, 117.9, 116.3, 116.2, 114.2, 113.4, 112.1, 73.6, 59.3, 49.7, 31.9, 29.1, 28.8, 27.7, 23.8, 21.7, 13.9; MS (DCI/NH$_3$) *m/e* (M+H)$^+$ 380; Anal. calcd for C$_{24}$H$_{29}$NO$_3$•1/4H$_2$O: CC, 75.07; H, 7.74; N, 3.65. Found: C, 74.78; H, 7.86; N, 3.29.

The C-5 lactol-9-TBS ether of core 7 and 3-cycloheptenyl trimethylsilane were processed as above to give a 5:1 diastereomeric product mixture which was subjected to HPLC on an (R,R) WHELK-O 1 column eluting with 2% ETOH in hexanes to provide two levarotary enantiomers.